

SUMMARY

QAZI

08/971960

Page 1

=> d his

(FILE 'HOME' ENTERED AT 06:24:32 ON 13 MAR 2000)

FILE 'REGISTRY' ENTERED AT 06:24:55 ON 13 MAR 2000

L1 STR
L2 50 S L1
L3 2639 S L1 FUL
SAV TEMP QAZI971/A L3

FILE 'CAPLUS' ENTERED AT 06:27:13 ON 13 MAR 2000

L4 1406 S L3

FILE 'REGISTRY' ENTERED AT 06:27:25 ON 13 MAR 2000

L5 STR L1
L6 19 S L5 SSS SAM SUB=L3
L7 355 S L5 SSS FUL SUB=L3

FILE 'CAPLUS' ENTERED AT 06:33:38 ON 13 MAR 2000

L8 50 S L7

FILE 'REGISTRY' ENTERED AT 06:33:47 ON 13 MAR 2000

L9 343 S L7 NOT NC4/ESS
L10 110403 S NCNC2-C6/ES
L11 318 S L9 NOT L10
SAV TEMP L7 QAZI971B/A

L12 STR L5
L13 19 S L12 SSS SAM SUB=L3
L14 317 S L12 SSS FUL SUB=L3

← 317 compounds Reg

FILE 'CAPLUS' ENTERED AT 07:16:42 ON 13 MAR 2000

FILE 'CAPLUS' ENTERED AT 07:16:48 ON 13 MAR 2000

L15 28 S L14

← 28 cites caplus

FILE 'CAOLD' ENTERED AT 07:27:03 ON 13 MAR 2000

L16 0 S L14

← 0 cites caold

FILE 'HCAPLUS' ENTERED AT 07:29:52 ON 13 MAR 2000

L17 33 S STILZ H?/AU
L18 32 S WEHNER V?/AU
L19 126 S KNOLLE J?/AU
L20 90 S BARTNIK E?/AU
L21 16 S HUELS C?/AU
L22 1 S L17 AND L18 AND L19 AND L20 AND L21
SELECT RN L22 1

FILE 'REGISTRY' ENTERED AT 07:30:29 ON 13 MAR 2000

L23 68 S E1-68
L24 59 S L23 AND NCNC2/ES
L25 59 S L24 AND C6/ES
L26 18 S L25 AND 4/NR

FILE 'HCAPLUS' ENTERED AT 07:33:57 ON 13 MAR 2000

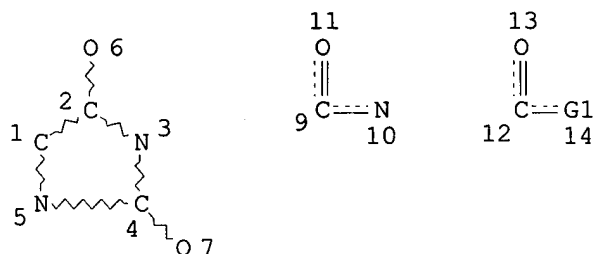
L27 1 S L22 AND L23

Searched by John Dantzman

308-4488

=> d que 115

L1 STR



VAR G1=N/O

NODE ATTRIBUTES:

CONNECT IS M3 RC AT 1

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

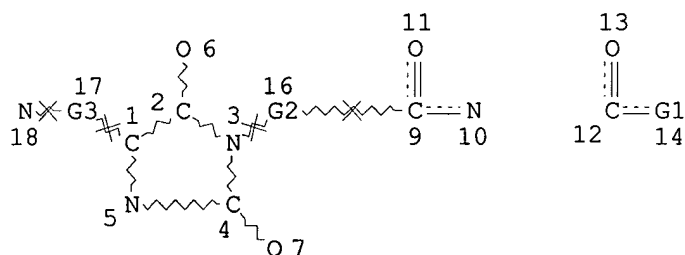
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L3 2639 SEA FILE=REGISTRY SSS FUL L1

L12 STR



VAR G1=N/O

REP G2=(1-12) C

REP G3=(1-20) C

NODE ATTRIBUTES:

CONNECT IS M3 RC AT 1

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

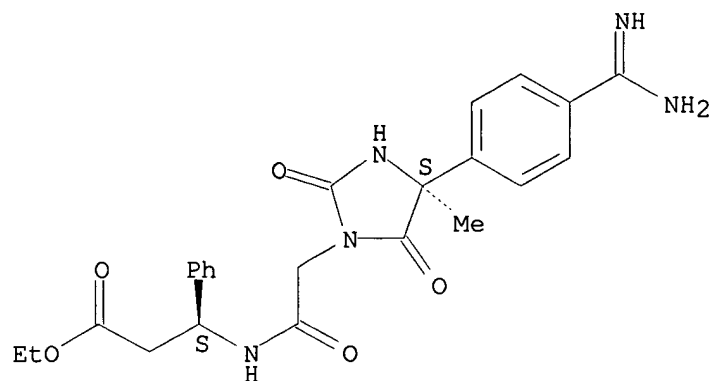
L14 317 SEA FILE=REGISTRY SUB=L3 SSS FUL L12

L15 28 SEA FILE=CAPLUS ABB=ON PLU=ON L14

=> d bib abs hitstr

L15 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2000 ACS
AN 1999:405733 CAPLUS
DN 131:291185
TI The use of isothermal heat conduction microcalorimetry to evaluate drug stability in tablets
AU Selzer, Torsten; Radau, Manfred; Kreuter, Jorg
CS Institut fur Pharmazeutische Technologie, Johann Wolfgang Goethe Universitat, Frankfurt, 60439, Germany
SO Int. J. Pharm. (1999), 184(2), 199-206
CODEN: IJPHDE; ISSN: 0378-5173
PB Elsevier Science B.V.
DT Journal
LA English
AB Isothermal heat conduction microcalorimetry was used to evaluate chem. stability of a solid drug in tablets. A variety of mixts. were compressed to flat faced tablets of 300 mg wt. and 10 mm diam. The content of drug amounted to 10%. Besides drug contg. tablets, also placebo tablets as well as the non compressed mixts. were examd. by microcalorimetry at 80.degree.. The excipient Emcompress had a substantially high exothermic heat flow that was due to a change in crystallinity. For Emcompress-contg. tablets this interfering signal resulted in such a way that the calorimetric data did not reflect the drug decompn. with sufficient accuracy. In the case of the other prepns. the heat flow of the excipients were low, and the calorimetric data did reflect the drug decompn. The stability increased with increasing content of CaHPO4, resp., with decreasing content of water.
IT 177563-41-6, S 95-5740
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (isothermal heat conduction microcalorimetry for evaluation of drug stability in tablets)
RN 177563-41-6 CAPLUS
CN Benzenepropanoic acid, .beta.-[[[(4S)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester, (.beta.S)-, monoacetate (9CI) (CA INDEX NAME)
CM 1
CRN 177563-40-5
CMF C24 H27 N5 O5

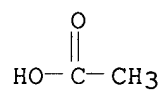
Absolute stereochemistry. Rotation (-).



CM 2

CRN 64-19-7

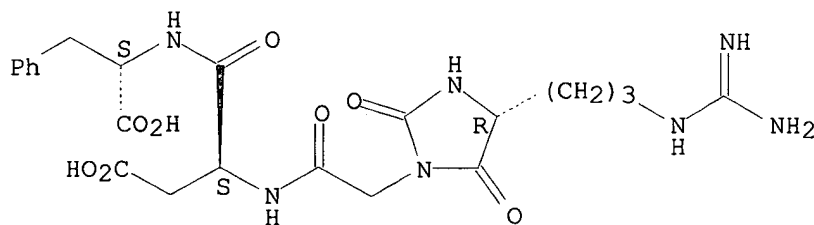
CMF C2 H4 O2



=> d bib abs hitstr 2

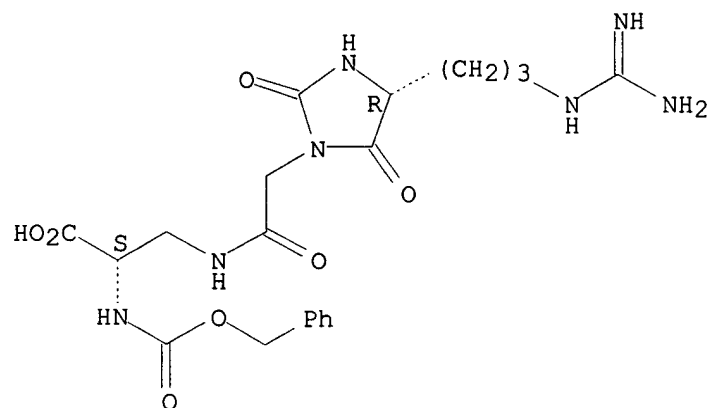
L15 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2000 ACS
AN 1999:396480 CAPLUS
DN 131:199998
TI Design and synthesis of potent and selective peptidomimetic vitronectin receptor antagonists
AU Knolle, Jochen; Baron, Roland; Breipohl, Gerhard; Broto, Pierre; Gadek, Tom; Gourvest, Jean F.; Hammonds, Glenn R.; Peyman, Anusch; Scheunemann, Karl H.; Stilz, Hans U.; Wehner, Volkmar
CS HMR, Frankfurt am Main, D-65926, Germany
SO Pept. Proc. Am. Pept. Symp., 15th (1999), Meeting Date 1997, 181-182. Editor(s): Tam, James P.; Kaumaya, Pravin T. P. Publisher: Kluwer, Dordrecht, Neth. CODEN: 67UCAR
DT Conference
LA English
AB A symposium with 6 refs. Structure-activity relationship anal. based on a previously screened set of RGD mimetics led to the development of potent and specific vitronectin receptor antagonists.
IT 241126-60-3 241126-61-4
RL BAC (Biological activity or effector, except adverse); BIOL (Biological study) (design and synthesis of as potent and selective peptidomimetic vitronectin receptor antagonists)
RN 241126-60-3 CAPLUS
CN L-Phenylalanine,
N-[[[(4R)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 241126-61-4 CAPLUS
CN L-Alanine, 3-[[[(4R)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 3

L15 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1999:219805 CAPLUS

DN 130:237888

TI Synthesis of 5-ring heterocycles for use as inhibitors of leukocyte adhesion and pharmaceutical preparations comprising them

IN Wehner, Volkmar; Stilz, Hans Ulrich; Schmidt, Wolfgang; Seiffge, Dirk

PA Hoechst Marion Roussel Deutschland GmbH, Germany

SO Eur. Pat. Appl., 38 pp.

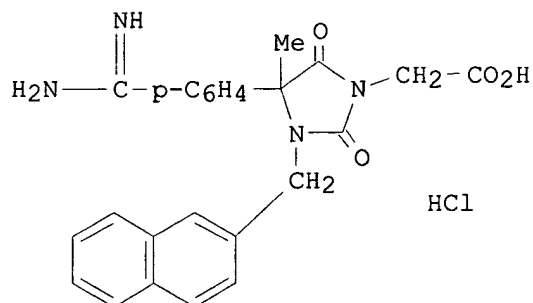
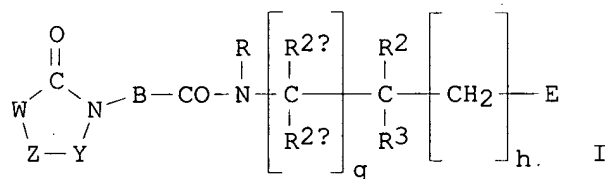
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

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PI	EP 905139	A2	19990331	EP 1998-117660	19980917
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	DE 19741873	A1	19990325	DE 1997-19741873	19970923
	CA 2247735	AA	19990323	CA 1998-2247735	19980921
	NO 9804414	A	19990324	NO 1998-4414	19980922
	AU 9886148	A1	19990415	AU 1998-86148	19980922
	CN 1216767	A	19990519	CN 1998-119544	19980922
	JP 11180960	A2	19990706	JP 1998-268352	19980922
	US 6034238	A	20000307	US 1998-158772	19980923
PRAI	DE 1997-19741873		19970923		
OS	MARPAT 130:237888				
GI					



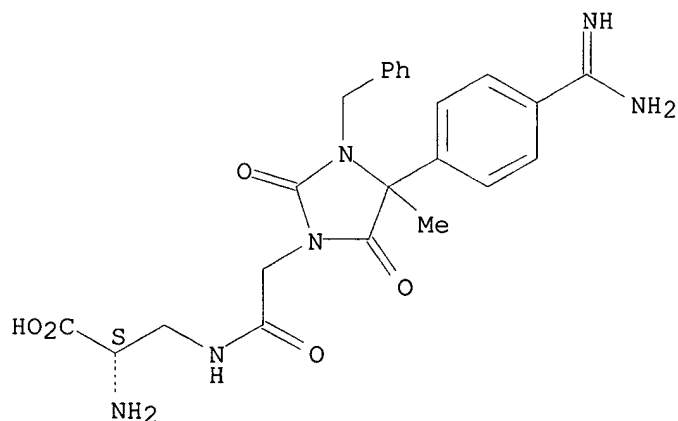
III

Searched by John Dantzman

308-4488

AB Title compds. [(I); W = R1-A-C(R13), R1-A-CH:C; R1 = X-NH-C(:NH)(CH2)p, X1-NH-(CH2)p; p = 0-3; R13 = H, (substituted)(aryl)alkyl; Y = CO, CS, :CH; Z = N(R0), O, S, :CH; R0 = H, (substituted)((bi-, tri-)cyclo)alkyl(CO, CS); B = (substituted)alkylene; E = CHN4; (substituted)phosphate, SO3H, SO2NHR9, COR10; R9 = H, CONH2, (substituted)(cyclo)alkylamino-carbonyl; R10 = OH, (substituted)(aryl)alkoxy, aryloxy, (substituted)amino; R, R2, R2a, R2b = (independently) H, (cyclo)alkyl, (substituted)aryl; R3 = (substituted)NH2, (bi-, tri-)cycloalkyl; g, h = independently 0,1],
 useful for treatment or prevention of rheumatoid arthritis, inflammatory bowel disease, systematic lupus erythematosus, or inflammatory diseases of the central nervous system, were prepd. and tested. Thus, I [W = (R,S)-(4-H2N(NH:)C-C6H4)(Me)C; Y = C(O); Z = NCH2(2-Cl0H7); B = CH2; R = Me; R2aCR2b = (S)-CH(CH2CO2H); R2,R3 = O; E = L-valine morpholide; g = 1; h = 0 (II)] was synthesized in three steps from N-benzyloxy-carbonyl-L-valine, N-benzyloxy-carbonyl-N-Me-L-Asp(OBu-t)OH and III (synthesis given). In in vitro adhesion tests using human U937 cells, II had IC50 0.73.mu.M.
 IT **221215-07-2P 221215-08-3P 221274-79-9P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of in the synthesis of 5-ring heterocycles for use as inhibitors of leukocyte adhesion)
 RN 221215-07-2 CAPLUS
 CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-, dihydrochloride (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

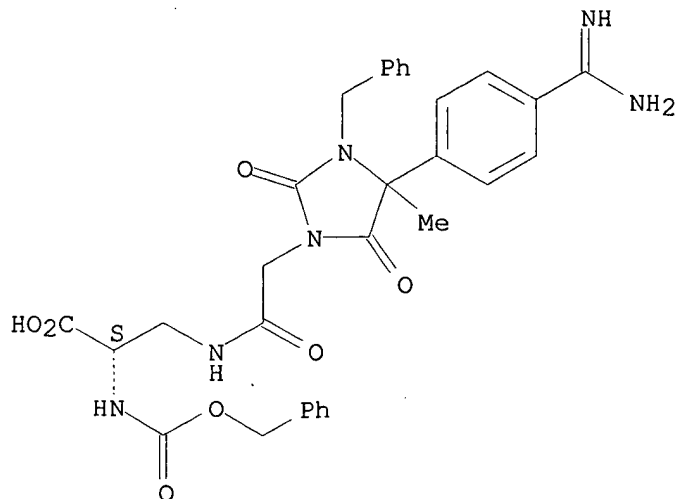
RN 221215-08-3 CAPLUS

Searched by John Dantzman

308-4488

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-
(9CI) (CA INDEX NAME)

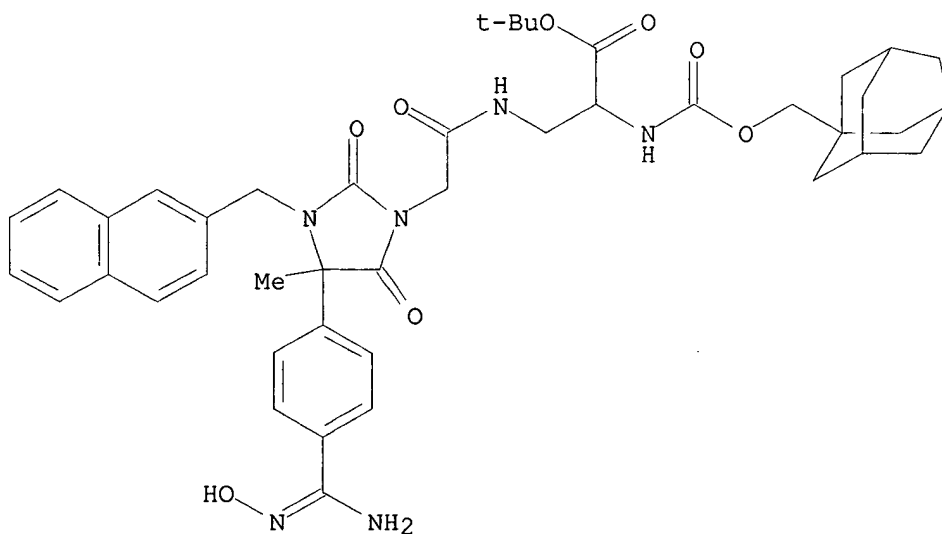
Absolute stereochemistry.



RN 221274-79-9 CAPLUS

CN L-Alanine,

3-[[[(4S)-4-[4-[(Z)-amino(hydroxyimino)methyl]phenyl]-4-methyl-3-(2-naphthalenylmethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethoxy)carbonyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)



IT 221215-11-8P 221215-12-9P 221215-13-0P

Searched by John Dantzman

308-4488

221215-14-1P

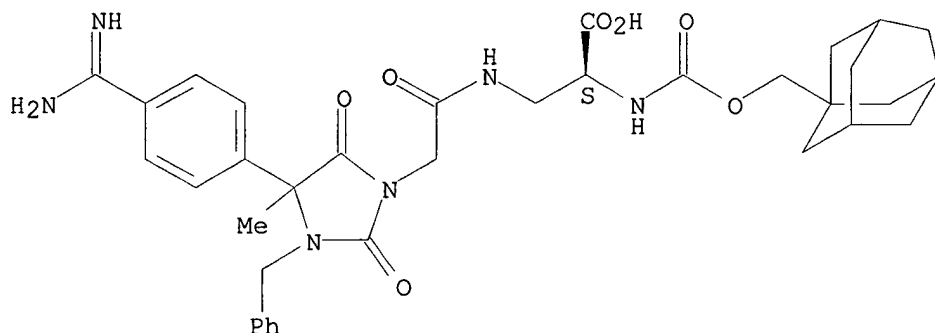
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of for use as inhibitors of leukocyte adhesion)

RN 221215-11-8 CAPLUS

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-N-[(tricyclo[3.3.1.1.3,7]dec-1-ylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

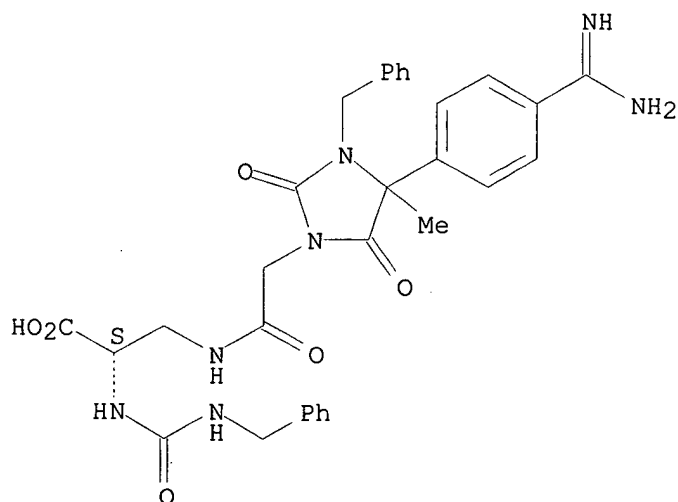
Absolute stereochemistry.



RN 221215-12-9 CAPLUS

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-N-[[[(phenylmethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



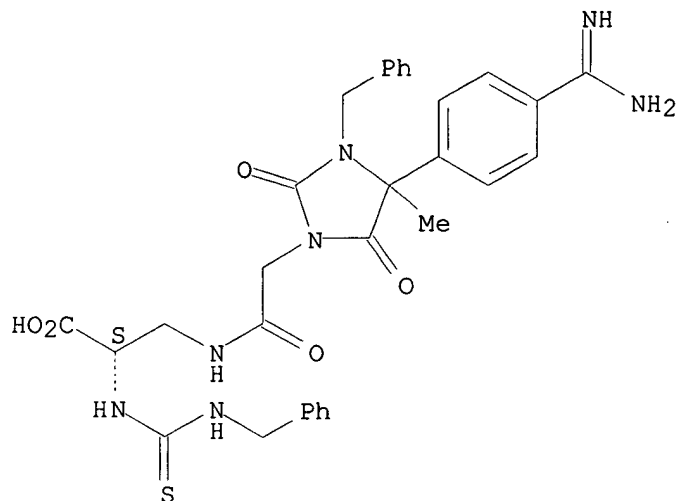
RN 221215-13-0 CAPLUS

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-N-

Searched by John Dantzman 308-4488

[[(phenylmethyl)amino]thioxomethyl]- (9CI) (CA INDEX NAME)

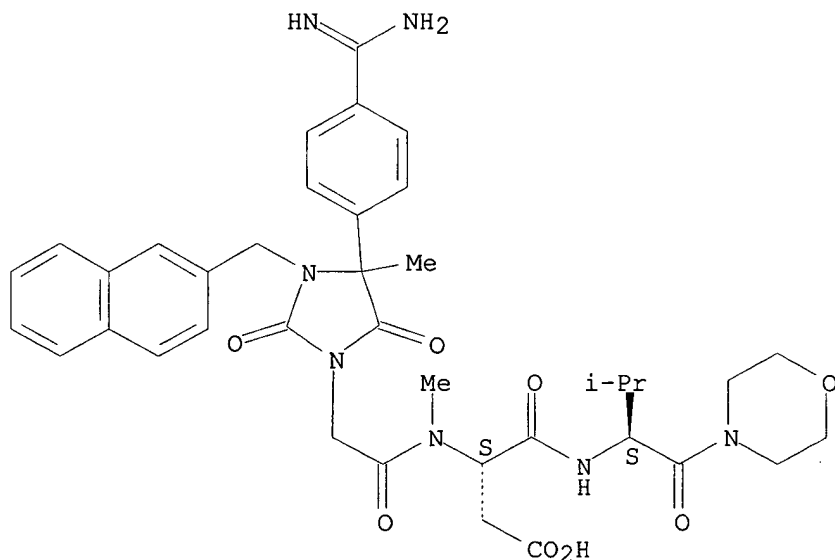
Absolute stereochemistry.



RN 221215-14-1 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-3-(2-naphthalenylmethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]methylamino]-4-
(9CI) [[(1S)-2-methyl-1-(4-morpholinylcarbonyl)propyl]amino]-4-oxo-, (3S)-
(CA INDEX NAME)

Absolute stereochemistry.



IT 221274-82-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
Searched by John Dantzman 308-4488

study); PREP (Preparation); USES (Uses)

(prepn. of for use as inhibitors of leukocyte adhesion)

RN 221274-82-4 CAPLUS

CN L-Alanine,

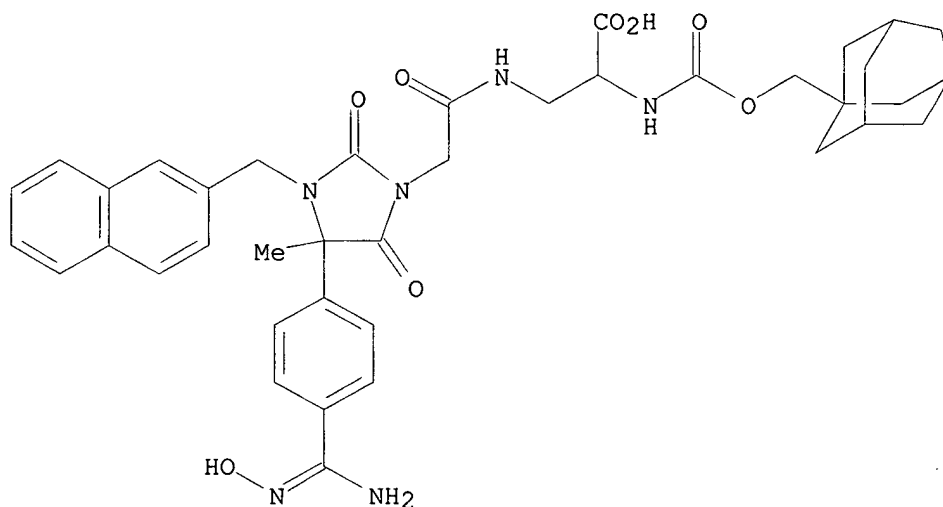
3-[[[(4S)-4-[4-[(Z)-amino(hydroxyimino)methyl]phenyl]-4-methyl-3-(2-naphthalenylmethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethoxy)carbonyl]-, mono(trifluoroacetate)
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 221274-81-3

CMF C39 H44 N6 O8

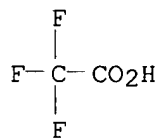
CDES *



CM 2

CRN 76-05-1

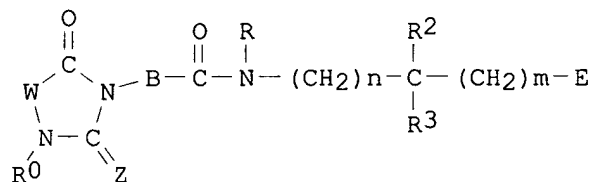
CMF C2 H F3 O2



=> d bib abs hitstr 4

L15 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2000 ACS
 AN 1999:209146 CAPLUS
 DN 130:223600
 TI Imidazolidine derivatives, their preparation and use, and pharmaceutical compositions containing them
 IN Wehner, Volkmar; Stilz, Hans Ulrich; Schmidt, Wolfgang; Seiffge, Dirk
 PA Hoechst Marion Roussel Deutschland GmbH, Germany
 SO Eur. Pat. Appl., 66 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 903353	A1	19990324	EP 1998-117231	19980911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	DE 19741235	A1	19990325	DE 1997-19741235	19970918
	NO 9804309	A	19990319	NO 1998-4309	19980917
	AU 9885231	A1	19990401	AU 1998-85231	19980917
	JP 11158157	A2	19990615	JP 1998-263164	19980917
	CN 1218047	A	19990602	CN 1998-119629	19980918
PRAI	DE 1997-19741235		19970918		
OS	MARPAT 130:223600				
GI					

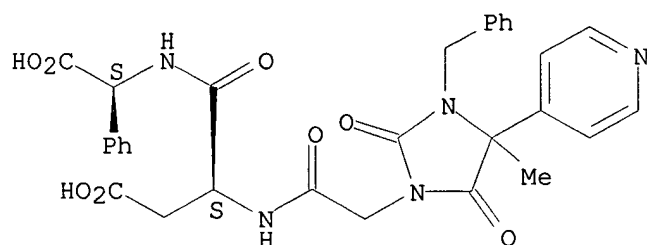


AB Title compds. [(I); W = R1AC(R13); R1ACH:C; R1 = H, (substituted)(cyclo)alkyl; R13 = H, (aryl)alkyl; Z = O, S; R0 = H, (cyclo)alkyl, aryl; A = (substituted)(cyclo)alkyl; B = (substituted)alkyl, alkenyl, (substituted)Ph; R2 = H, (cyclo)alkyl, (substituted)aryl; R3 = H, alkyl, (substituted)(cyclo)aryl, alkenyl, alkynyl; E = tetrazolyl, (R8O)2P(O); HO2S, R9NHSO2, R10CO; R8 = H, alkyl, (substituted)aryl; R9 = H, (substituted)NHCO; R10 = OH, (aryl)alkoxy, (substituted)NH2; n, m = independently 0 or 1], useful for inhibition and prevention of leukocyte adhesion or migration, VLA-4 receptor/ligand interactions, and cell adhesion-mediated pathologies, were prepd. and tested. Thus, I [W = (S)-C(CH3)(4-HOCH2C6H4); R0 = CH2Ph; B = CH2; R = H; n = 1; m = 0; R2 = (S)-NHC(O)OCH2-adamantyl; R3 = H; E = CO2H (II)] was prepd. from

((S)-4-(4-hydroxymethyl-phenyl)-3-benzyl-4-methyl-2,5-dioxo-imidazoliden-1-
 Searched by John Dantzman 308-4488

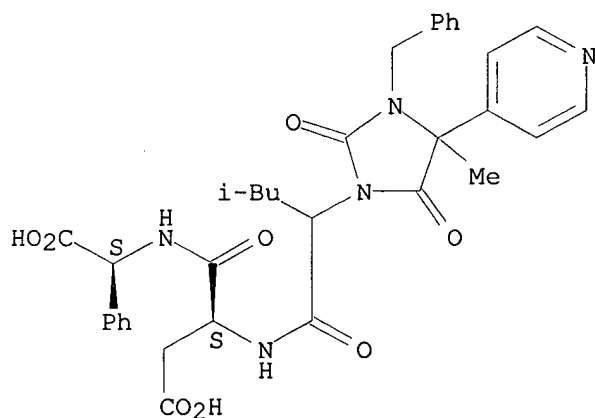
yl)-acetic acid (prepn. given) and
 (S)-2-(1-adamantylmethyloxycabonylamino
)-3-amino-propionic acid tert-Bu ester. In in vitro tests using U937
 cells and hVCAM-1(1-3)-IgG, II had IC50 4.mu.M.
 IT 221126-03-0P 221126-04-1P 221127-55-5P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
 study); PREP (Preparation); USES (Uses)
 (prepn. of for use as leukocyte adhesion or migration inhibitors)
 RN 221126-03-0 CAPLUS
 CN Glycine, N-[[4-methyl-2,5-dioxo-3-(phenylmethyl)-4-(4-pyridinyl)-1-
 imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



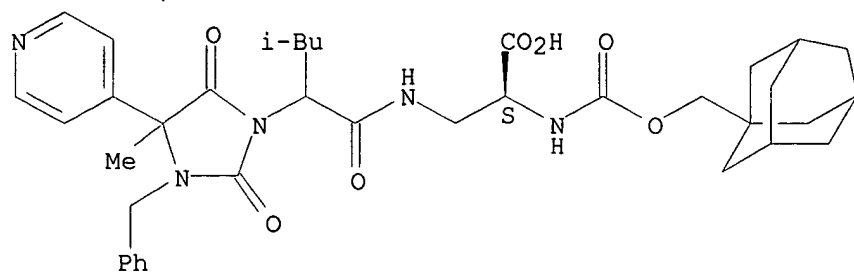
RN 221126-04-1 CAPLUS
 CN Glycine, N-[4-methyl-2-[4-methyl-2,5-dioxo-3-(phenylmethyl)-4-(4-
 pyridinyl)-1-imidazolidinyl]-1-oxopentyl]-L-.alpha.-aspartyl-2-phenyl-,
 (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221127-55-5 CAPLUS
 CN L-Alanine, 3-[[4-methyl-2-[4-methyl-2,5-dioxo-3-(phenylmethyl)-4-(4-
 pyridinyl)-1-imidazolidinyl]-1-oxopentyl]amino]-N-
 [(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 5

L15 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1998:563980 CAPLUS

DN 130:29124

TI Use of isothermal heat conduction microcalorimetry to evaluate stability and excipient compatibility of a solid drug

AU Selzer, Torsten; Radau, Manfred; Kreuter, Jorg

CS Institut fur Pharmazeutische Technologie, Johann Wolfgang Goethe Universitat, Frankfurt, 60439, Germany

SO Int. J. Pharm. (1998), 171(2), 227-241

CODEN: IJPHDE; ISSN: 0378-5173

PB Elsevier Science B.V.

DT Journal

LA English

AB Isothermal heat conduction microcalorimetry was used to evaluate chem. stability and excipient compatibility of a solid drug. Calorimetric data were compared with HPLC data in order to det. the origin of the thermal events. For the pure solid drug, heat flow time curves became constantly exothermic after 3-4 days in the temp. range from 60 to 80.degree. and were due to chem. decompn. The activation energy calcd. by both methods (microcalorimetry and HPLC) was 170 kJ/mol. A plot of the evolved heat Q vs. the amt. of degraded drug showed a linear relationship. Binary

mixts.

and granules led to higher exothermic signals for microcryst. cellulose (MCC), potato starch and lactose, and indicated lower stability. In the case of MCC and lactose, phys. processes were superimposed and made the interpretation of the heat flow data difficult. In the case of the other systems the exothermic heat flow was in the same range as for the pure solid drug. Neither was physicochem. interaction detected, nor was the chem. decompn. accelerated by the excipients. By combining calorimetric and HPLC data, the prediction of final shelf-life at room temp. was estd.

IT 177563-41-6, S 95-5740

RL: PEP (Physical, engineering or chemical process); PRP (Properties);

THU

(Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (isothermal microcalorimetry for evaluation of stability and excipient compatibility of solid drug)

RN 177563-41-6 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[(4S)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester,

(.beta.S)-,

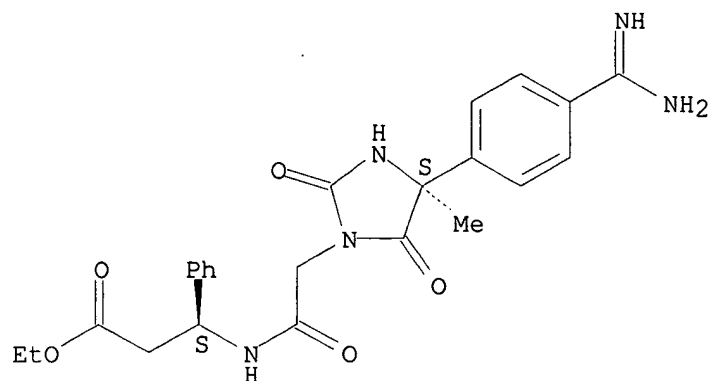
monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 177563-40-5

CMF C24 H27 N5 O5

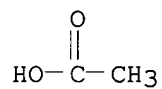
Absolute stereochemistry. Rotation (-).



CM 2

CRN 64-19-7

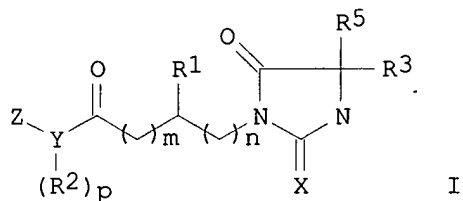
CMF C2 H4 O2



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=> d bib abs hitstr 6
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L15  ANSWER 6 OF 28  CAPLUS  COPYRIGHT 2000  ACS
AN   1998:543055  CAPLUS
DN   129:161842
TI   Preparation and biological testing of dipeptide-derived hydantoin and
      thiohydantoin combinatorial libraries
IN   Meyer, Jean-Philippe; Ostresh, John M.; Houghten, Richard A.
PA   Trega Biosciences, Inc., USA
SO   PCT Int. Appl., 122 pp.
      CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9833776	A1	19980806	WO 1997-US22388	19971205
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	US 5859190	A	19990112	US 1997-794363	19970204
	AU 9853761	A1	19980825	AU 1998-53761	19971205
PRAI	US 1997-794363		19970204		
	WO 1997-US22388		19971205		
OS	MARPAT 129:161842				
GI					



AB The invention provides a rapid approach for combinatorial synthesis and screening of dipeptide-derived hydantoin and thiohydantoin compds. and combinatorial libraries and sublibraries I [R1, R3 independently = H, (un)substituted C1-10 alkyl, (un)substituted C7-16 phenylalkyl, (un)substituted Ph, (un)substituted amino, (un)substituted carboxy, (un)substituted carbamoyl, (un)substituted C3-7 cycloalkyl; R2, R4, R5 independently = H, C1-10 alkyl, C2-10 alkenyl, (un)substituted benzyl, (un)substituted naphthylmethyl; X = O, S; Y = N, p = 1, Z = H, amino resin; Y = O, p = 0, Z = H, hydroxy resin; m = 0-5; n = 0-4]. Relative reaction rates for solid-phase peptide coupling of 139 N-protected amino acid derivs. are also given. Thus, nearly 600 hydantoin and thiohydantoin

Searched by John Dantzman 308-4488

combinatorial libraries and sublibraries I were prepd. and tested for antibacterial activity and opioid receptor binding selectivity.

IT 211248-23-6DP, combinatorial libraries contg.
 211248-35-0DP, combinatorial libraries contg.
 211248-48-5DP, combinatorial libraries contg.
 211248-80-5DP, combinatorial libraries contg.
 211248-81-6DP, combinatorial libraries contg.
 211248-82-7DP, combinatorial libraries contg.
 211248-84-9DP, combinatorial libraries contg.
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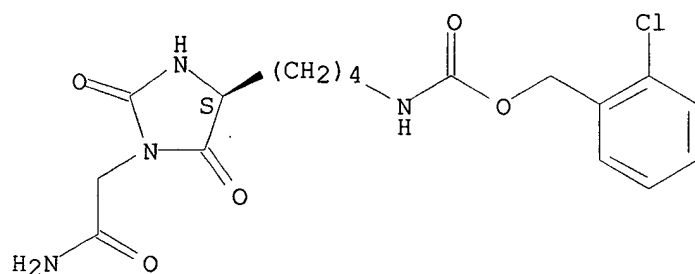
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and biol. testing of dipeptide-derived hydantoin and thiohydantoin combinatorial libraries)

RN 211248-23-6 CAPLUS

CN Carbamic acid, [4-[(4S)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]butyl]-, (2-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

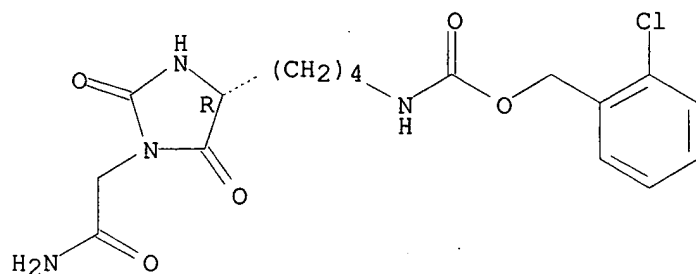
Absolute stereochemistry.



RN 211248-35-0 CAPLUS

CN Carbamic acid, [4-[(4R)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]butyl]-, (2-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

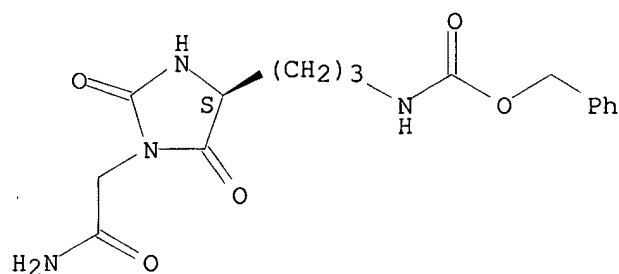
Absolute stereochemistry.



RN 211248-48-5 CAPLUS

CN Carbamic acid, [3-[(4S)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

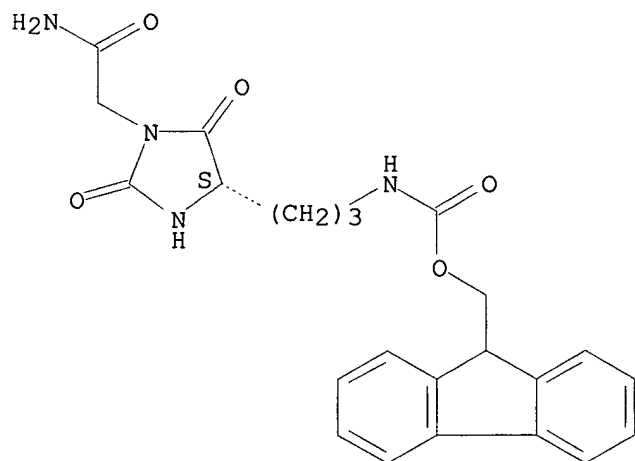
Absolute stereochemistry.



RN 211248-80-5 CAPLUS

CN Carbamic acid, [3-[(4S)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]propyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

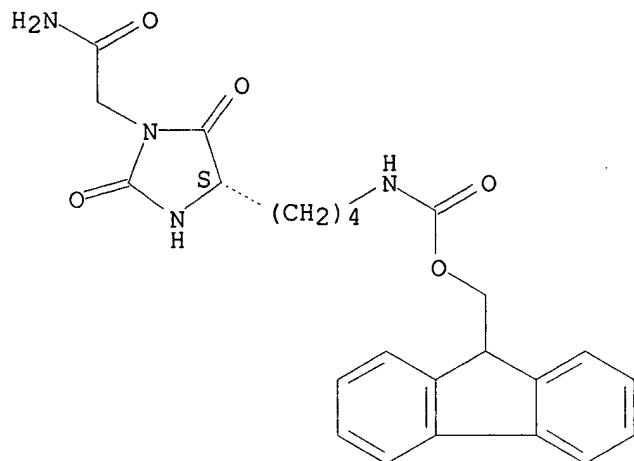
Absolute stereochemistry.



RN 211248-81-6 CAPLUS

CN Carbamic acid, [4-[(4S)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]butyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

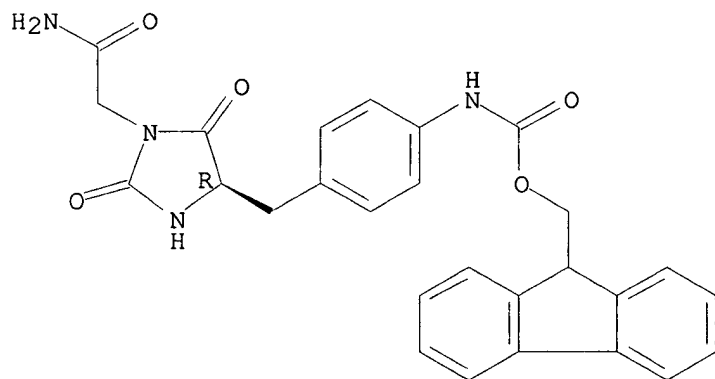
Absolute stereochemistry.



RN 211248-82-7 CAPLUS

CN Carbamic acid, [4-[[[(4R)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]methyl]phenyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

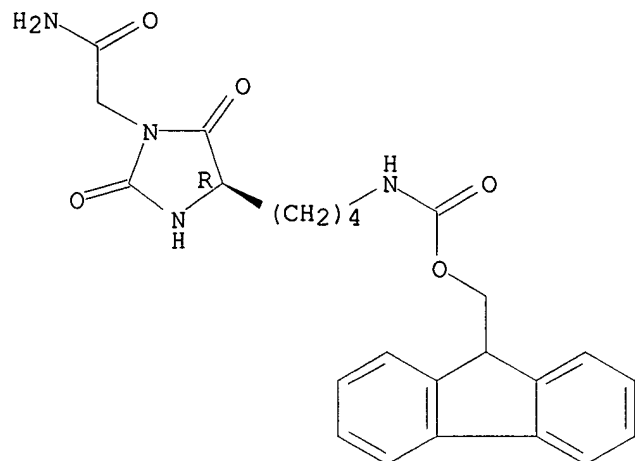
Absolute stereochemistry.



RN 211248-84-9 CAPLUS

CN Carbamic acid, [4-[(4R)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]butyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

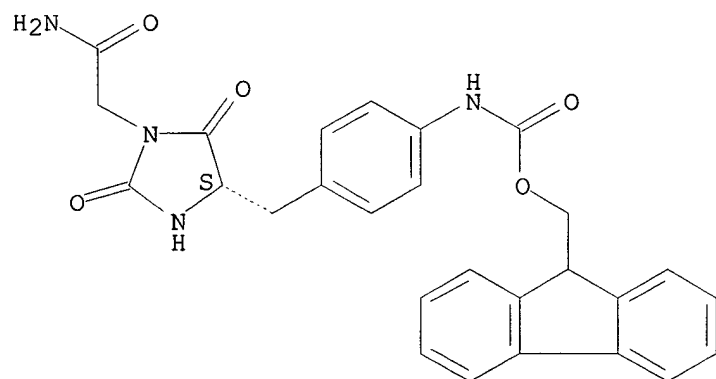
Absolute stereochemistry.



RN 211248-86-1 CAPLUS

CN Carbamic acid, [4-[[[(4S)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]methyl]phenyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

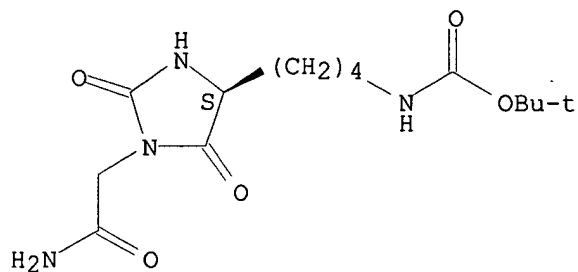
Absolute stereochemistry.



RN 211253-10-0 CAPLUS

CN Carbamic acid, [4-[(4S)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

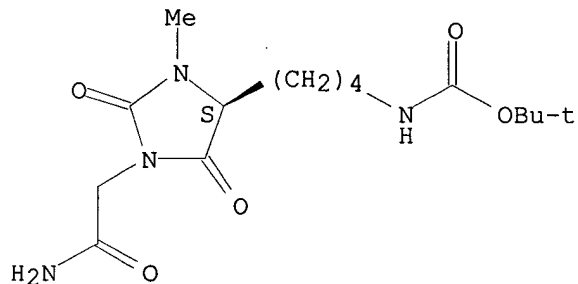
Absolute stereochemistry.



RN 211253-11-1 CAPLUS

CN Carbamic acid, [4-[(4S)-1-(2-amino-2-oxoethyl)-3-methyl-2,5-dioxo-4-imidazolidinyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

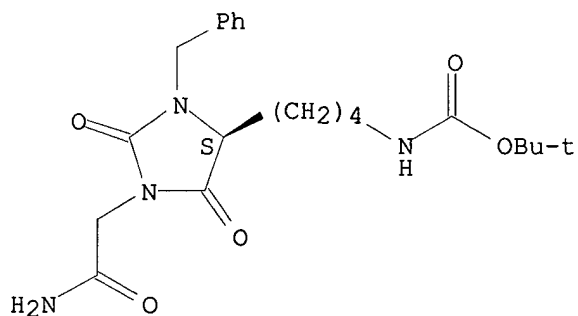
Absolute stereochemistry.



RN 211253-12-2 CAPLUS

CN Carbamic acid, [4-[(4S)-1-(2-amino-2-oxoethyl)-2,5-dioxo-3-(phenylmethyl)-4-imidazolidinyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

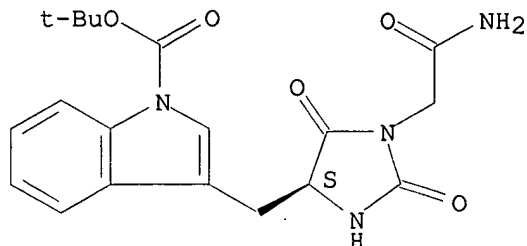
Absolute stereochemistry.



RN 211253-34-8 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[[[(4S)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

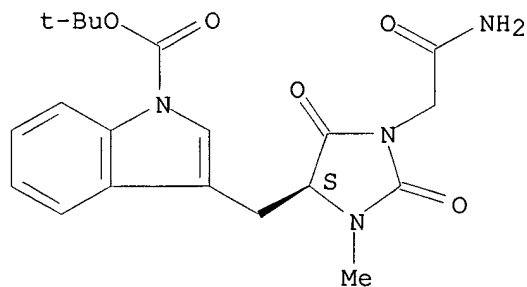
Absolute stereochemistry.



RN 211253-35-9 CAPLUS

CN 1H-Indole-1-carboxylic acid,
3-[[[(4S)-1-(2-amino-2-oxoethyl)-3-methyl-2,5-
dioxo-4-imidazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX
NAME)

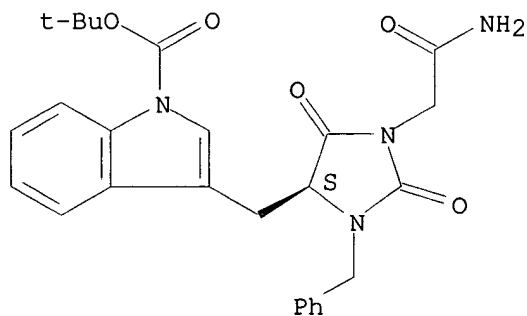
Absolute stereochemistry.



RN 211253-36-0 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[[[(4S)-1-(2-amino-2-oxoethyl)-2,5-dioxo-3-
(phenylmethyl)-4-imidazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

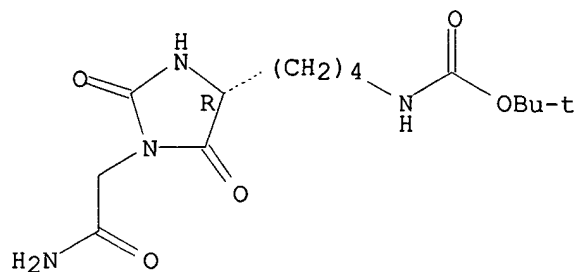
Absolute stereochemistry.



RN 211253-58-6 CAPLUS

CN Carbamic acid, [4-[(4R)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-
imidazolidinyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

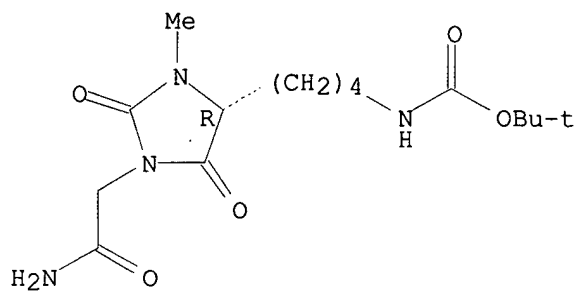
Absolute stereochemistry.



RN 211253-59-7 CAPLUS

CN Carbamic acid, [4-[(4R)-1-(2-amino-2-oxoethyl)-3-methyl-2,5-dioxo-4-imidazolidinyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

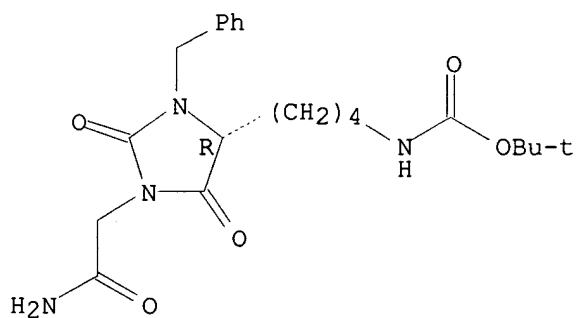
Absolute stereochemistry.



RN 211253-61-1 CAPLUS

CN Carbamic acid, [4-[(4R)-1-(2-amino-2-oxoethyl)-2,5-dioxo-3-(phenylmethyl)-4-imidazolidinyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

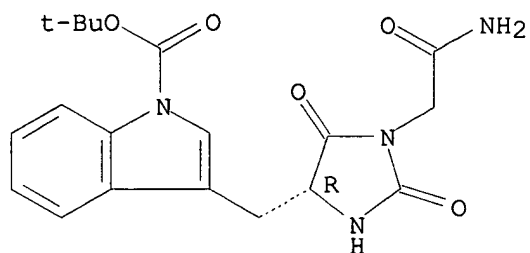
Absolute stereochemistry.



RN 211253-79-1 CAPLUS

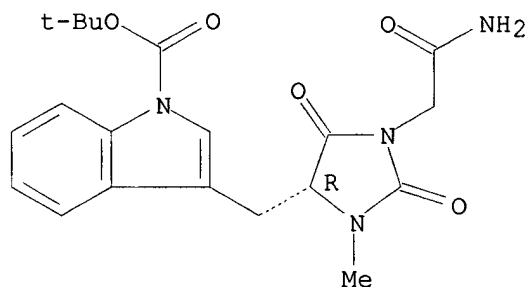
CN 1H-Indole-1-carboxylic acid, 3-[[[(4R)-1-(2-amino-2-oxoethyl)-2,5-dioxo-4-imidazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



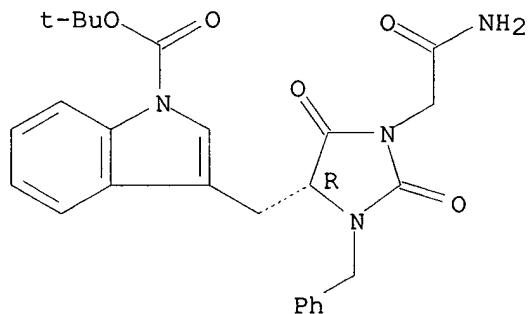
RN 211253-80-4 CAPLUS
CN 1H-Indole-1-carboxylic acid,
3-[[[(4R)-1-(2-amino-2-oxoethyl)-3-methyl-2,5-
dioxo-4-imidazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



RN 211253-81-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[[[(4R)-1-(2-amino-2-oxoethyl)-2,5-dioxo-3-
(phenylmethyl)-4-imidazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 7

L15 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1998:507699 CAPLUS

DN 129:225489

TI From a peptide lead to an orally active peptidomimetic fibrinogen receptor

antagonist

AU Stilz, Hans Ulrich; Guba, Wolfgang; Jablonka, Bernd; Just, Melitta; Klingler, Otmar; Konig, Wolfgang; Wehner, Volkmar; Zoller, Gerhard

CS Chemical Research, Hoechst Marion Roussel, The Health Care Division of Hoechst AG, Frankfurt am Main, D-65926, Germany

SO Lett. Pept. Sci. (1998), 5(2-3), 215-221

CODEN: LPSCEM; ISSN: 0929-5666

PB Kluwer Academic Publishers

DT Journal

LA English

AB Antagonists of the platelet fibrinogen receptor (GP IIb/IIIa receptor) are

expected to be a new promising class of antithrombotic agents. The binding of fibrinogen to the fibrinogen receptor depends on an Arg-Gly-Asp-Ser (RGDS) tetrapeptide recognition motif. Structural modifications of the RGDS lead have led to the discovery of a non-peptide RGD mimetic GP IIb/IIIa antagonist (S 1197). S 1197 dose-dependently and reversibly inhibits human platelet aggregation. Modeling studies based

on

structure-activity data revealed the following structural features of the drug as important for receptor binding: the amidino group, the

carboxylate

group, hydrophobic substitutions at the carboxyl-terminus and at the side chain carrying the pos. charge, the carboxyl-terminal NH group of the .beta.-amino acid as a hydrogen bond donor and one oxygen atom of the hydantoin as a hydrogen bond acceptor. The Et ester prodrug of S 1197 (S 5740) is an orally active antithrombotic agent which has the potential to be used to treat and prevent thrombotic diseases in humans.

IT 157549-93-4 157549-94-5 169807-87-8

169807-93-6 169807-95-8 170565-12-5

170565-37-4 170565-41-0 170565-44-3, S 1197

170565-50-1 177563-44-9 212902-54-0

212902-57-3 212902-60-8 212902-64-2

212902-68-6 212902-71-1 212902-75-5

212902-83-5 212902-86-8

RL: BAC (Biological activity or effector, except adverse); THU

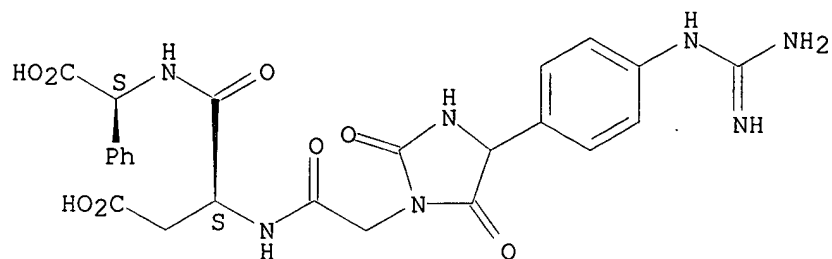
(Therapeutic use); BIOL (Biological study); USES (Uses)

(from a peptide lead to an orally active peptidomimetic fibrinogen receptor antagonist)

RN 157549-93-4 CAPLUS

CN Glycine, N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

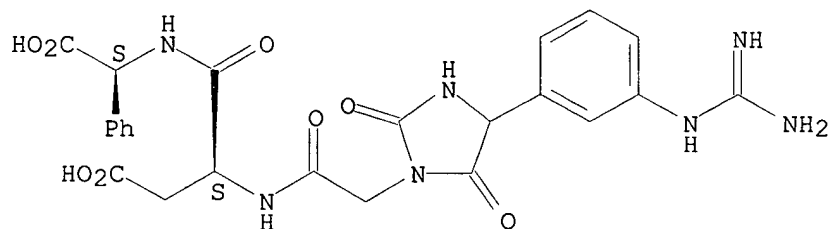
Absolute stereochemistry.



RN 157549-94-5 CAPLUS

CN Glycine, N-[[4-[3-[(aminoiminomethyl)amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

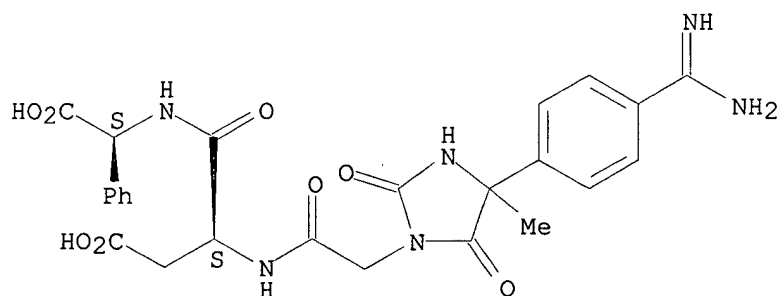
Absolute stereochemistry.



RN 169807-87-8 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

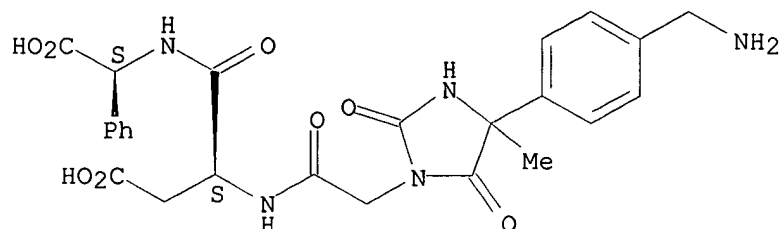
Absolute stereochemistry.



RN 169807-93-6 CAPLUS

CN Glycine, N-[[4-[4-(aminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

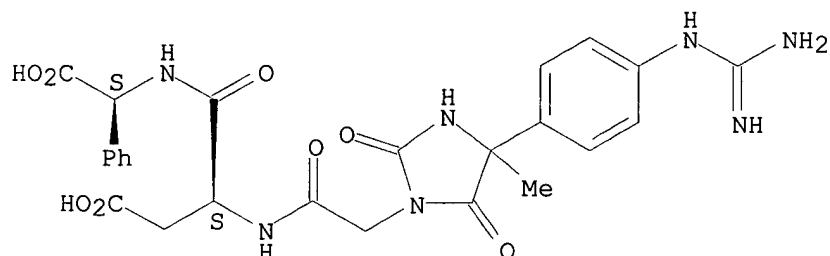
Absolute stereochemistry.



RN 169807-95-8 CAPLUS

CN Glycine, N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

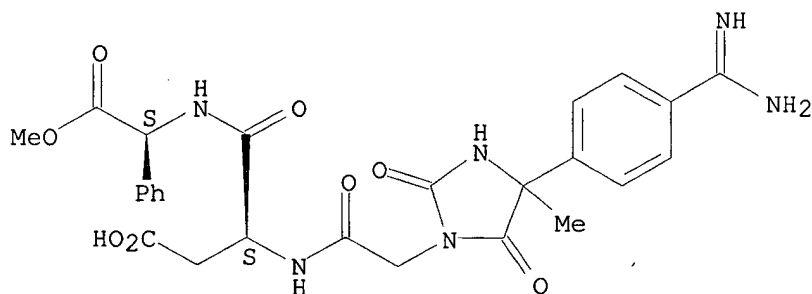
Absolute stereochemistry.



RN 170565-12-5 CAPLUS

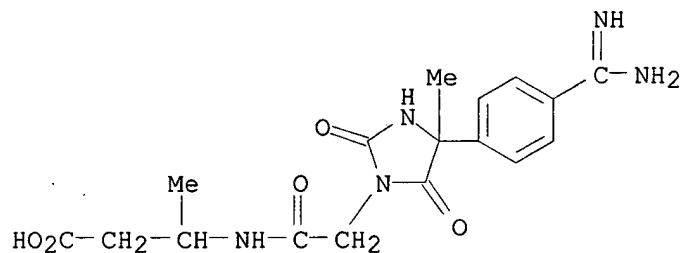
CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, 2-methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170565-37-4 CAPLUS

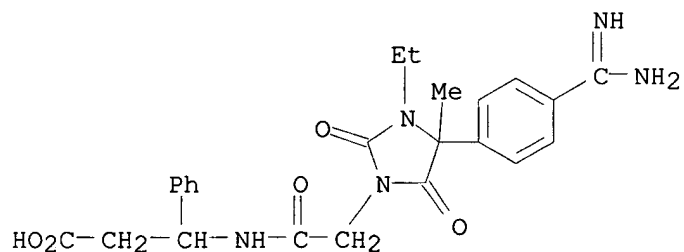
CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)



RN 170565-41-0 CAPLUS

CN Benzenepropanoic acid,

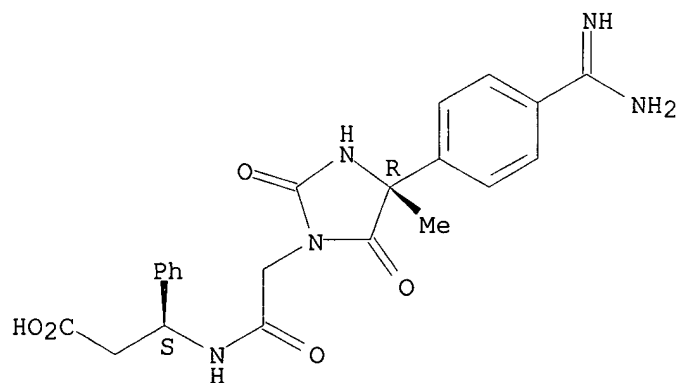
.beta.-[[[4-[4-(aminoiminomethyl)phenyl]-3-ethyl-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)



RN 170565-44-3 CAPLUS

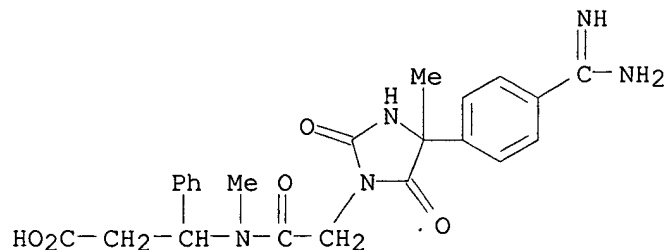
CN Benzenepropanoic acid, .beta.-[[[(4R)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



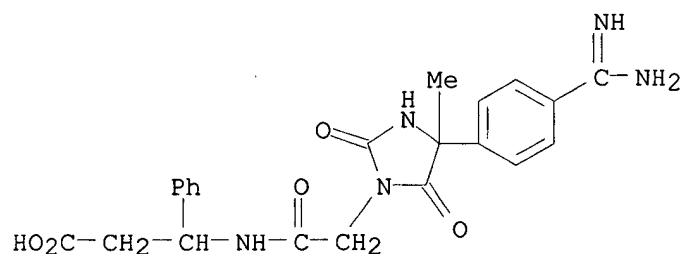
RN 170565-50-1 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]methylamino]- (9CI) (CA INDEX NAME)



RN 177563-44-9 CAPLUS

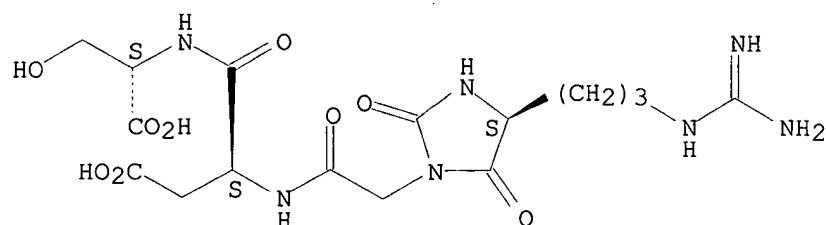
CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)



RN 212902-54-0 CAPLUS

CN L-Serine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)

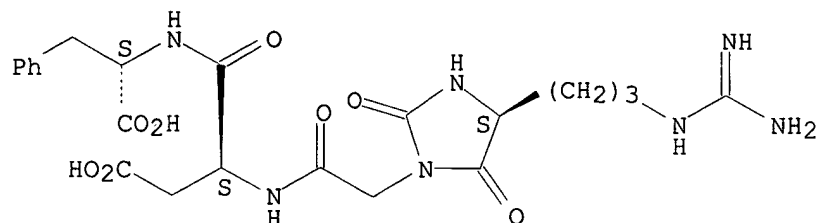
Absolute stereochemistry.



RN 212902-57-3 CAPLUS

CN L-Phenylalanine,
N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)

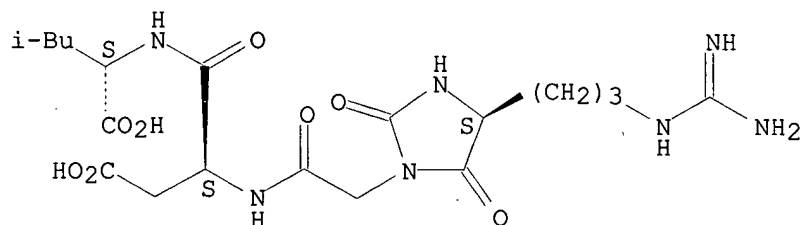
Absolute stereochemistry.



RN 212902-60-8 CAPLUS

CN L-Leucine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

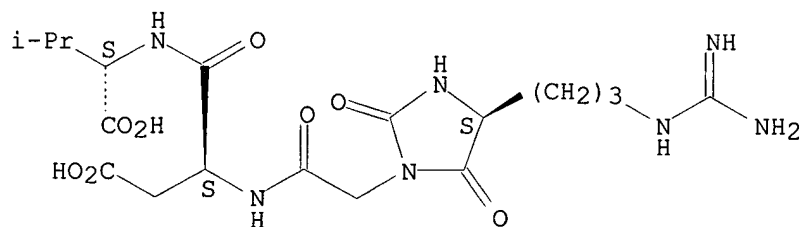
Absolute stereochemistry.



RN 212902-64-2 CAPLUS

CN L-Valine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

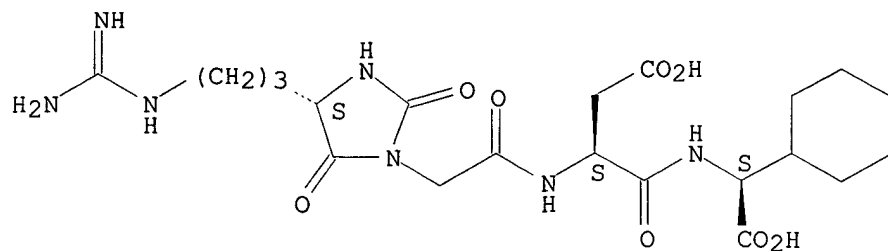
Absolute stereochemistry.



RN 212902-68-6 CAPLUS

CN Glycine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-cyclohexyl-, (2S)- (9CI) (CA INDEX NAME)

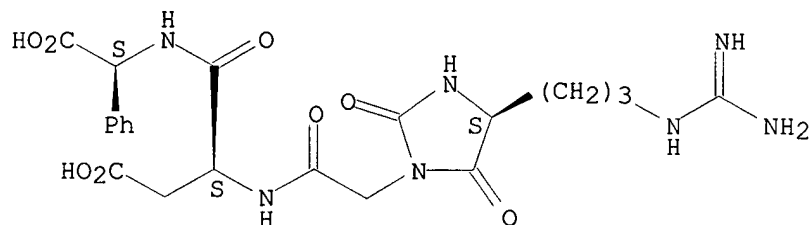
Absolute stereochemistry.



RN 212902-71-1 CAPLUS

CN Glycine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

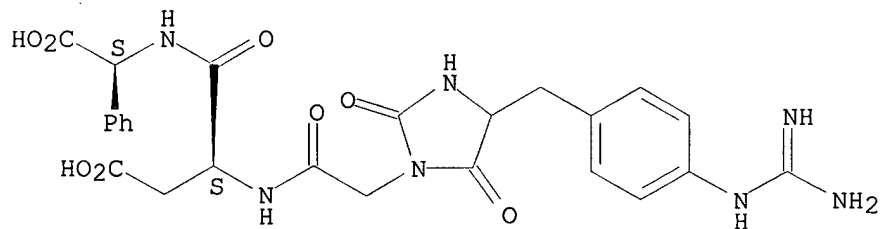
Absolute stereochemistry.



RN 212902-75-5 CAPLUS

CN Glycine, N-[[[4-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

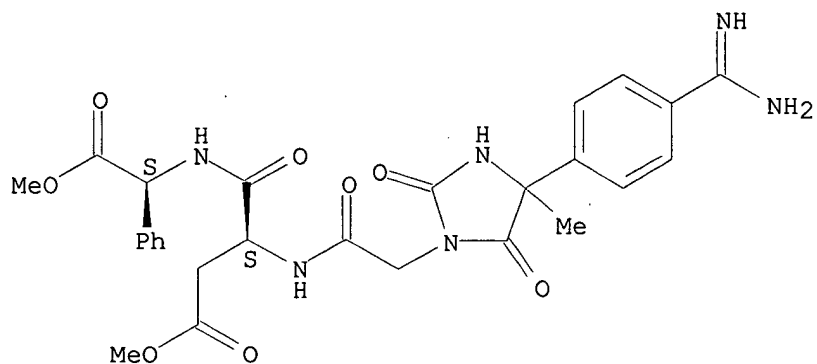
Absolute stereochemistry.



RN 212902-83-5 CAPLUS

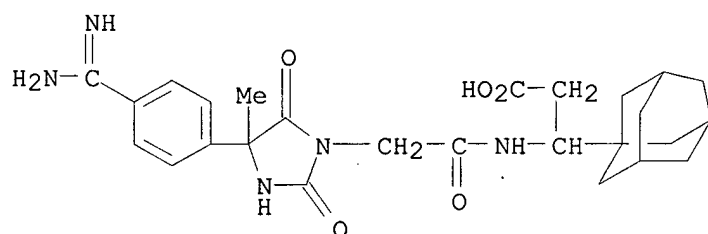
CN Glycine, N-[[[4-[[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, dimethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



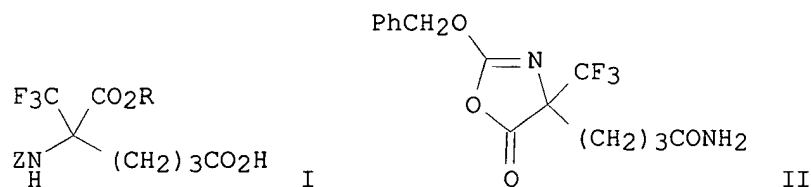
RN 212902-86-8 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-propanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 8

L15 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2000 ACS
AN 1998:350000 CAPLUS
DN 129:68027
TI Synthesis of RGD analogs containing .alpha.-Tfm-arginine as potential
fibrinogen receptor antagonists
AU Dal Pozzo, Alma; Muzi, Laura; Moroni, Maurizio; Rondanin, Riccardo; De
Castiglione, Roberto; Bravo, Piefrancesco; Zanda, Matteo
CS Istituto di Ricerche Chimiche e Biochimiche "G. Ronzoni", Milan, 20133,
Italy
SO Tetrahedron (1998), 54(22), 6019-6028
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 129:68027
GI



AB The synthesis of two peptide mimetics of RGD, .alpha.-Tfm-Arg-Gly-Asp-Phe-NH₂ (Tfm = trifluoromethyl) and .alpha.-Tfm-Arg-Gly-Asp-NH(CH₂)₂Ph, is described. The precursor of .alpha.-Tfm-ornithine was obtained in two synthetic steps from diacid monoesters I (Z = PhCH₂O₂C; R = Me, Et) and introduced into the peptide chain by .alpha.-carboxy-group activation via oxazolone II. The introduction of the guanidine residue led to the final peptides as mixts. of the two diastereomers. Configurationally pure peptides were obtained in good yields by RP-HPLC.

IT **208929-89-9P**

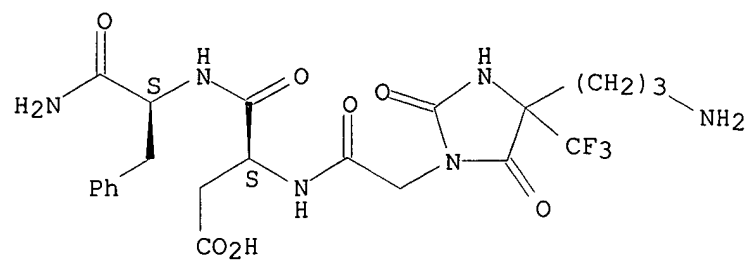
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of trifluoromethylarginine-contg. RGD analogs as potential
fibrinogen receptor antagonists)

RN 208929-89-9 CAPLUS

CN L-Phenylalaninamide,

N-[[4-(3-aminopropyl)-2,5-dioxo-4-(trifluoromethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 9

L15 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1998:335036 CAPLUS

DN 129:16398

TI Preparation of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists

IN Stilz, Hans Ulrich; Wehner, Volkmar; Huels, Christoph; Seiffge, Dirk

PA Hoechst A.-G., Germany

SO Ger. Offen., 36 pp.

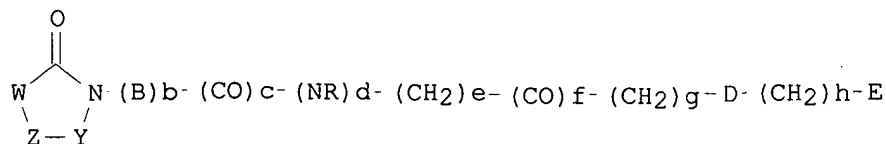
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19647382	A1	19980520	DE 1996-19647382	19961115
	EP 842944	A2	19980520	EP 1997-119639	19971110
	EP 842944	A3	19990224		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	CA 2220822	AA	19980515	CA 1997-2220822	19971112
	AU 9745257	A1	19980521	AU 1997-45257	19971113
	NO 9705245	A	19980518	NO 1997-5245	19971114
	JP 10147574	A2	19980602	JP 1997-329701	19971114
	CN 1193022	A	19980916	CN 1997-122683	19971114
	BR 9705726	A	19990720	BR 1997-5726	19971114
	US 5998447	A	19991207	US 1997-972031	19971117
PRAI	DE 1996-19647382		19961115		
OS	MARPAT 129:16398				
GI					



AB The present invention relates to novel peptide derivs. [(I); W = R1AC(R13); R1ACH:C; R1 = H, (substituted)(cyclo)alkyl; R13 = H, (aryl)alkyl; Y = CO, CS, CH2; Z = N(R0), O, S, CH2; R0 = (cyclo)alkyl, aryl; A = (substituted)(cyclo)alkyl; B = (substituted)alkyl, alkenyl, (substituted)Ph; D = C(R2)(R3), N(R3), CH-C(R3); R2 = H, (cyclo)alkyl, (substituted)aryl; R3 = H, alkyl, (substituted)(cyclo)aryl, alkenyl, alkynyl; E = tetrazolyl, (R8O)2P(O), HO3S, R9NHSO2, R10CO; R8 = H, alkyl, (substituted)aryl; R9 = H, (substituted)NHCO; R10 = OH, (aryl)alkoxy, (substituted)NH2; b, c, d, f = 0, 1 (but not all = 0); e, g, h = 0-6]

that

are useful for inhibition and prevention of leukocyte adhesion or migration, VLA-4 receptor/ligand interactions, and cell adhesion-mediated pathologies. Thus, 4-((4-aminocarbonylphenyl)-3-benzyl-4-methyl-2,5-

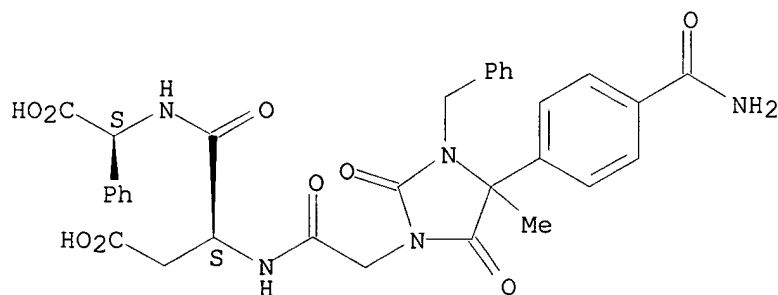
Searched by John Dantzman 308-4488

dioxoimidazolidin-1-yl)-acetyl-L-aspartyl-phenylglycine I[W = C(CH₃), (4-H₂NCO-C₆H₄-); Y = CO; Z = N(CH₂Ph); B = CH₂; R = H; D = CH(CH₂CO₂H)CONHCH(Ph); E = CO₂H; b, c, d = 1; e, f, g, h = 0 (II)] was synthesized starting with 4-H₃CCO-C₆H₄-CN and H-Asp(OC(CH₃)₃)-PhCH(NH₂)CO-OC(CH₃)₃. In in vitro tests using U937 cells and hVCAM-1(1-3)-IgG, II had IC₅₀ 7.5.mu.M.

IT **207604-21-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists)

RN 207604-21-5 CAPLUS
CN Glycine, N-[[4-[4-(aminocarbonyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

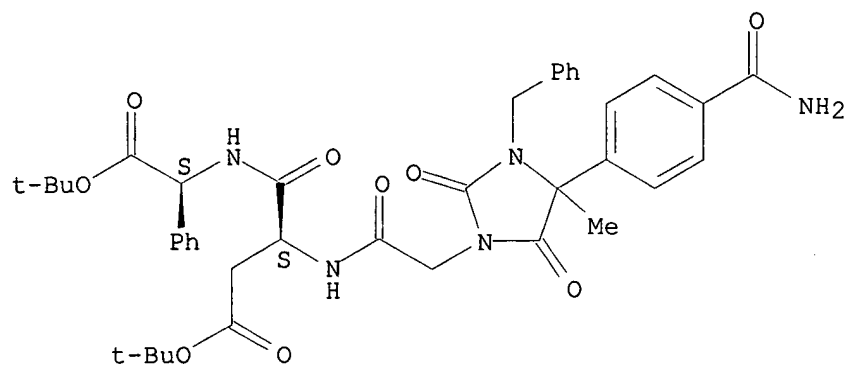
Absolute stereochemistry.



IT **207604-20-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists)

RN 207604-20-4 CAPLUS
CN Glycine, N-[[4-[4-(aminocarbonyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 10

L15 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1998:335035 CAPLUS

DN 129:16397

TI Preparation and use of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists

IN Stilz, Hans Ulrich; Wehner, Volkmar; Huels, Christoph; Seiffge, Dirk

PA Hoechst A.-G., Germany

SO Ger. Offen., 32 pp.

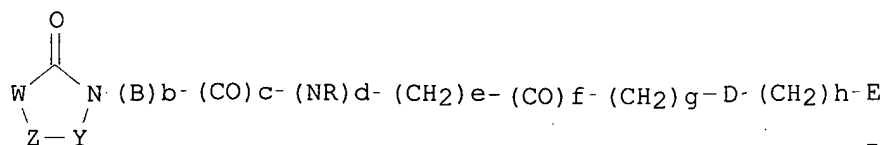
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19647381	A1	19980520	DE 1996-19647381	19961115
	EP 842945	A2	19980520	EP 1997-119636	19971110
	EP 842945	A3	19990224		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	CA 2220777	AA	19980515	CA 1997-2220777	19971112
	AU 9745155	A1	19980521	AU 1997-45155	19971113
	NO 9705246	A	19980518	NO 1997-5246	19971114
	CN 1182746	A	19980527	CN 1997-122685	19971114
	JP 10158298	A2	19980616	JP 1997-329703	19971114
	BR 9705725	A	19991123	BR 1997-5725	19971114
PRAI	DE 1996-19647381		19961115		
OS	MARPAT 129:16397				
GI					



AB The present invention relates to novel peptide derivs. [(I); W = R1AC(R13); R1ACH:C; R1 = XNHC(:NH)(CH2)p; X'NH(CH2)p; p = 0-3; R13 = H, (aryl)alkyl; Y = CO, CS, CH2; A = N(R0), O, S, CH2; R, R0 independently = (cyclo)alkyl, aryl; A = (substituted)(cyclo)alkyl; B = (substituted)alkyl, alkenyl, (substituted)Ph; D = C(R2)(R3), N(R3), CH-C(R3); R2 = H, (cyclo)alkyl, (substituted)aryl; R3 = H, alkyl, (substituted)(cyclo)aryl, alkenyl, alkynyl; E = tetrazolyl, (R8O)2P(O), HO3S, R9NHSO2, R10CO; R8 = H, alkyl, (substituted)aryl; R9 = H, (substituted)NHCO; R10 = OH, (aryl)alkoxy, (substituted)NH2; b, c, d, f = 0, 1 (but not all = 0); e, g, h = 0-6] that are useful for inhibition and prevention of leukocyte adhesion or migration, and cell adhesion-mediated pathologies. Thus, (R,S)-4-((4-cyano-phenyl)-3-((2-naphthyl)-methyl)-4-methyl-2,5-

Searched by John Dantzman 308-4488

dioxoimidazolidin-1-yl)-acetyl-(L-aspartyl)-L-phenylglycine I, [W = (RS)-CH-4-C6H4-CN; Y = CO; Z = NCH2C10H8-2; B = CH2; D = L-Asp-(S)-NHCH(Ph)CO2H; b, c = 1; d, e, f, g, h = 0(II)], was synthesized starting from NC-4-C6H4-CH2CO2H, 2-bromomethyl-naphthalene, and H-Asp(OC(CH3)3)-(S)-NHCH(Ph)CO2H.HCl in 6 steps. In in vitro tests using U937 cells and hVCAM-1(1-3)-IgG, II had IC50 30.mu.M.

IT **207735-13-5P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

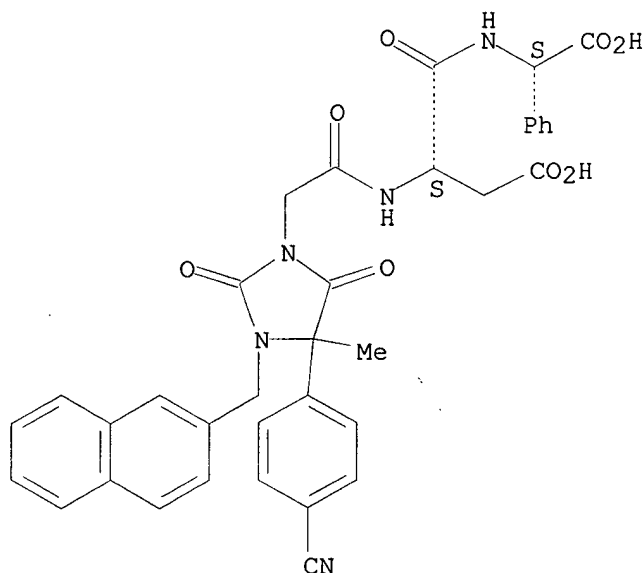
(prepn. of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists)

RN 207735-13-5 CAPLUS

CN Glycine,

N-[[4-(4-cyanophenyl)-4-methyl-3-(2-naphthalenylmethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **207735-12-4P**

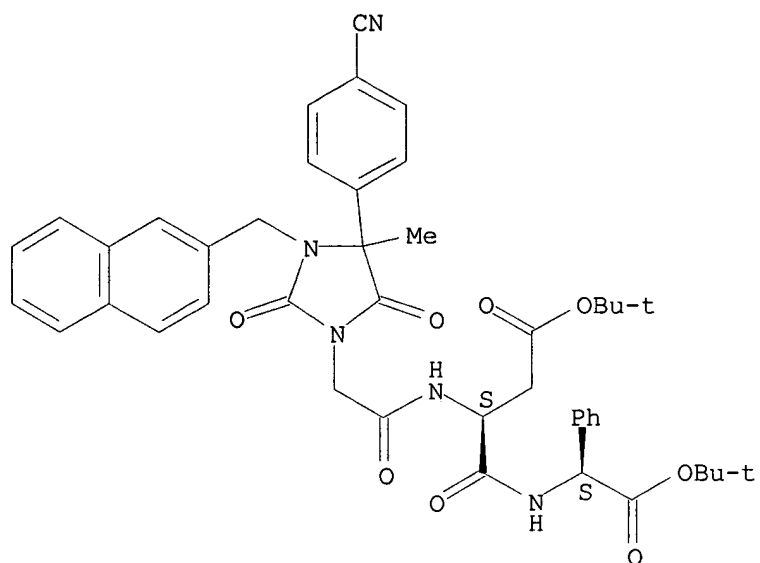
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists)

RN 207735-12-4 CAPLUS

CN Glycine,

N-[[4-(4-cyanophenyl)-4-methyl-3-(2-naphthalenylmethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 11

L15 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1998:335034 CAPLUS

DN 129:16396

TI Preparation of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists

IN Stilz, Hans Ulrich; Wehner, Volkmar; Knolle, Jochen; Bartnik, Eckart; Huels, Christoph

PA Hoechst A.-G., Germany

SO Ger. Offen., 34 pp.

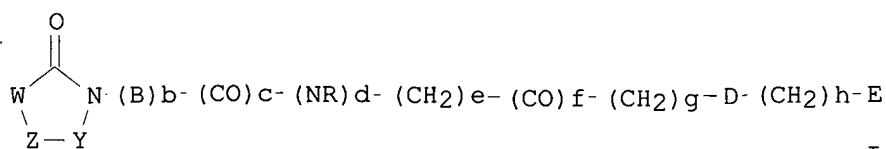
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19647380	A1	19980520	DE 1996-19647380	19961115
	EP 842943	A2	19980520	EP 1997-119638	19971110
	EP 842943	A3	19990224		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	CA 2220784	AA	19980515	CA 1997-2220784	19971112
	AU 9745159	A1	19980521	AU 1997-45159	19971113
	NO 9705244	A	19980518	NO 1997-5244	19971114
	JP 10147573	A2	19980602	JP 1997-329702	19971114
	CN 1187490	A	19980715	CN 1997-122684	19971114
PRAI	DE 1996-19647380		19961115		
OS	MARPAT 129:16396				
GI					



AB The present invention relates to novel peptide derivs. [(I); W = R1AC(R13); R1ACH:C; R1 = XNHC(:NH)(CH2)p; X'NH(CH2)p; p = 0-3; R13 = H, (aryl)alkyl; Y = CO, CS, CH2; A = N(R0), O, S, CH2; R, R0 independently = (cyclo)alkyl, aryl; A = (substituted)(cyclo)alkyl; B = (substituted)alkyl, alkenyl, (substituted)Ph; D = C(R2)(R3), N(R3), CH-C(R3); R2 = H, (cyclo)alkyl, (substituted)aryl; R3 = H, alkyl, (substituted)(cyclo)aryl, alkenyl, alkynyl; E = tetrazolyl, (R8O)2P(O), HO3S, R9NHCO2, R10CO; R8 = H, alkyl, (substituted)aryl; R9 = H, (substituted)NHCO; R10 = OH, (aryl)alkoxy, (substituted)NH2; b, c, d, f = 0, 1 (but not all = 0); e, g, h = 0-6] that are useful for inhibition and prevention of leukocyte

Searched by John Dantzman 308-4488

adhesion or migration, and cell adhesion-mediated pathologies. Thus, 4-(4-(amino-imino-methyl)-phenyl)-3-((biphenyl)-methyl-2,5-dioxoimidazolidin-1-yl)-acetyl-(L-N-methyl-aspartyl)-L-phenylglycine I, [W = (RS)-CH-4-C₆H₄-C(:NH)NH₂; Y = CO; Z = NCH₂-4-C₆H₄-Ph; B = CH₂; D = N-Me-L-Asp-(S)-NHCH(Ph)CO₂H; b, c = 1; d, e, f, g, h = 0(II)], was synthesized starting from N-PhCH₂OCO-L-Asp(OCH₂Ph)OH and H₂NCH(Ph)OC(CH₃)₃.HCl in 6 steps. In in vitro tests using U937 cells and hVCAM-1(1-3)-IgG, II had IC₅₀ 0.09.mu.M.

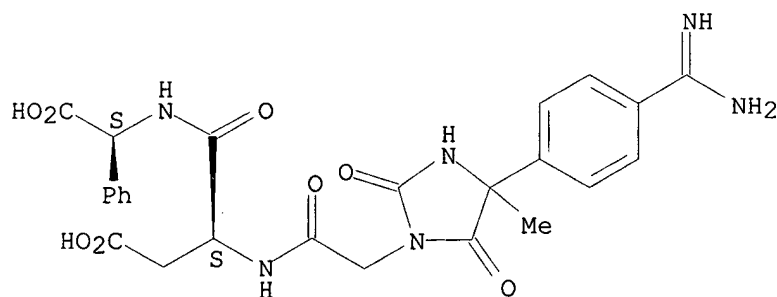
IT 169807-87-8P 169807-88-9P 170565-13-6P
170565-14-7P 207730-93-6P 207730-94-7P
207730-95-8P 207730-96-9P 207730-97-0P
207730-98-1P 207730-99-2P 207731-00-8P
207731-01-9P 207731-02-0P 207731-03-1P
207731-04-2P 207731-05-3P 207731-11-1P
207731-12-2P 207731-13-3P 207731-14-4P
207731-15-5P 207731-16-6P 207731-17-7P
207731-18-8P 207731-19-9P 207731-20-2P
207731-21-3P 207731-22-4P 207731-23-5P
207731-24-6P 207731-25-7P 207731-26-8P
207731-27-9P 207731-28-0P 207731-35-9P
207731-36-0P 207731-37-1P 207731-38-2P
207731-39-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists)

RN 169807-87-8 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

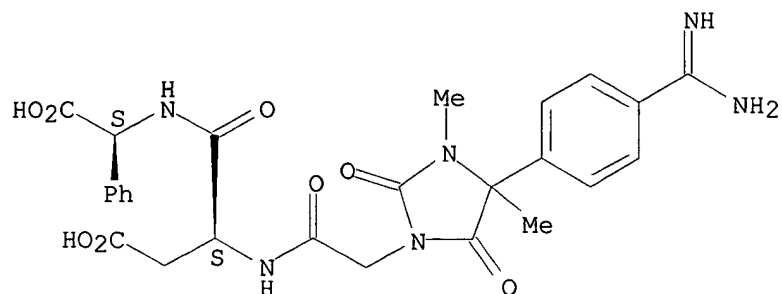
Absolute stereochemistry.



RN 169807-88-9 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

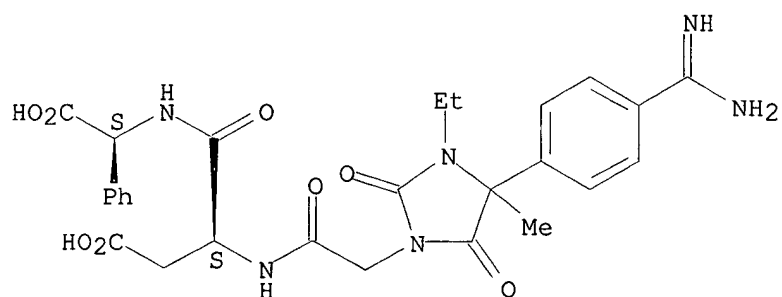
Absolute stereochemistry.



RN 170565-13-6 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3-ethyl-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

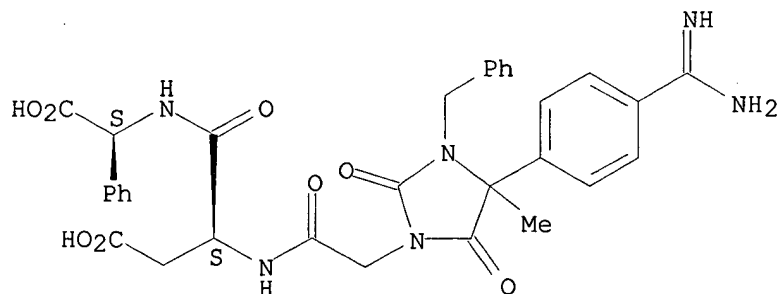
Absolute stereochemistry.



RN 170565-14-7 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

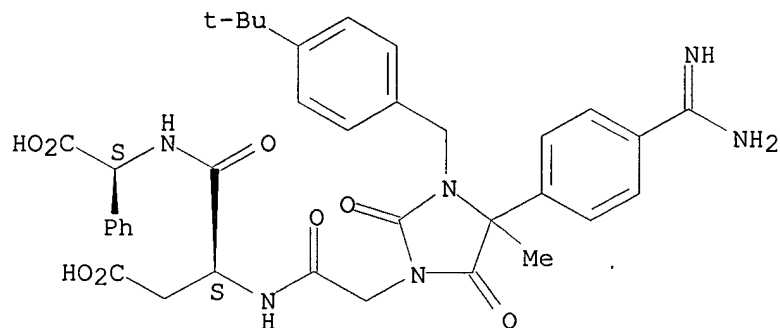


RN 207730-93-6 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3-[[4-(1,1-dimethylethyl)phenyl]-3-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-

.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

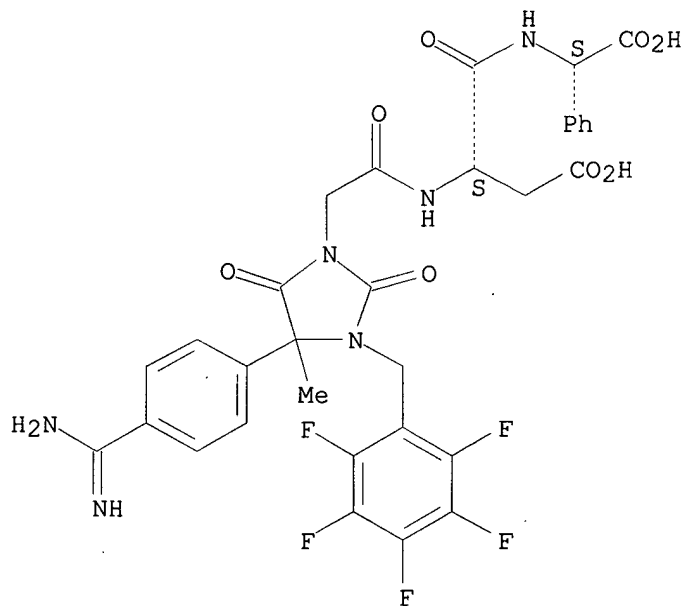


RN 207730-94-7 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-

[(pentafluorophenyl)methyl]-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207730-95-8 CAPLUS

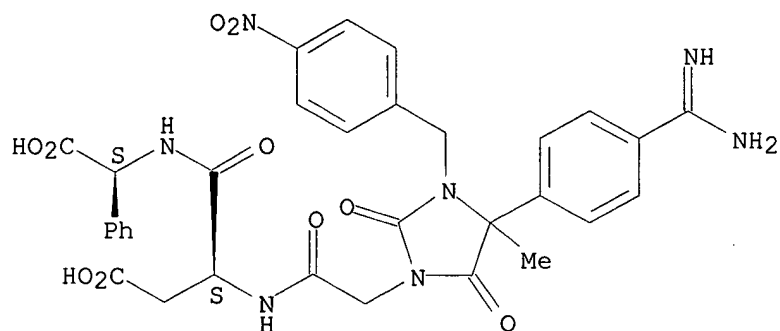
CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-3-[(4-

nitrophenyl)methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

308-4488

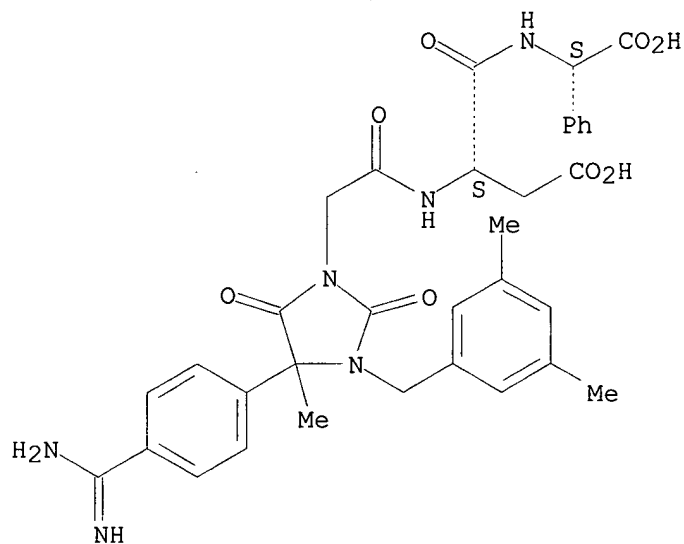


RN 207730-96-9 CAPLUS

CN Glycine,

N-[[4-[4-(aminoiminomethyl)phenyl]-3-[(3,5-dimethylphenyl)methyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

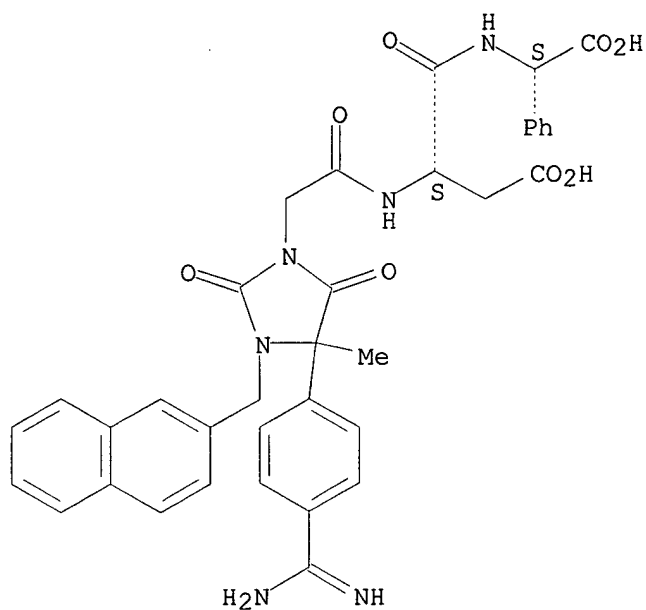


RN 207730-97-0 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-3-(2-

naphthalenylmethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)-(9CI) (CA INDEX NAME)

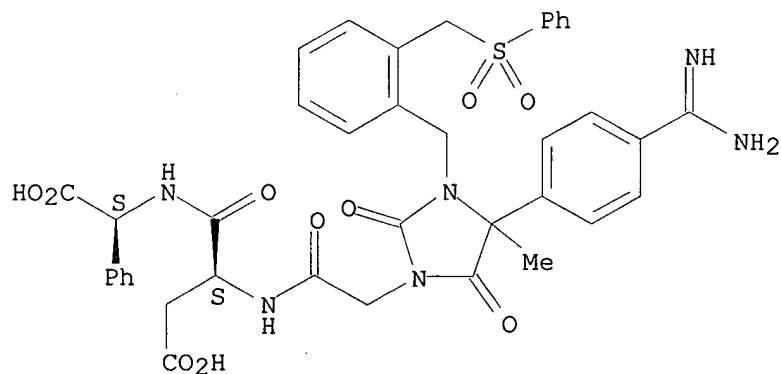
Absolute stereochemistry.



RN 207730-98-1 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-[[2-[(phenylsulfonyl)methyl]phenyl]methyl]-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

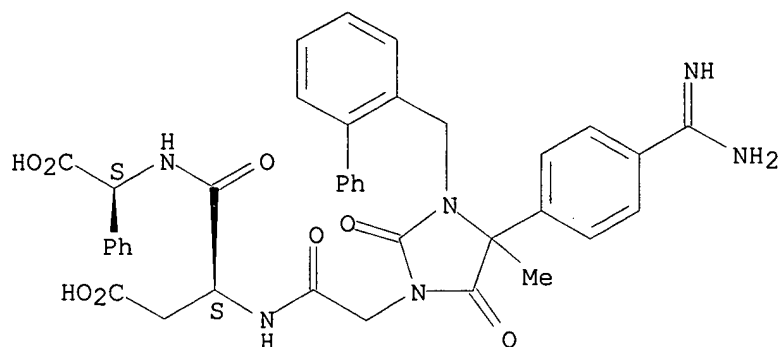


RN 207730-99-2 CAPLUS

CN Glycine,

N-[[4-[4-(aminoiminomethyl)phenyl]-3-([1,1'-biphenyl]-2-ylmethyl)-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

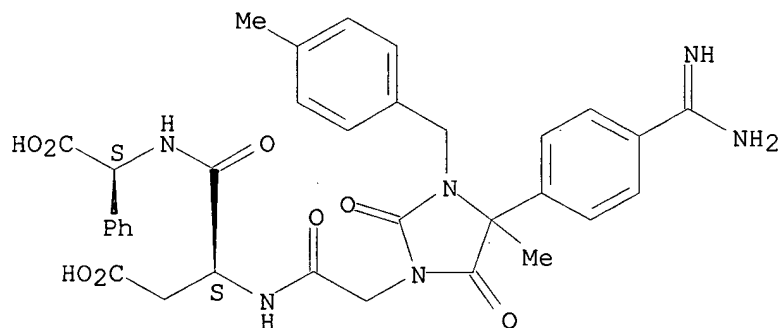


RN 207731-00-8 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-3-[(4-

methylphenyl)methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

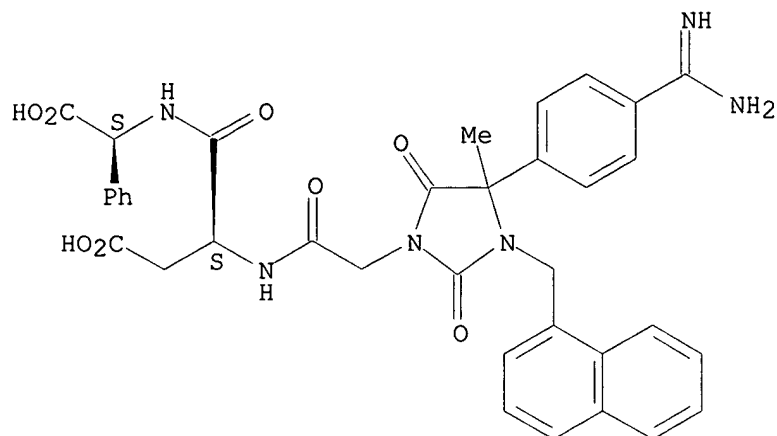


RN 207731-01-9 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-3-(1-

naphthalenylmethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

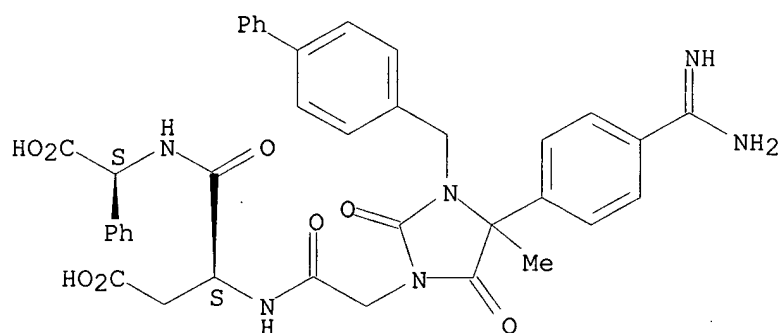


RN 207731-02-0 CAPLUS

CN Glycine,

N-[[4-[[4-(aminoiminomethyl)phenyl]-3-([1,1'-biphenyl]-4-ylmethyl)-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

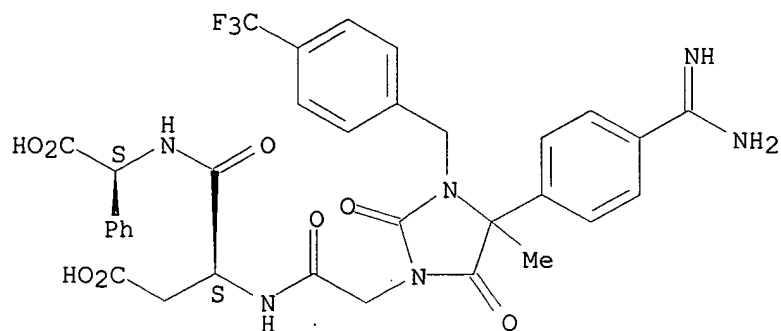
Absolute stereochemistry.



RN 207731-03-1 CAPLUS

CN Glycine, N-[[4-[[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-[[4-(trifluoromethyl)phenyl]methyl]-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

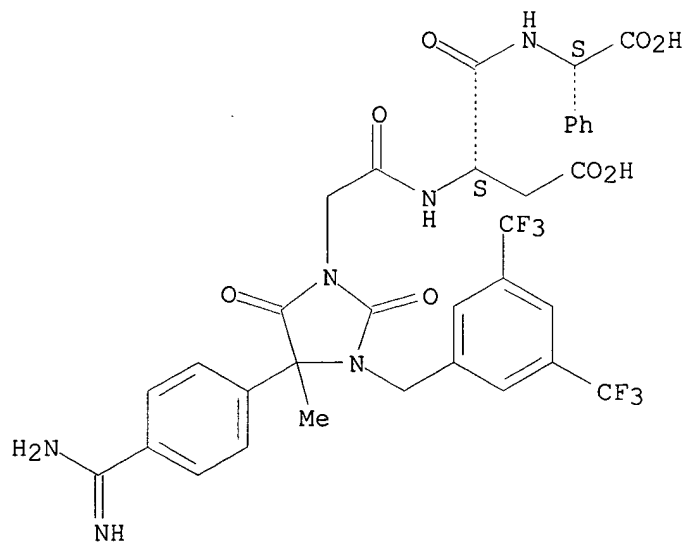
Absolute stereochemistry.



RN 207731-04-2 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

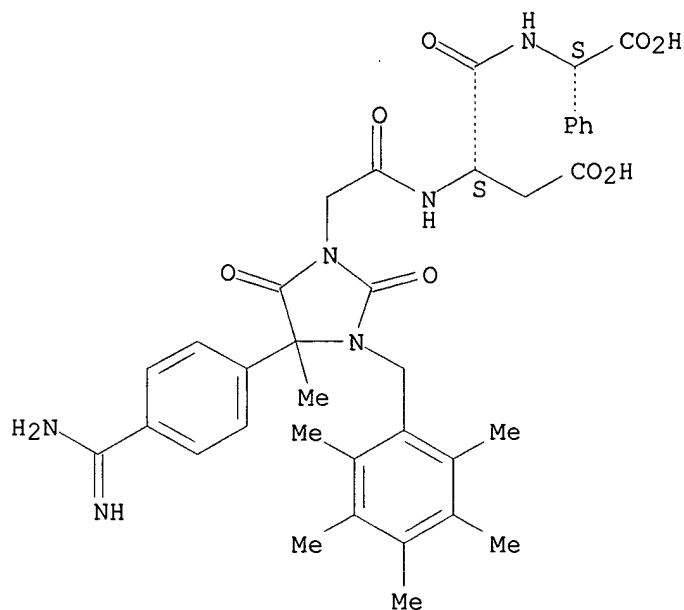
Absolute stereochemistry.



RN 207731-05-3 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-[(pentamethylphenyl)methyl]-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



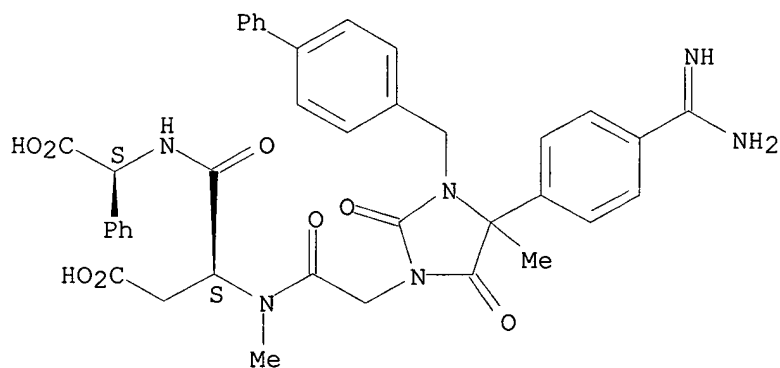
RN 207731-11-1 CAPLUS

CN Glycine,

N-[[4-[[4-(aminoiminomethyl)phenyl]-3-([1,1'-biphenyl]-4-ylmethyl)-

4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-N-methyl-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

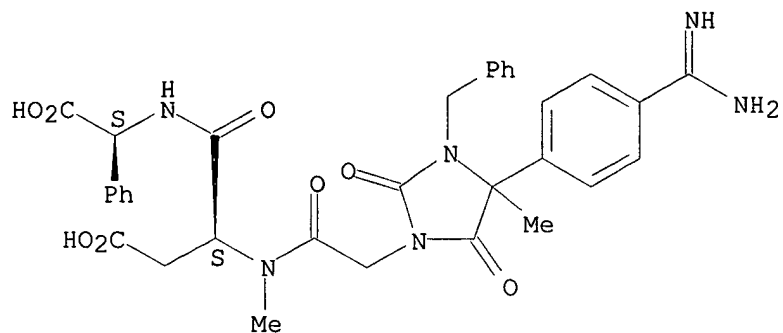
Absolute stereochemistry.



RN 207731-12-2 CAPLUS

CN Glycine, N-[[4-[[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-N-methyl-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

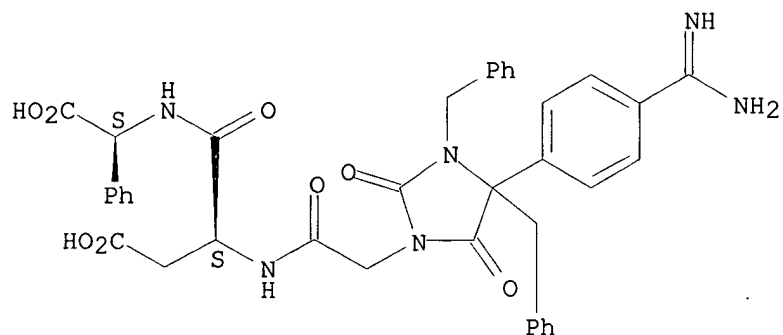
Absolute stereochemistry.



RN 207731-13-3 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-2,5-dioxo-3,4-bis(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

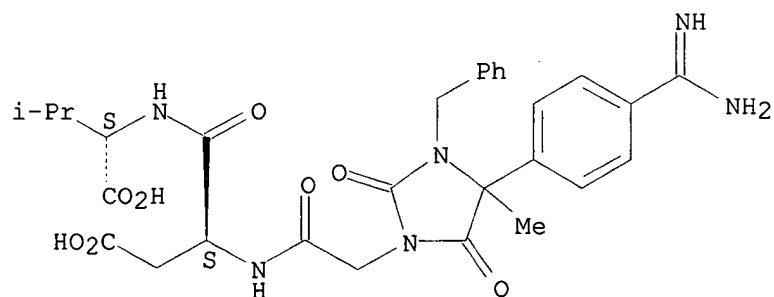
Absolute stereochemistry.



RN 207731-14-4 CAPLUS

CN L-Valine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



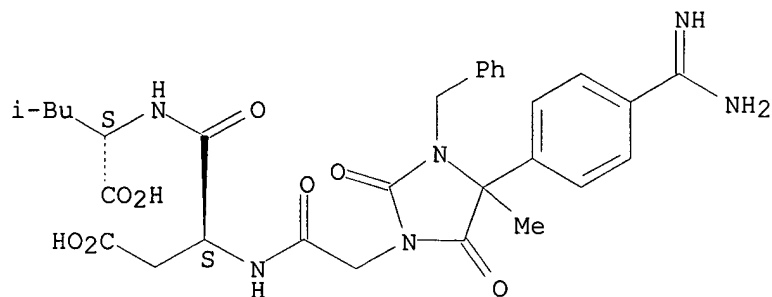
RN 207731-15-5 CAPLUS

Searched by John Dantzman

308-4488

CN L-Leucine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

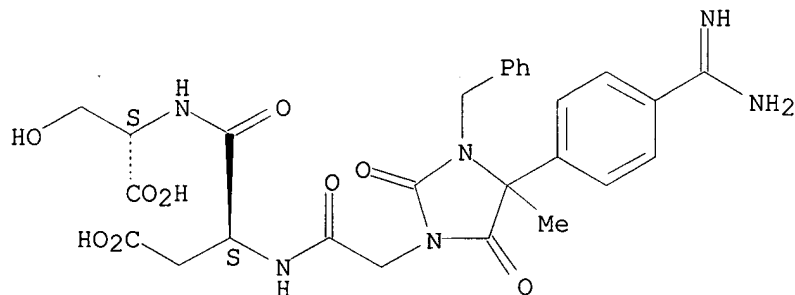
Absolute stereochemistry.



RN 207731-16-6 CAPLUS

CN L-Serine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

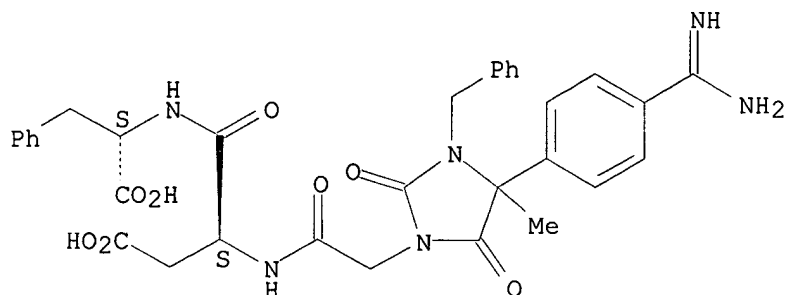
Absolute stereochemistry.



RN 207731-17-7 CAPLUS

CN L-Phenylalanine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

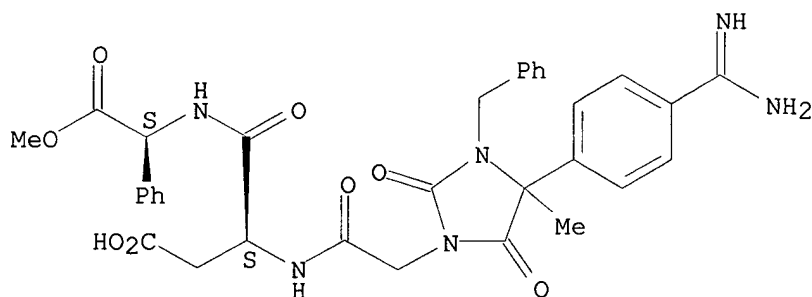
Absolute stereochemistry.



RN 207731-18-8 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, 2-methyl ester, (2S)- (9CI) (CA INDEX NAME)

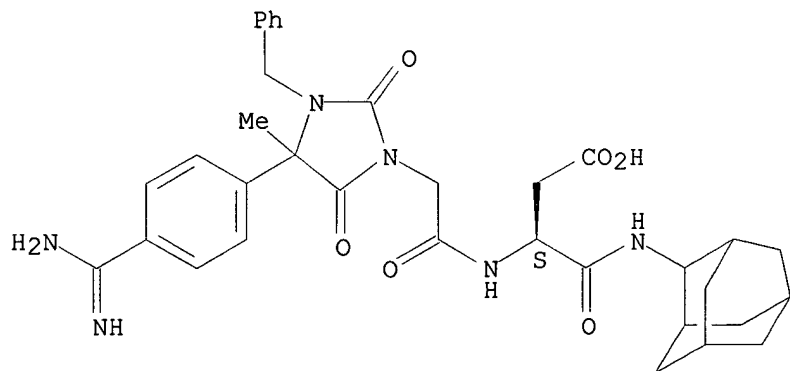
Absolute stereochemistry.



RN 207731-19-9 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-4-oxo-4-(tricyclo[3.3.1.1.3,7]dec-2-ylamino)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



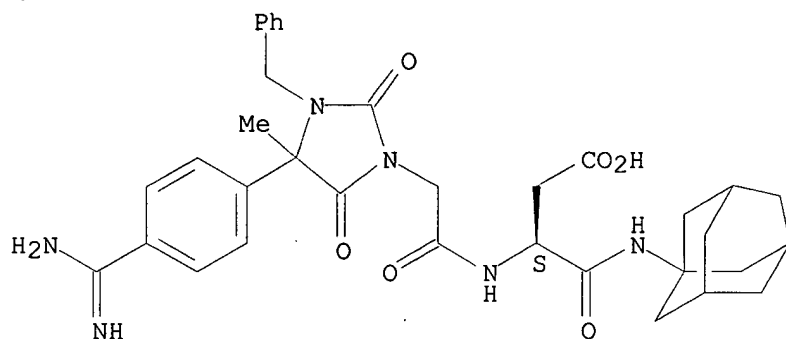
RN 207731-20-2 CAPLUS

Searched by John Dantzman

308-4488

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-4-oxo-4-((tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)amino)-, (3S)- (9CI) (CA INDEX NAME)

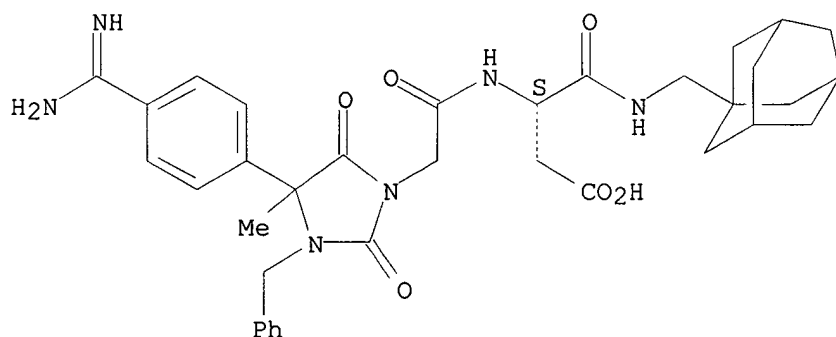
Absolute stereochemistry.



RN 207731-21-3 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-4-oxo-4-((tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)amino)-, (3S)- (9CI) (CA INDEX NAME)

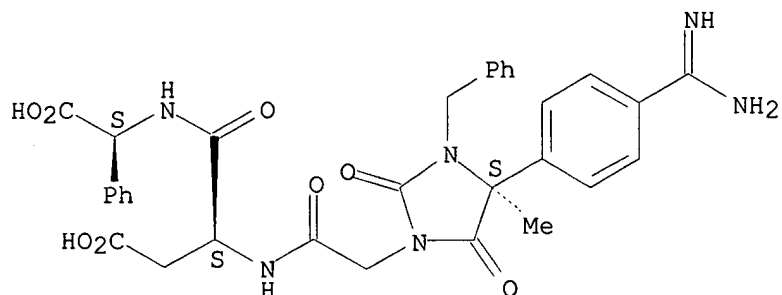
Absolute stereochemistry.



RN 207731-22-4 CAPLUS

CN Glycine, N-[N-[[[4S]-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, (9CI) (CA INDEX NAME)

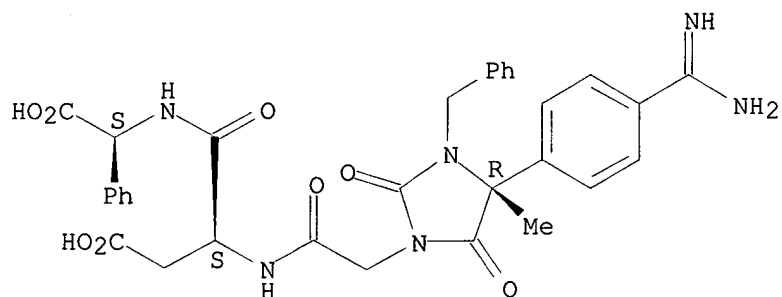
Absolute stereochemistry.



RN 207731-23-5 CAPLUS

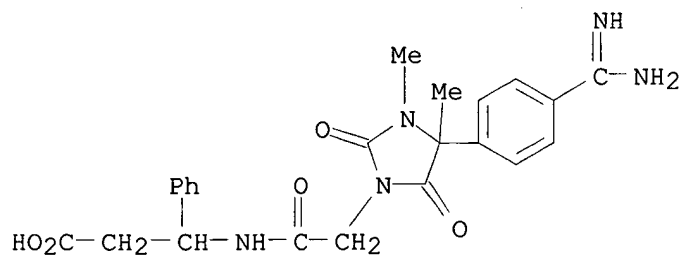
CN Glycine, N-[N-[[[4R)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207731-24-6 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

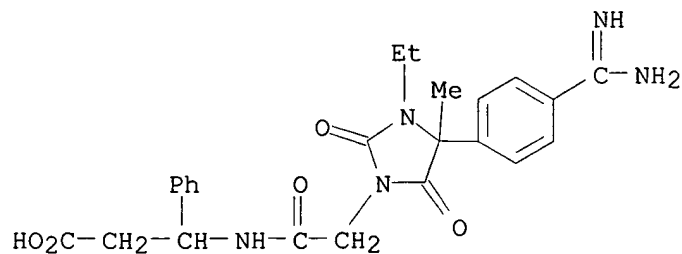


● HCl

RN 207731-25-7 CAPLUS

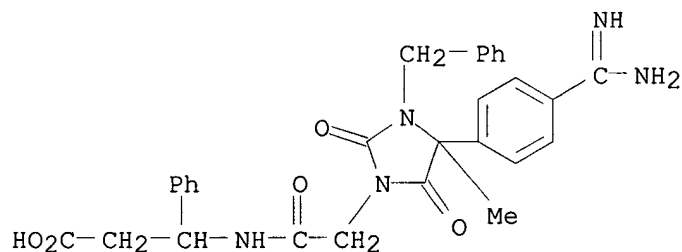
CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI)
(CA INDEX NAME)



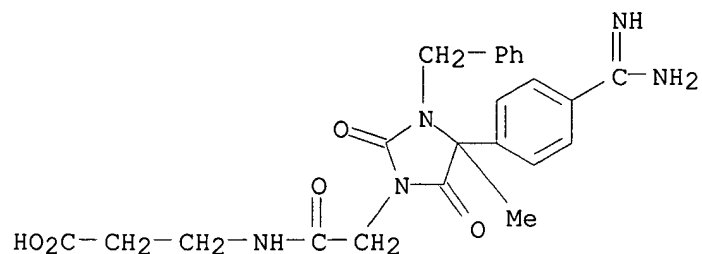
● HCl

RN 207731-26-8 CAPLUS
CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 207731-27-9 CAPLUS
CN .beta.-Alanine, N-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

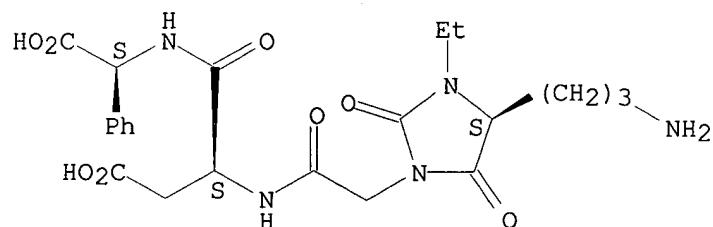


● HCl

RN 207731-28-0 CAPLUS

CN	Glycine, N-[[[(4S)-4-(3-aminopropyl)-3-ethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)
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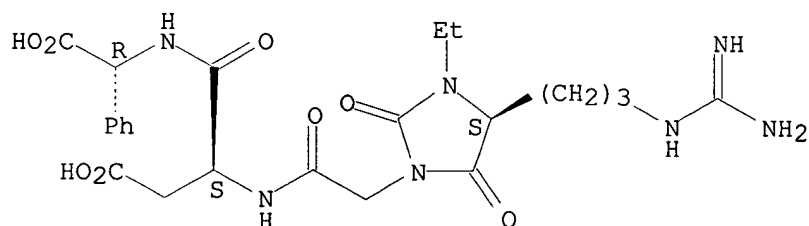
Absolute stereochemistry.



RN 207731-35-9 CAPLUS

CN Glycine,
 N-[[(4S)-4-[3-[(aminoiminomethyl) amino]propyl]-3-ethyl-2,5-dioxo-
 1-imidazolidinyl]acetyl]-L.alpha.-aspartyl-2-phenyl-, (2R)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



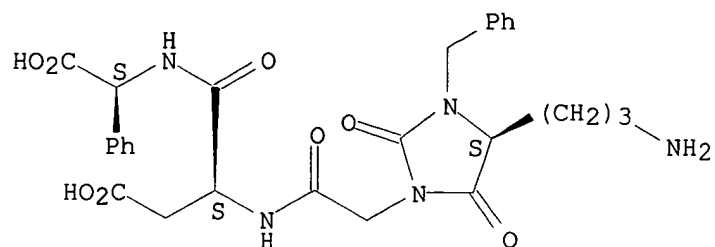
RN 207731-36-0 CAPLUS

CN Glycine, N-[[(4S)-4-(3-aminopropyl)-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

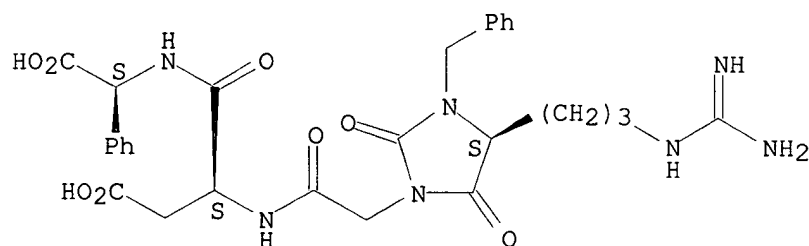
308-4488



RN 207731-37-1 CAPLUS

CN Glycine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

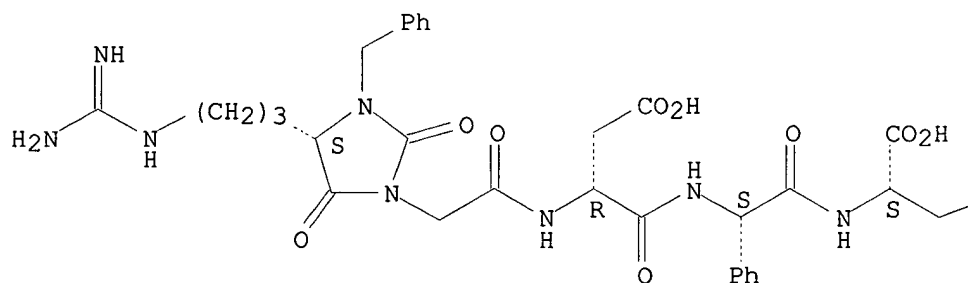


RN 207731-38-2 CAPLUS

CN L-Tyrosine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-D-.alpha.-aspartyl-(2S)-2-phenylglycyl-, monohydrochloride (9CI) (CA INDEX NAME)

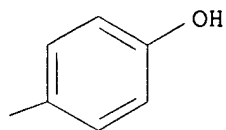
Absolute stereochemistry.

PAGE 1-A



● HCl

PAGE 1-B

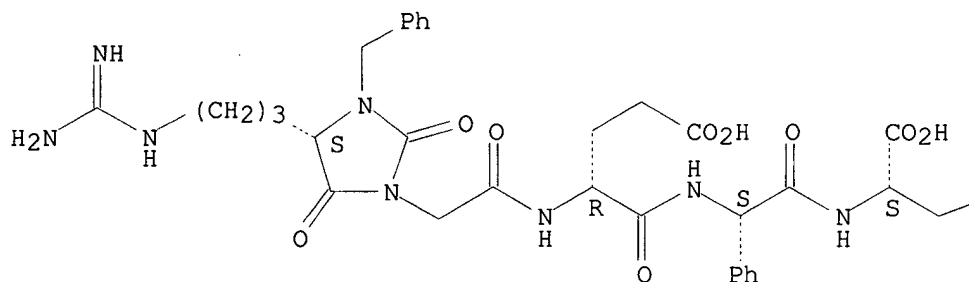


RN 207731-39-3 CAPLUS

CN L-Tyrosine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-D-.alpha.-glutamyl-(2S)-2-phenylglycyl-, monohydrochloride (9CI) (CA INDEX NAME)

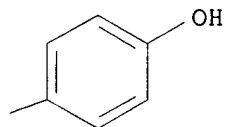
Absolute stereochemistry.

PAGE 1-A



● HCl

PAGE 1-B



IT 169808-02-0P 169808-10-0P 207731-10-0P

207731-32-6P 207731-33-7P 207731-34-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 5-membered-ring heterocycles as inhibitors of leukocyte
adhesion and VLA-4 antagonists)

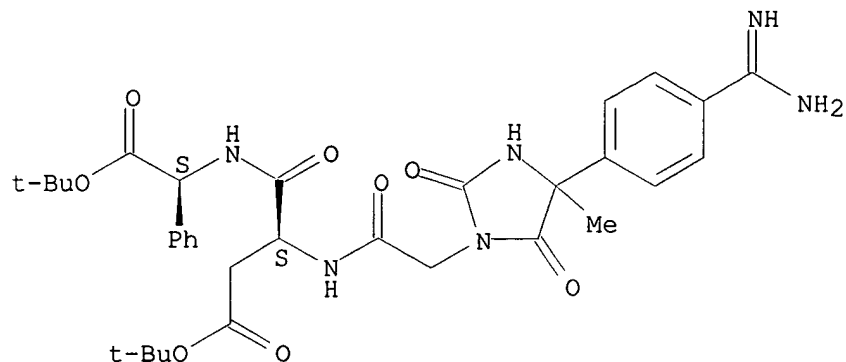
RN 169808-02-0 CAPLUS

CN Glycine, N-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-

Searched by John Dantzman 308-4488

dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

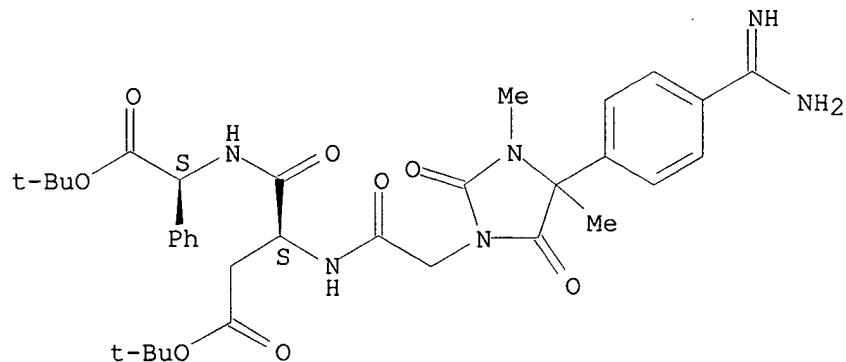


● HCl

RN 169808-10-0 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

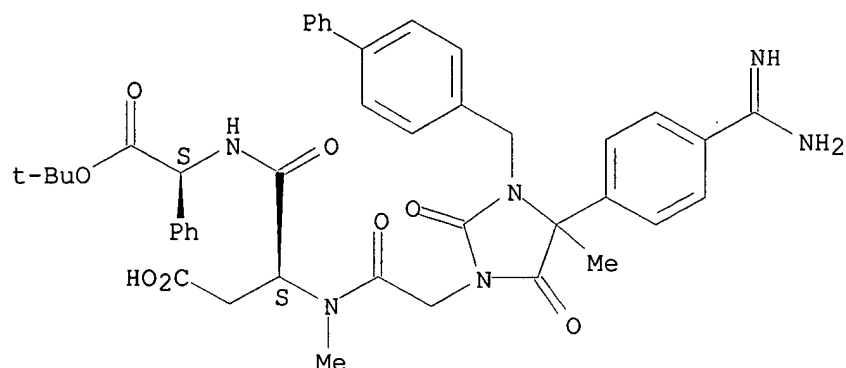
RN 207731-10-0 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3-([1,1'-biphenyl]-4-ylmethyl)-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-N-methyl-L-.alpha.-aspartyl-2-phenyl-, 2-(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

308-4488

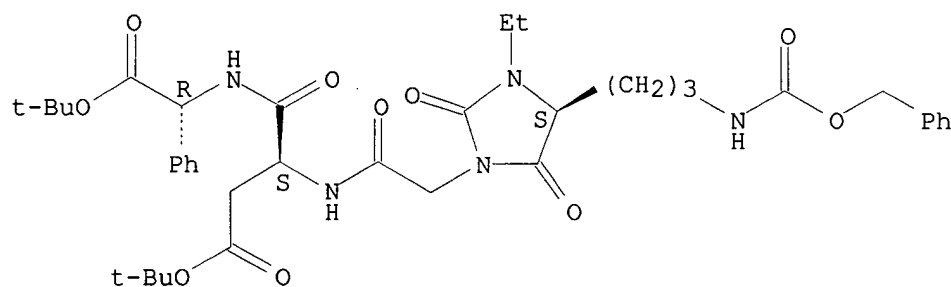


RN 207731-32-6 CAPLUS

CN Glycine,

N-[[(4S)-3-ethyl-2,5-dioxo-4-[3-[[(phenylmethoxy) carbonyl] amino] p
ropyl]-1-imidazolidinyl] acetyl]-L-.alpha.-aspartyl-2-phenyl-,
bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

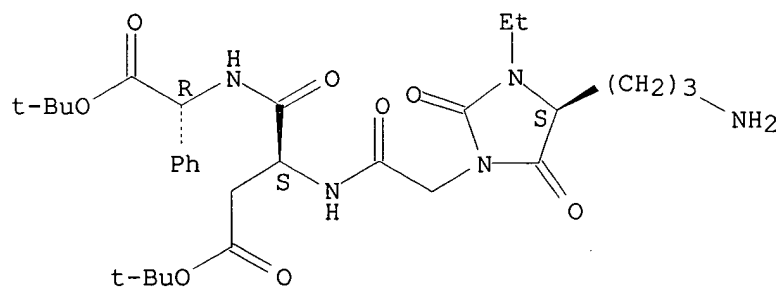
Absolute stereochemistry.



RN 207731-33-7 CAPLUS

CN Glycine, N-[[(4S)-4-(3-aminopropyl)-3-ethyl-2,5-dioxo-1-
imidazolidinyl] acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-
dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



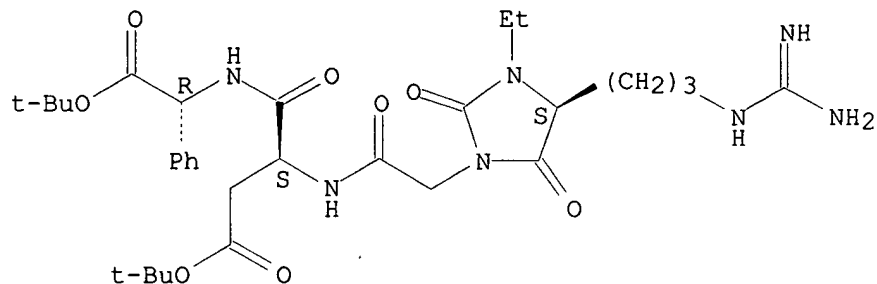
RN 207731-34-8 CAPLUS

CN Glycine,

N-[[(4S)-4-[3-[(aminomethyl) imidazolidinyl]-3-ethyl-2,5-dioxo-

1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-,
bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



QAZI

08/971960

Page 1

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308-4488

=> d bib abs hitstr 12

L15 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1997:801920 CAPLUS

DN 128:75399

TI Preparation of ethyl 3-[2-[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1-yl]acetyl amino]-3-phenylpropionate maleate salts as cell adhesion inhibitors.

IN Stilz, Hans Ulrich; Beck, Gerhard; Radau, Manfred

PA Hoechst Aktiengesellschaft, Germany

SO Eur. Pat. Appl., 19 pp.

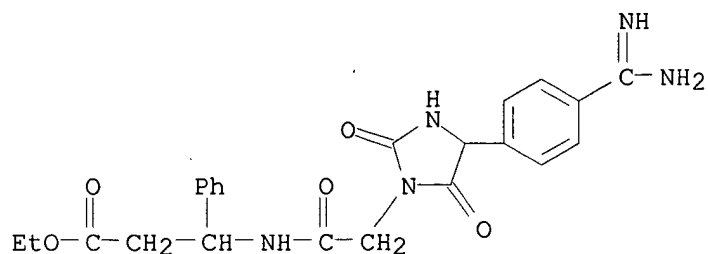
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 811616	A1	19971210	EP 1997-108569	19970528
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
	DE 19622489	A1	19971211	DE 1996-19622489	19960605
	NO 9702506	A	19971208	NO 1997-2506	19970602
	AU 9724674	A1	19971211	AU 1997-24674	19970603
	JP 10059948	A2	19980303	JP 1997-145617	19970603
	CN 1174835	A	19980304	CN 1997-105465	19970603
	CA 2206748	AA	19971205	CA 1997-2206748	19970604
	BR 9703459	A	19981006	BR 1997-3459	19970605
PRAI	DE 1996-19622489		19960605		
AB	Et 3-[2-[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1-yl]acetyl amino]-3-phenylpropionate (I) maleate salt is claimed. (S,S)-I hydrogen maleate was prepd. and found to be nonhygroscopic.				
IT	200404-39-3P 200404-40-6P				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of Et 3-[2-[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1-yl]acetyl amino]-3-phenylpropionate maleate salts				
as	cell adhesion inhibitors)				
RN	200404-39-3 CAPLUS				
CN	Benzenepropanoic acid,				
	.beta.-[[[4-[4-(aminoiminomethyl)phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl amino]-, ethyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)				
CM	1				
CRN	200404-38-2				
CMF	C23 H25 N5 O5				



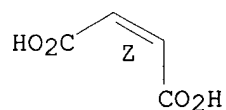
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



RN 200404-40-6 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[(4S)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester,

(.beta.S)-,

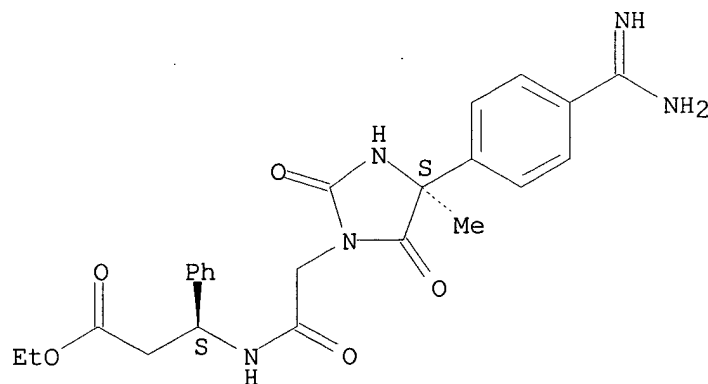
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 177563-40-5

CMF C24 H27 N5 O5

Absolute stereochemistry. Rotation (-).



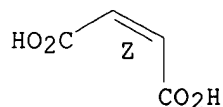
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



IT 184427-31-4

RL: RCT (Reactant)

(prepn. of Et 3-[2-[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1-yl]acetyl]amino]-3-phenylpropionate maleate salts

as

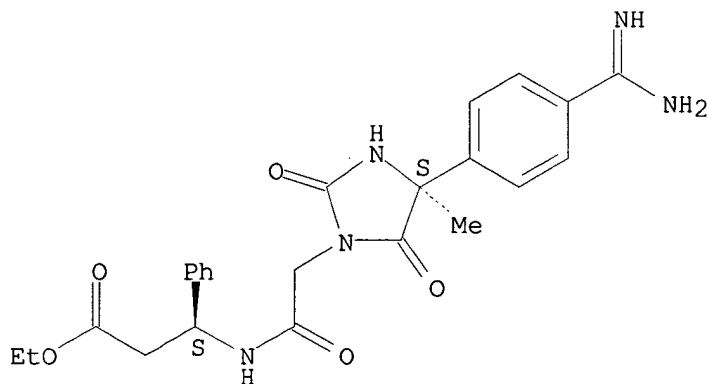
cell adhesion inhibitors)

RN 184427-31-4 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester, monohydrochloride,

[S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

IT 177563-41-6P 186183-13-1P 186183-15-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of Et 3-[2-[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1-yl]acetyl]amino]-3-phenylpropionate maleate salts

as

cell adhesion inhibitors)

RN 177563-41-6 CAPLUS

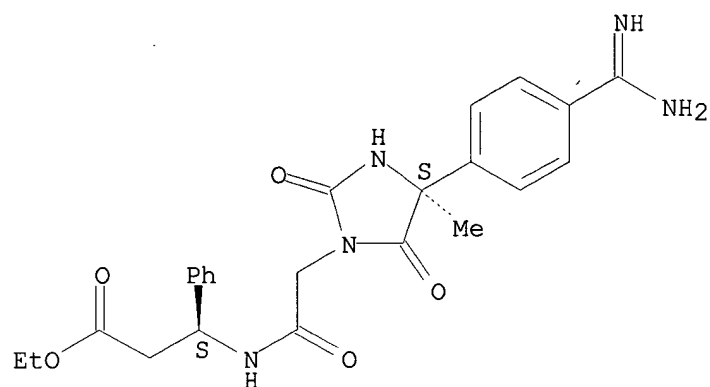
CN Benzenepropanoic acid, .beta.-[[[(4S)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester, (.beta.S)-, Searched by John Dantzman 308-4488

monoacetate (9CI) (CA INDEX NAME)

CM 1

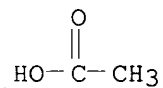
CRN 177563-40-5
CMF C24 H27 N5 O5

Absolute stereochemistry. Rotation (-).



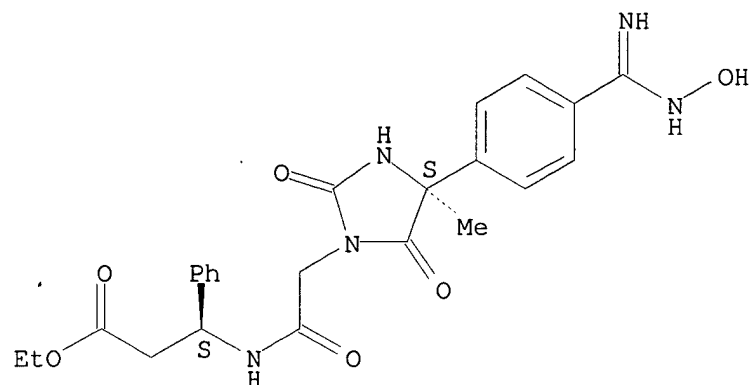
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 186183-13-1 CAPLUS
CN Benzenepropanoic acid,
.beta.-[[[4-[4-[(hydroxyamino)iminomethyl]phenyl]-4-
methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester,
[S-(R*,R*)]- (9CI) (CA INDEX NAME)

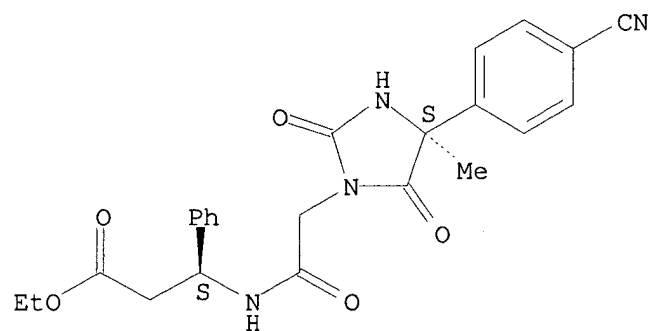
Absolute stereochemistry.



RN 186183-15-3 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-(4-cyanophenyl)-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

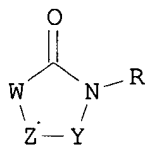
Absolute stereochemistry. Rotation (-).



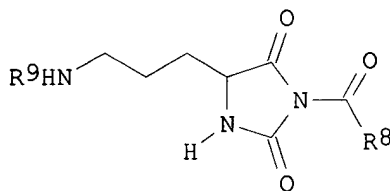
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L15 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2000 ACS
 AN 1997:667724 CAPLUS
 DN 127:307384
 TI Preparation of 3-[(dioxoimidazolidinoacetyl)amino]-L-alanines and analogs as vitronectin receptor antagonists
 IN Wehner, Volkmar; Knolle, Jochen; Stilz, Hans Ulrich; Carniato, Denis; Gourvest, Jean-Francois; Gadek, Tom; Mcdowell, Robert
 PA Hoechst A.-G., Germany
 SO Eur. Pat. Appl., 115 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 796855	A1	19970924	EP 1997-103712	19970306
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT,				
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	DE 19635522	A1	19980305	DE 1996-19635522	19960902
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	AU 9716380	A1	19970925	AU 1997-16380	19970318
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	JP 09255664	A2	19970930	JP 1997-84711	19970319
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PRAI	DE 1996-19610919		19960320		
	DE 1996-19626701		19960703		
	DE 1996-19635522		19960902		
OS	MARPAT 127:307384				
GI					



I



II

AB Title compds. [I; R = EFG; E = bond, alkylene, phenylene, etc.; F = bond alkylene, arylene, O, (alkyl)imino, etc.; G = CR4R5(CR6R7)p(CH2)qR10; R4-R7 = H, OH, (un)substituted alkyl, alkoxy, etc.; R10 = CO2H, alkoxy carbonyl, SO3H, etc.; W = R1ABDC(R16), R1ABDC(R16):C, etc.; A = bond, alkylene, NHN:CH, NHCO2, etc.; B = bond, alkylene, arylene, CO, (alkyl)imino, etc.; D = groups cited for F; R1 = NR2C(:NR2)R2, C(:NR2)NR2R3, heterocycle, (hetero)aryl, etc.; R2,R3 = H, (un)substituted alkyl, aryl, etc.; R16 = H, (fluoro)alkyl, aryl, etc.; Y = CO, CS, CH2; Z = O, S, CH2, (alkyl)imino, etc.; p,q = 0 or 1] were prepd. Thus, (S)-PhCH2O2CNH(CH2)3CH(NH2)CO2Me was N-acylated with OCNCH2CO2Et and the product cyclized to give, after deprotection, (S)-

Searched by John Dantzman 308-4488

dioxoimidazolidinoacetate II.HCl (R8 = OH, R9 = H) which was condensed with 2-methylthio-2-imidazoline and the product amidated by (S)-H₂NCH₂CH(NHCO₂CH₂Ph)CO₃Me₃ to give, after sapon., (S)-II [R8 = (S)-NHCH₂CH(NHCO₂CH₂Ph)CO₂H, R9 = 2-imidazoliny]. Data for biol. activity of I were given.

IT 197357-66-7P 197357-67-8P 197357-68-9P
 197357-69-0P 197357-70-3P 197357-71-4P
 197357-72-5P 197357-73-6P 197357-75-8P
 197357-76-9P 197357-77-0P 197357-78-1P
 197357-80-5P 197357-81-6P 197357-82-7P
 197357-83-8P 197357-84-9P 197357-85-0P
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 197357-93-0P 197357-94-1P 197357-95-2P
 197357-96-3P 197357-97-4P 197357-98-5P
 197358-00-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

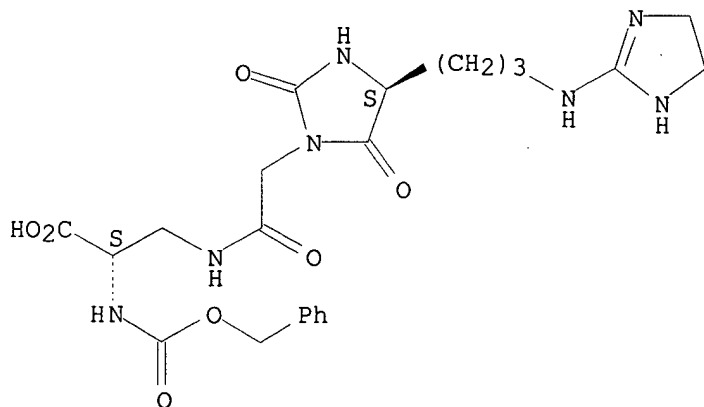
(prepn. of 3-[(dioxoimidazolidinoacetyl)amino]-L-alanines and analogs as vitronectin receptor antagonists)

RN 197357-66-7 CAPLUS

CN L-Alanine,

3-[[[(4S)-4-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI)
 (CA INDEX NAME)

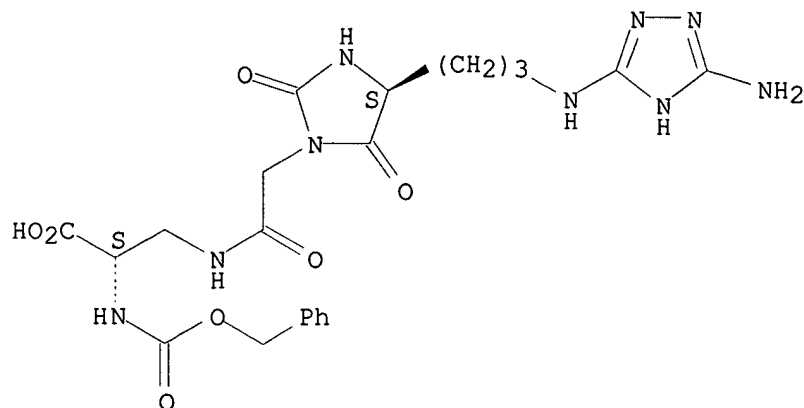
Absolute stereochemistry.



RN 197357-67-8 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[3-[(5-amino-1H-1,2,4-triazol-3-yl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

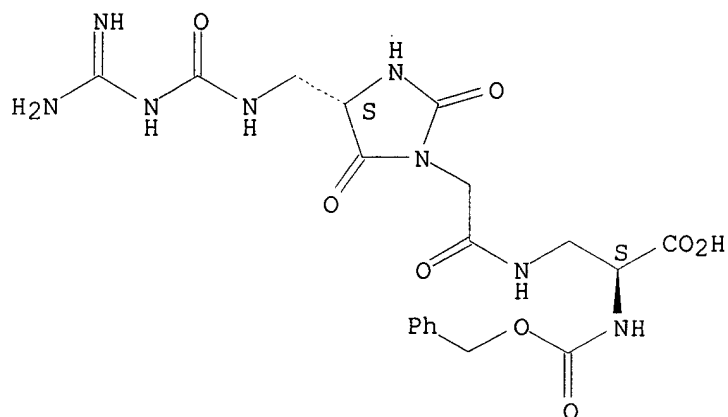


RN 197357-68-9 CAPLUS

CN L-Alanine,

3-[[[(4S)-4-[[[(aminoiminomethyl)amino]carbonyl]amino]methyl]-
2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-
(9CI) (CA INDEX NAME)

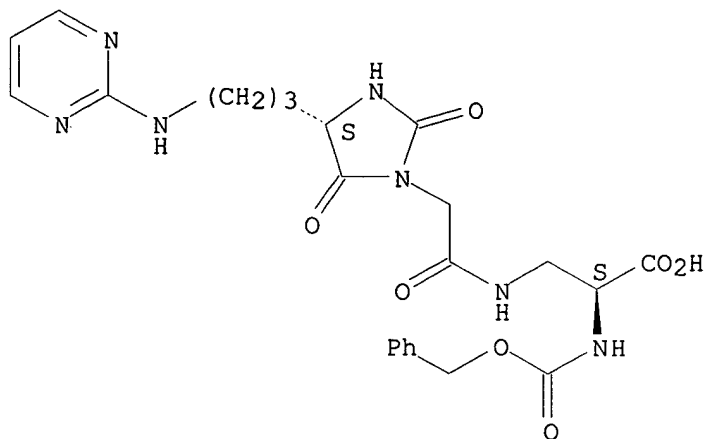
Absolute stereochemistry.



RN 197357-69-0 CAPLUS

CN L-Alanine, 3-[[[(4S)-2,5-dioxo-4-[3-(2-pyrimidinylamino)propyl]-1-
imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA
INDEX
NAME)

Absolute stereochemistry.



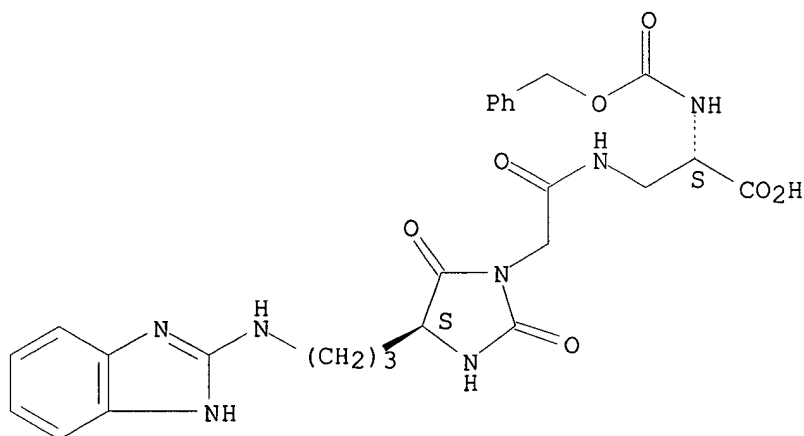
RN 197357-70-3 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA

INDEX

NAME)

Absolute stereochemistry.

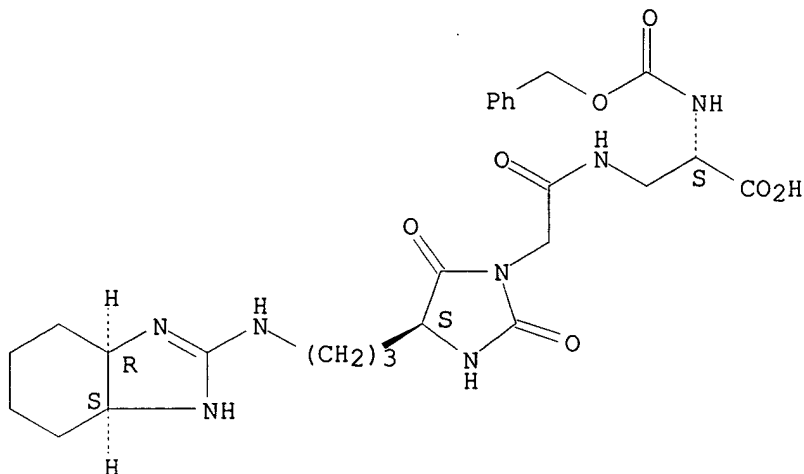


RN 197357-71-4 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[3-[[[(3aR,7aS)-3a,4,5,6,7,7a-hexahydro-1H-

benzimidazol-2-yl]amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

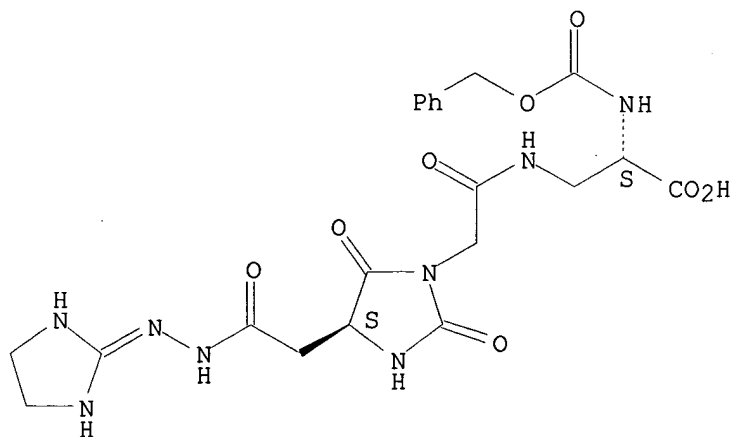
Absolute stereochemistry.



RN 197357-72-5 CAPLUS

CN 4-Imidazolidineacetic acid, 1-[2-[[2-carboxy-2-[[[(phenylmethoxy)carbonyl]amino]ethyl]amino]-2-oxoethyl]-2,5-dioxo-, .alpha.-[2-(4,5-dihydro-1H-imidazol-2-yl)hydrazide], [S-(R*,R*)]]- (9CI)
(CA INDEX NAME)

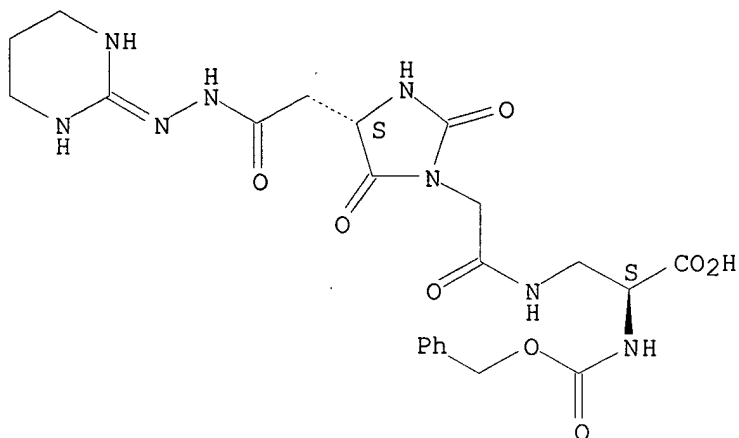
Absolute stereochemistry.



RN 197357-73-6 CAPLUS

CN 4-Imidazolidineacetic acid, 1-[2-[[2-carboxy-2-[[[(phenylmethoxy)carbonyl]amino]ethyl]amino]-2-oxoethyl]-2,5-dioxo-, .alpha.-[2-(1,4,5,6-tetrahydro-2-pyrimidinyl)hydrazide], [S-(R*,R*)]]- (9CI) (CA INDEX NAME)

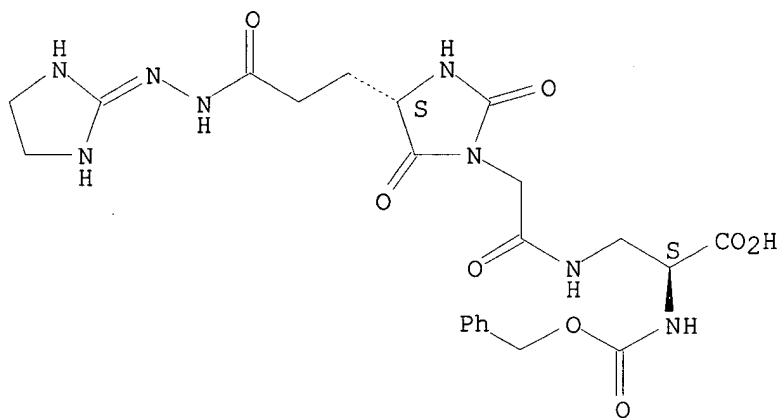
Absolute stereochemistry.



RN 197357-75-8 CAPLUS

CN 4-Imidazolidinepropanoic acid, 1-[2-[[2-carboxy-2-[[[(phenylmethoxy) carbonyl] amino] ethyl] amino]-2-oxoethyl]-2,5-dioxo-, .alpha.-[2-(4,5-dihydro-1H-imidazol-2-yl)hydrazide], [S-(R*,R*)]]- (9CI)
(CA INDEX NAME)

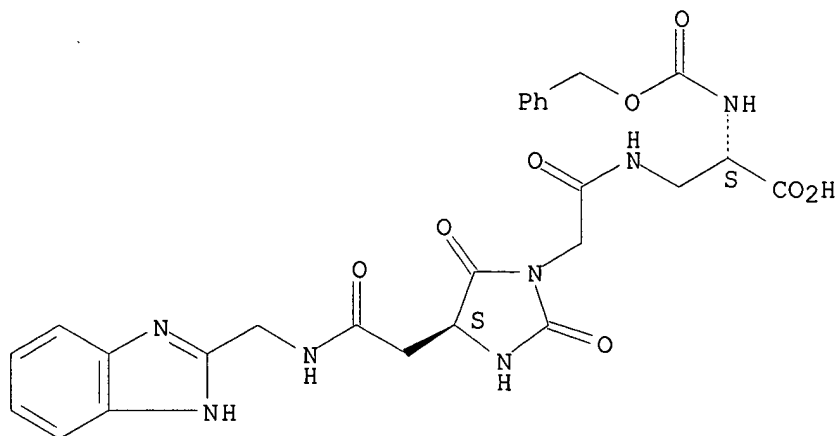
Absolute stereochemistry.



RN 197357-76-9 CAPLUS

CN L-Alanine,
3-[[[(4S)-4-[2-[(1H-benzimidazol-2-ylmethyl) amino]-2-oxoethyl]-2,5-dioxo-1-imidazolidinyl] acetyl] amino]-N-[(phenylmethoxy) carbonyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

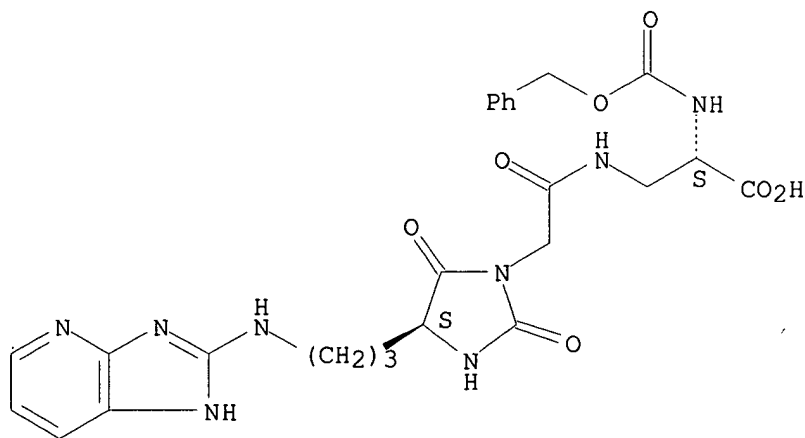


RN 197357-77-0 CAPLUS

CN L-Alanine,

3-[[[(4S)-4-[3-(1H-imidazo[4,5-b]pyridin-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

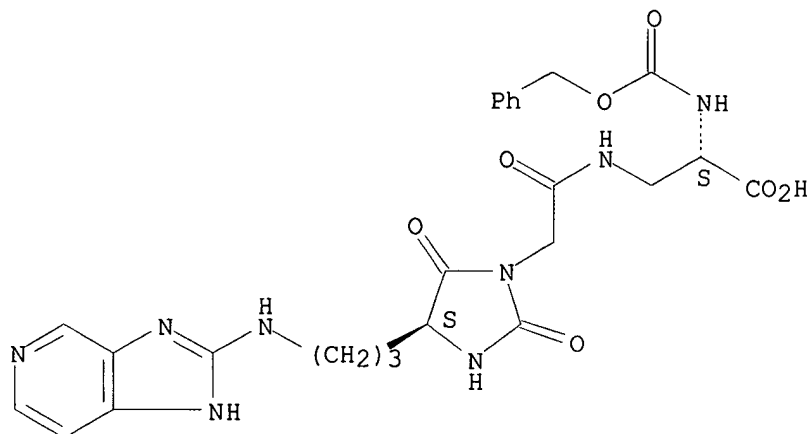


RN 197357-78-1 CAPLUS

CN L-Alanine,

3-[[[(4S)-4-[3-(1H-imidazo[4,5-c]pyridin-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

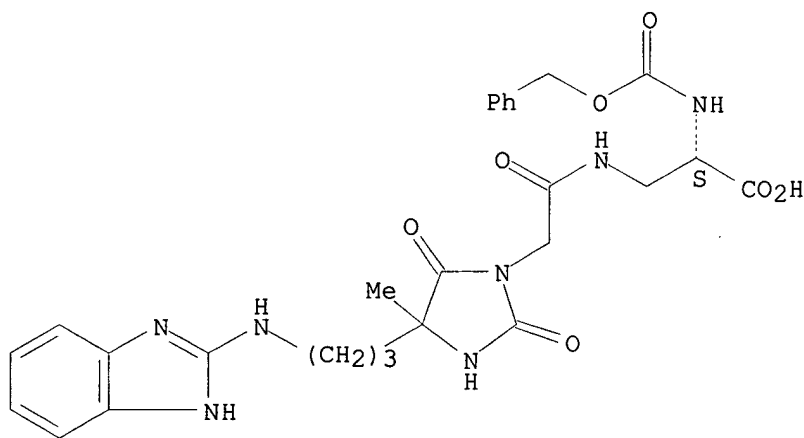


RN 197357-80-5 CAPLUS

CN L-Alanine,

3-[[[4-[3-(1H-benzimidazol-2-ylamino)propyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

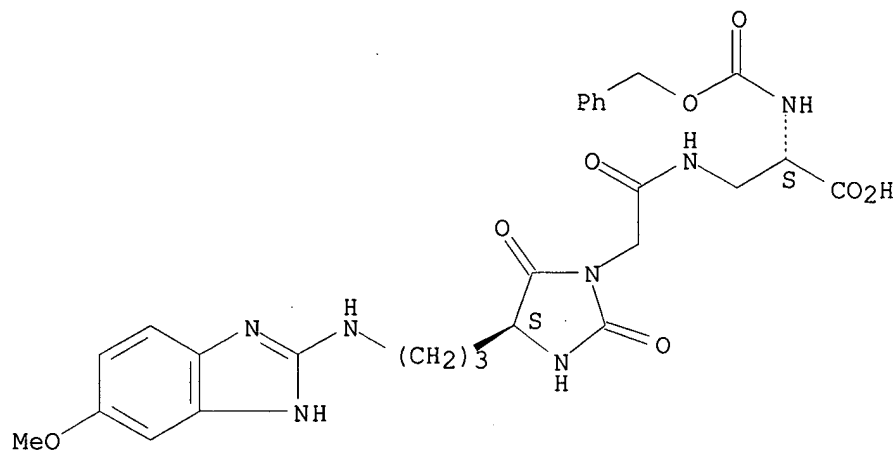
Absolute stereochemistry.



RN 197357-81-6 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[3-[(5-methoxy-1H-benzimidazol-2-yl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

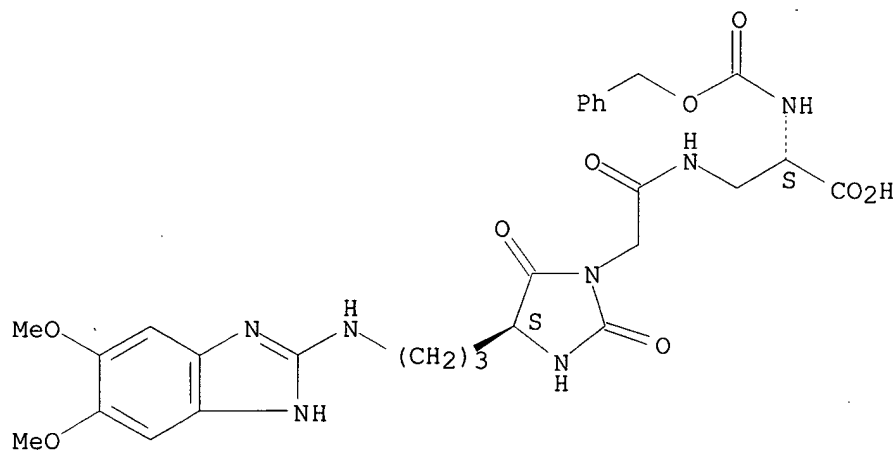
Absolute stereochemistry.



RN 197357-82-7 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[3-[(5,6-dimethoxy-1H-benzimidazol-2-yl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

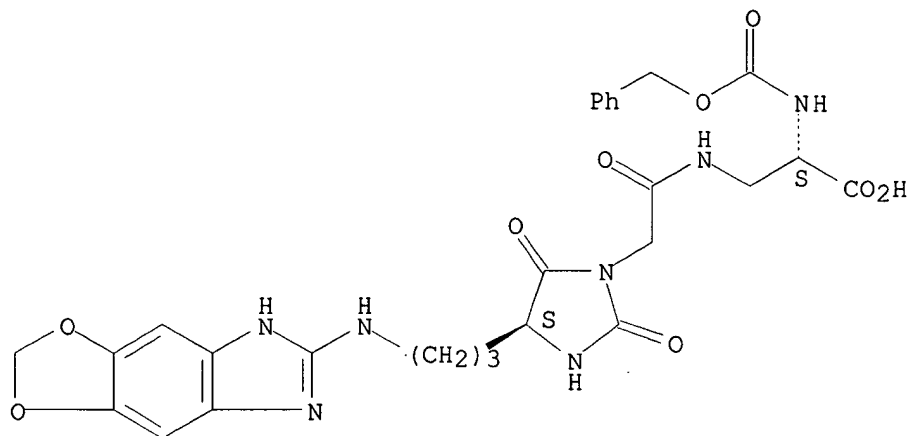
Absolute stereochemistry.



RN 197357-83-8 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[3-(5H-1,3-dioxolo[4,5-f]benzimidazol-6-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

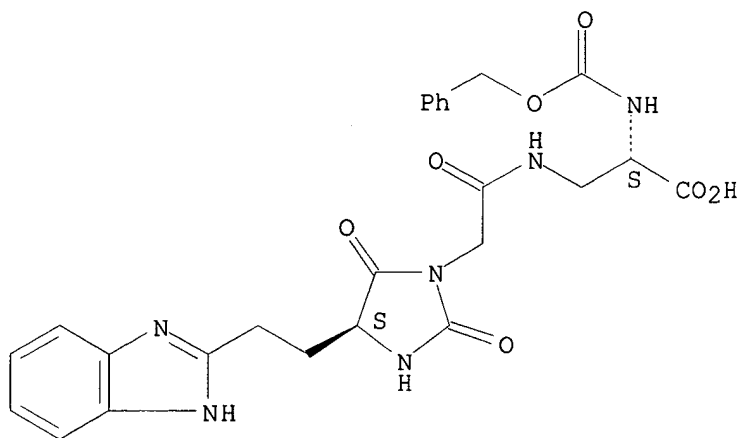


RN 197357-84-9 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[2-(1H-benzimidazol-2-yl)ethyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA

INDEX
NAME)

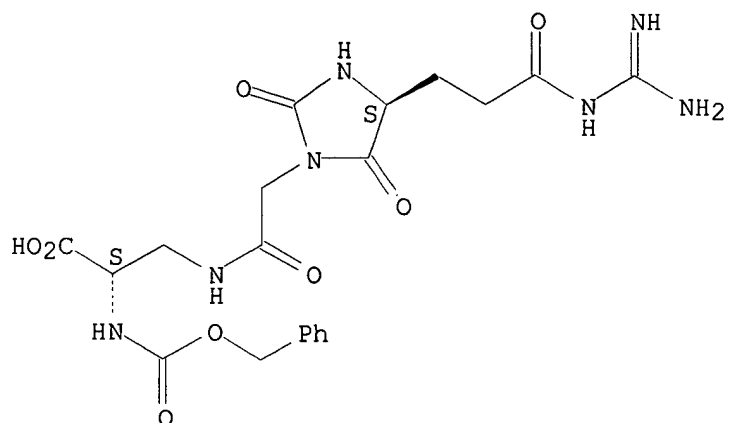
Absolute stereochemistry.



RN 197357-85-0 CAPLUS

CN L-Alanine,
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INDEX NAME)

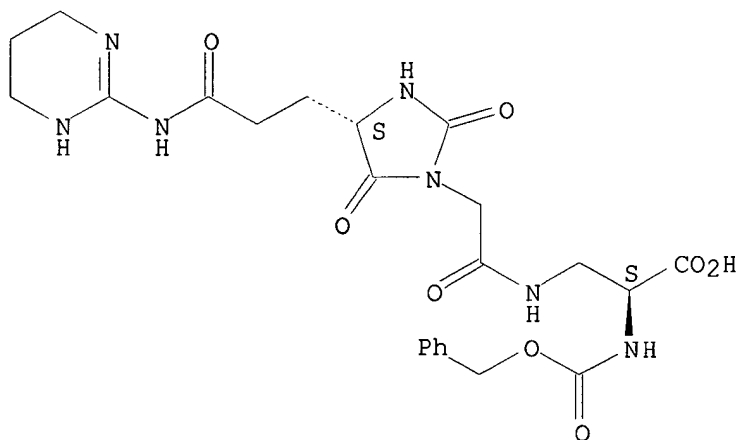
Absolute stereochemistry.



RN 197357-86-1 CAPLUS

CN L-Alanine, 3-[[[(4S)-2,5-dioxo-4-[3-oxo-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

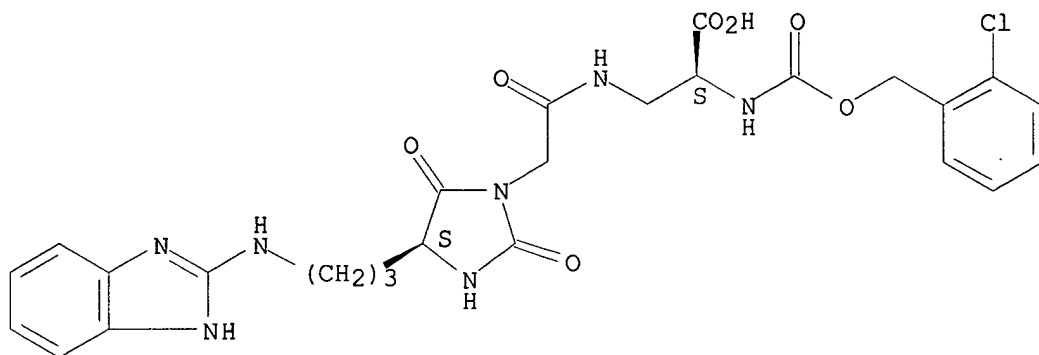
Absolute stereochemistry.



RN 197357-88-3 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[[[(2-chlorophenyl)methoxy]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

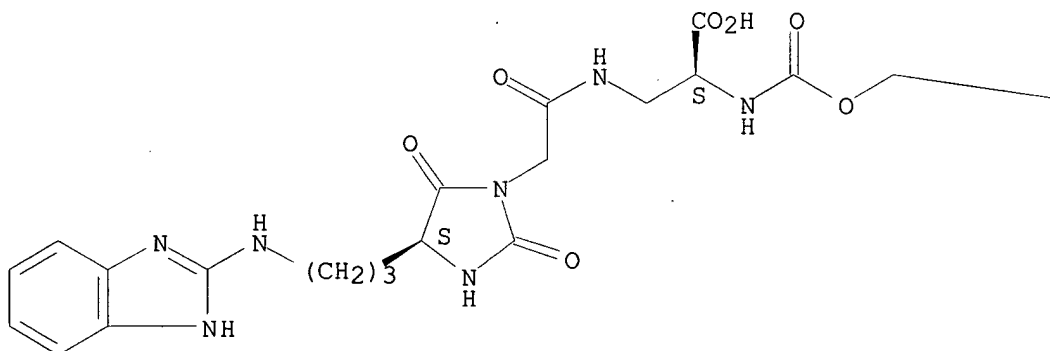


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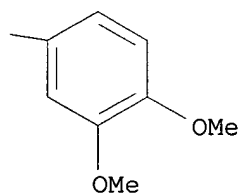
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[[(3,4-dimethoxyphenyl)methoxy]carbonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

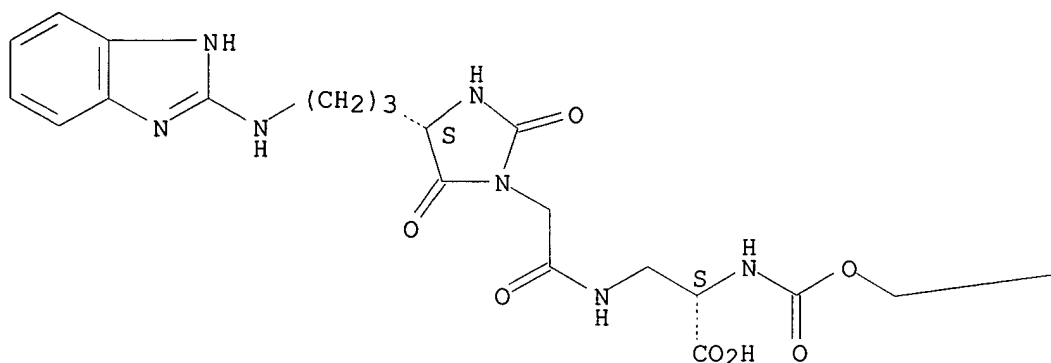


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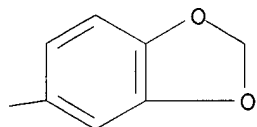
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(1,3-benzodioxol-5-ylmethoxy)carbonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

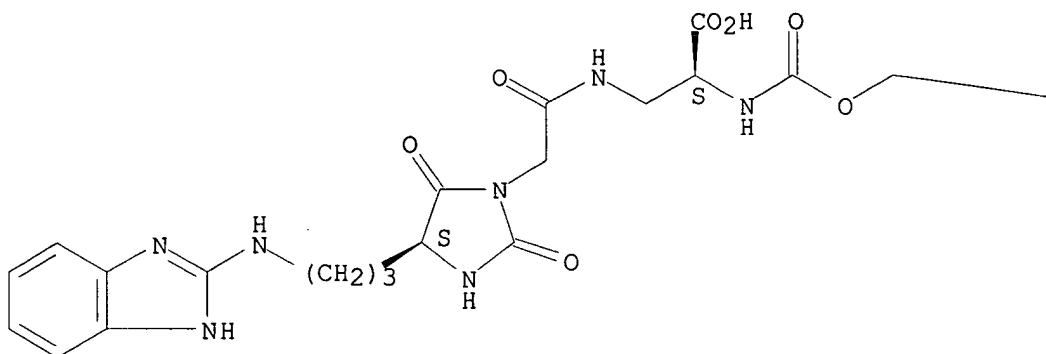


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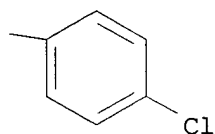
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[[(4-chlorophenyl)methoxy]carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

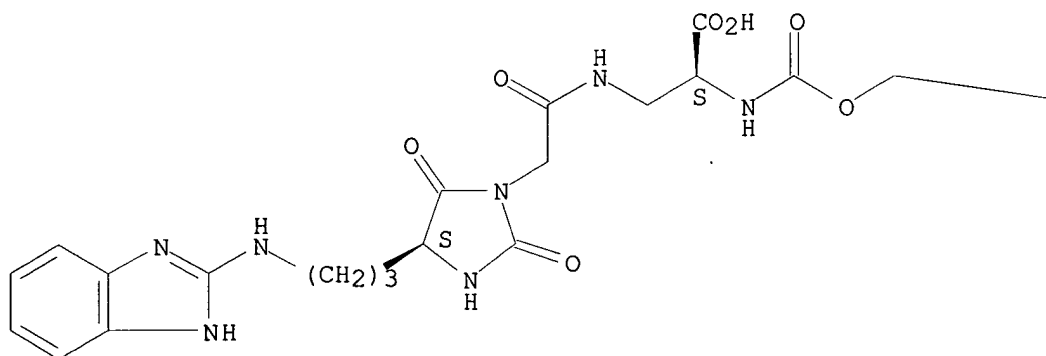


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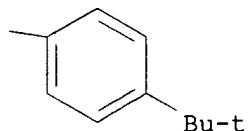
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[[[4-(1,1-dimethylethyl)phenyl]methoxy]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

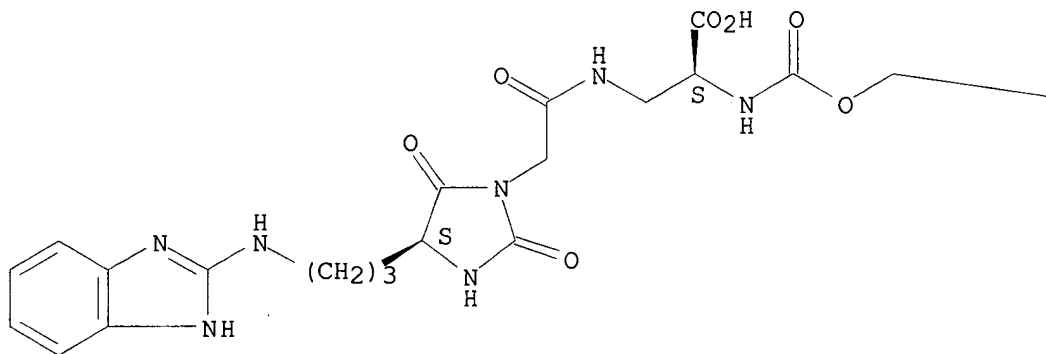


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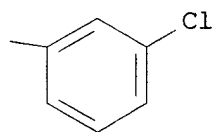
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[[3-(3-chlorophenyl)methoxy]carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

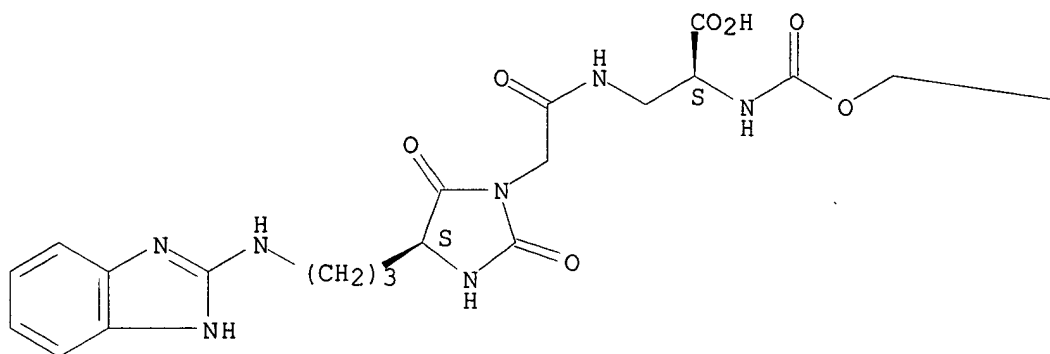


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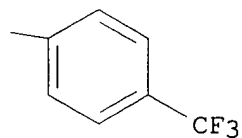
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[[[4-(trifluoromethyl)phenyl]methoxy]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

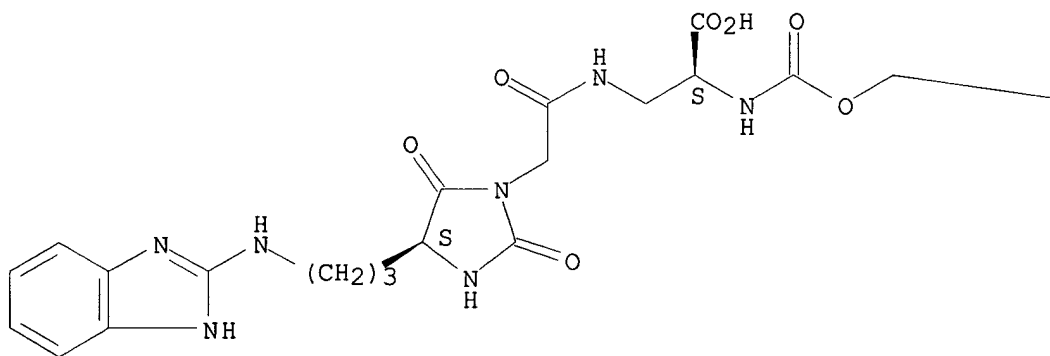


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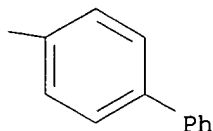
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[[[1,1'-biphenyl]-4-ylmethoxy]carbonyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

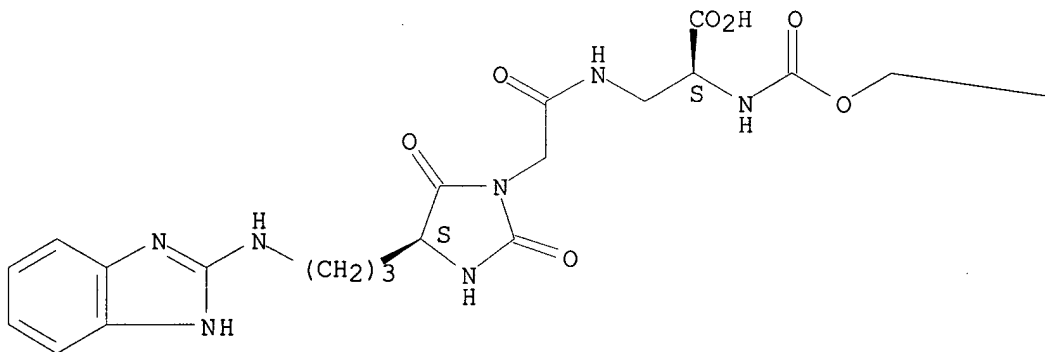


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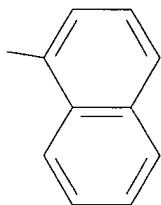
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(1-naphthalenylmethoxy)carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

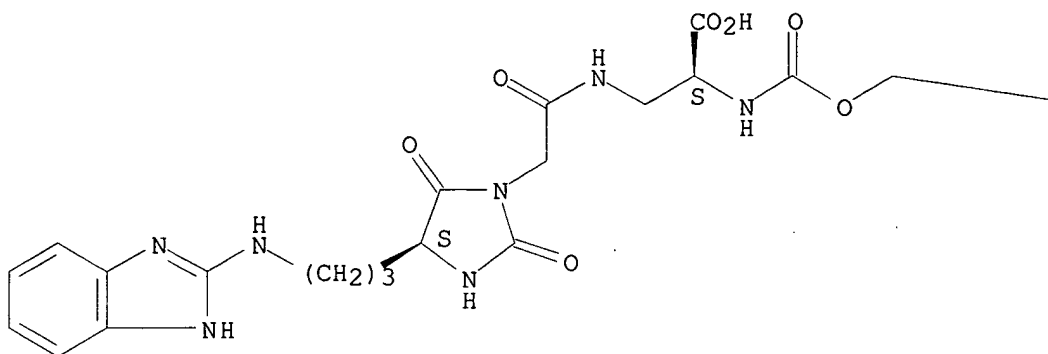


RN 197357-97-4 CAPLUS

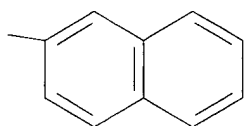
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(2-naphthalenylmethoxy)carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

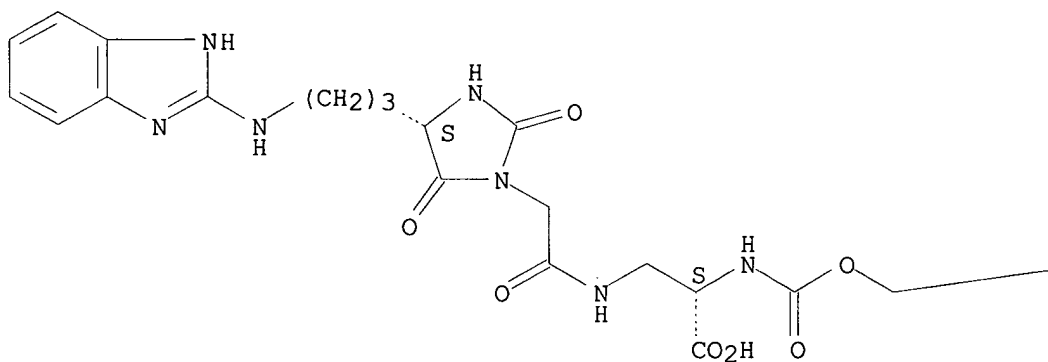


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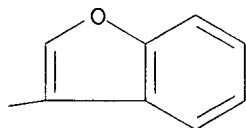
CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(3-benzofuranylmethoxy)carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



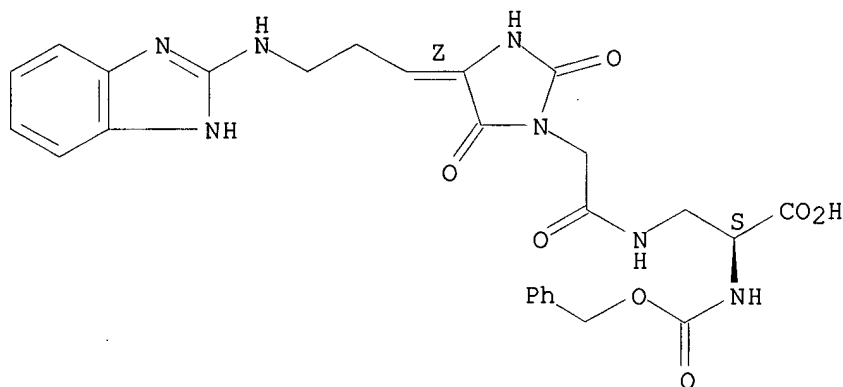
PAGE 1-B



RN 197358-00-2 CAPLUS

CN L-Alanine, 3-[[[(4Z)-4-[3-(1H-benzimidazol-2-ylamino)propylidene]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



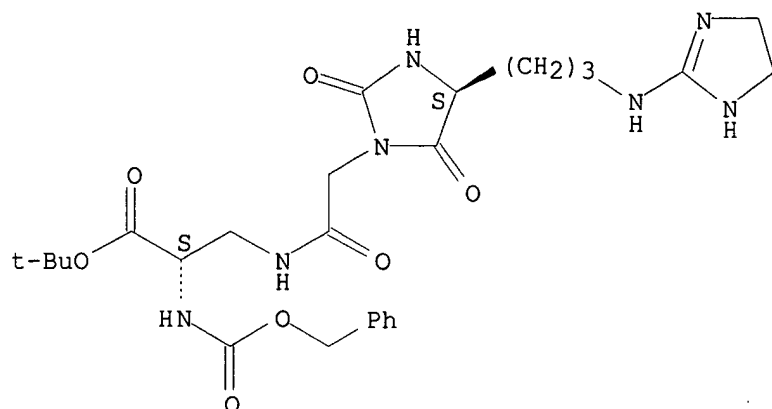
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197358-34-2P 197358-39-7P 197358-40-0P
197358-41-1P 197358-47-7P 197358-61-5P
197358-68-2P 197358-69-3P 197358-70-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 3-[(dioxoimidazolidinoacetyl)amino]-L-alanines and analogs
as vitronectin receptor antagonists)

RN 197358-13-7 CAPLUS

CN L-Alanine,
3-[[[(4S)-4-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

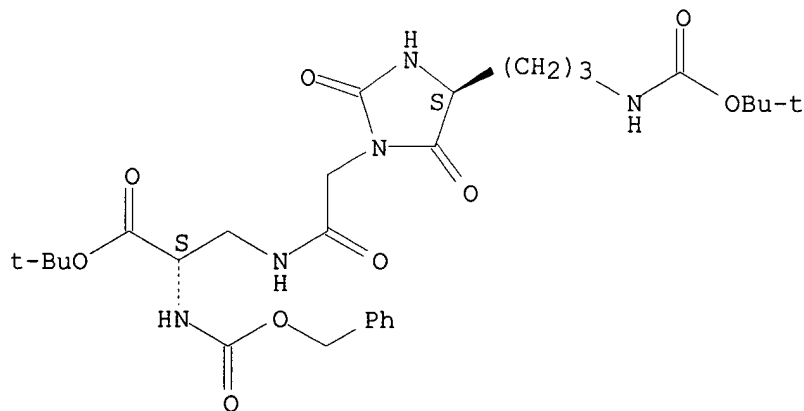


RN 197358-16-0 CAPLUS

CN L-Alanine,

3-[[[(4S)-4-[3-[[[(1,1-dimethylethoxy) carbonyl] amino] propyl]-2,5-dioxo-1-imidazolidinyl] acetyl] amino]-N-[(phenylmethoxy) carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 197358-18-2 CAPLUS

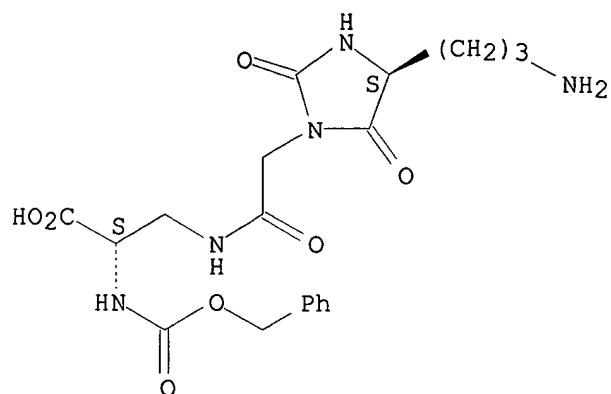
CN L-Alanine, 3-[[[(4S)-4-(3-aminopropyl)-2,5-dioxo-1-imidazolidinyl] acetyl] amino]-N-[(phenylmethoxy) carbonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 197358-17-1

CMF C19 H25 N5 O7

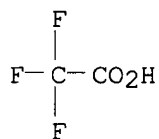
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

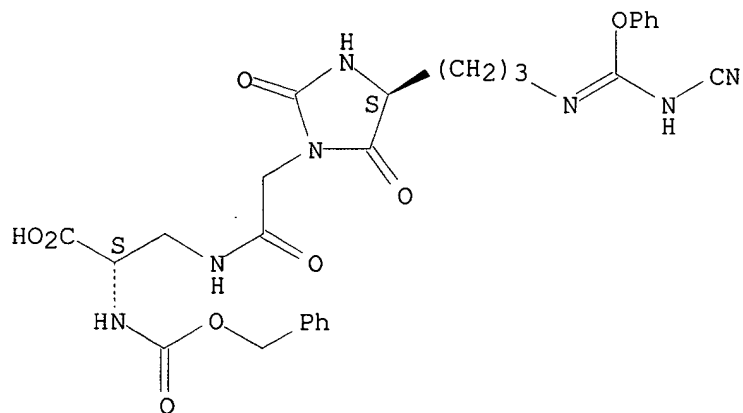


RN 197358-19-3 CAPLUS

CN L-Alanine,

3-[[[(4S)-4-[3-[[[(cyanoamino)phenoxy]methylene]amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



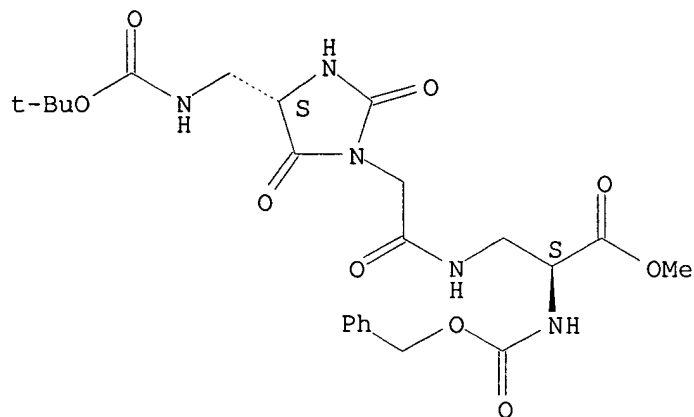
RN 197358-23-9 CAPLUS

Searched by John Dantzman

308-4488

CN L-Alanine, 3-[[[(4S)-4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 197358-25-1 CAPLUS

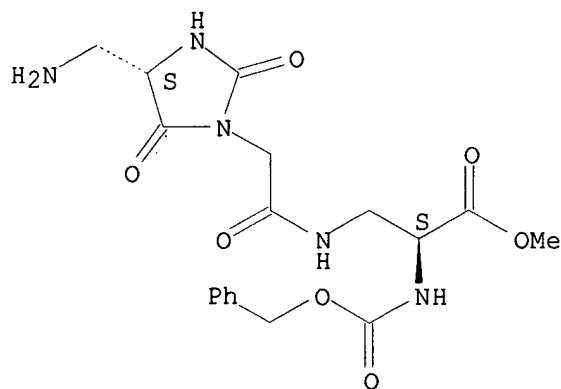
CN L-Alanine, 3-[[[(4S)-4-(aminomethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 197358-24-0

CMF C18 H23 N5 O7

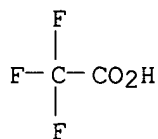
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

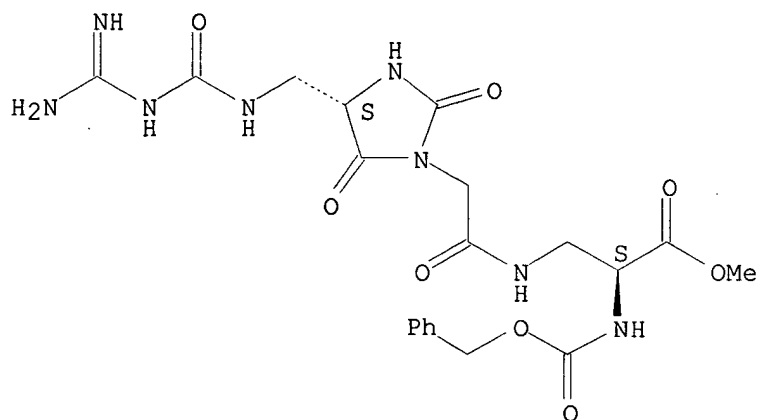


RN 197358-26-2 CAPLUS

CN L-Alanine,

3-[[[(4S)-4-[[[(aminoiminomethyl)amino]carbonyl]amino]methyl]-
2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-,
methyl ester (9CI) (CA INDEX NAME)

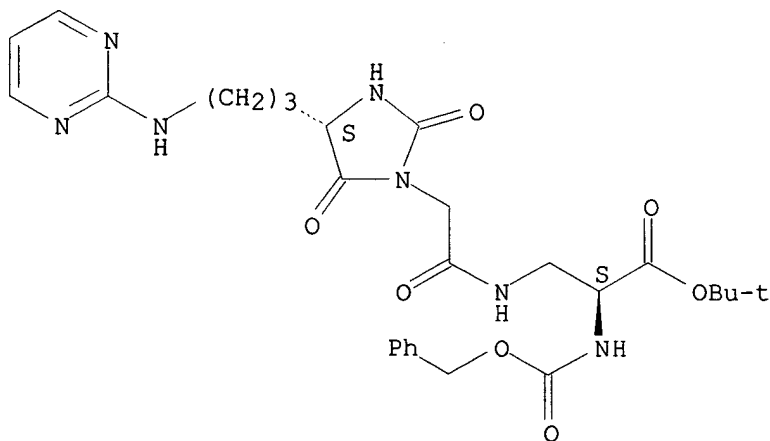
Absolute stereochemistry.



RN 197358-28-4 CAPLUS

CN L-Alanine, 3-[[[(4S)-2,5-dioxo-4-[3-(2-pyrimidinylamino)propyl]-1-
imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



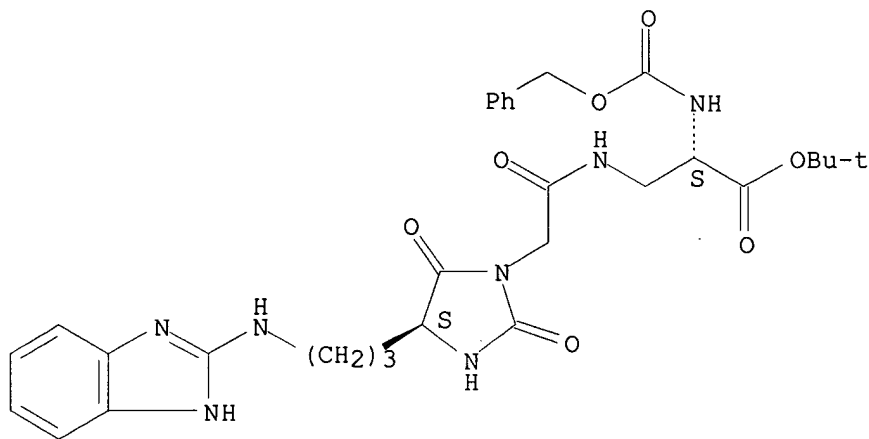
Searched by John Dantzman

308-4488

RN 197358-32-0 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[3-(1H-benzimidazol-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

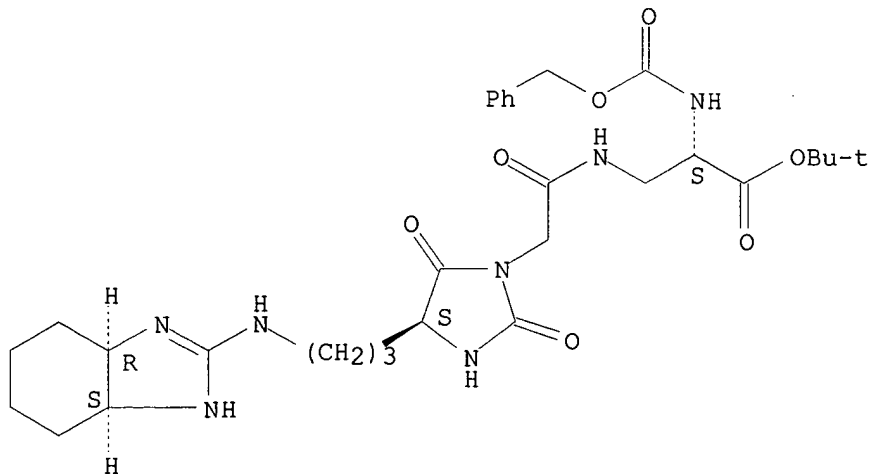
Absolute stereochemistry.



RN 197358-34-2 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[3-[[[(3aR,7aS)-3a,4,5,6,7,7a-hexahydro-1H-benzimidazol-2-yl]amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



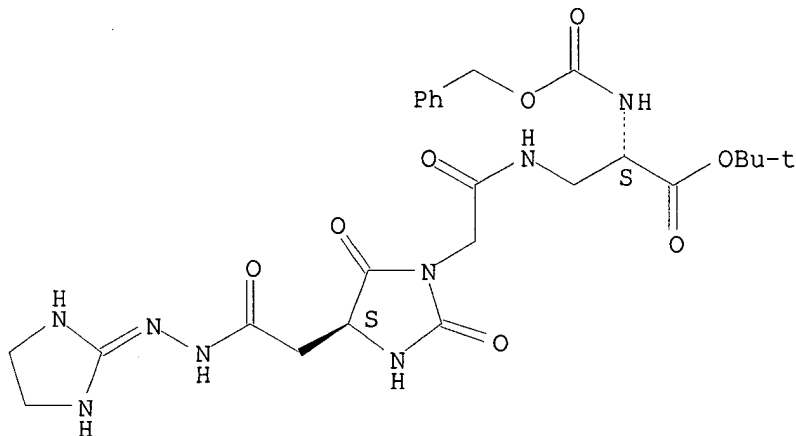
RN 197358-39-7 CAPLUS

CN 4-Imidazolidineacetic acid, 1-[2-[[3-(1,1-dimethylethoxy)-3-oxo-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-2-oxoethyl]-2,5-dioxo-,

Searched by John Dantzman 308-4488

2-(4,5-dihydro-1H-imidazol-2-yl)hydrazide, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

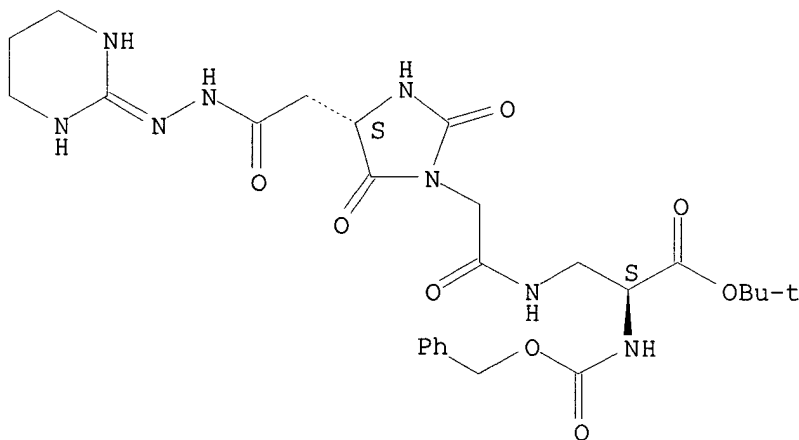
Absolute stereochemistry.



RN 197358-40-0 CAPLUS

CN 4-Imidazolidineacetic acid, 1-[2-[[3-(1,1-dimethylethoxy)-3-oxo-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-2-oxoethyl]-2,5-dioxo-, 2-(1,4,5,6-tetrahydro-2-pyrimidinyl)hydrazide, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

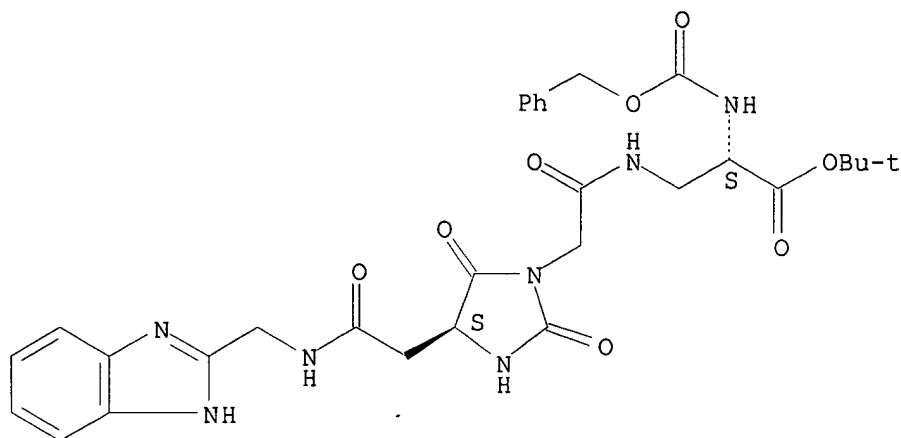
Absolute stereochemistry.



RN 197358-41-1 CAPLUS

CN L-Alanine, 3-[[[(4S)-4-[2-[(1H-benzimidazol-2-ylmethyl)amino]-2-oxoethyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

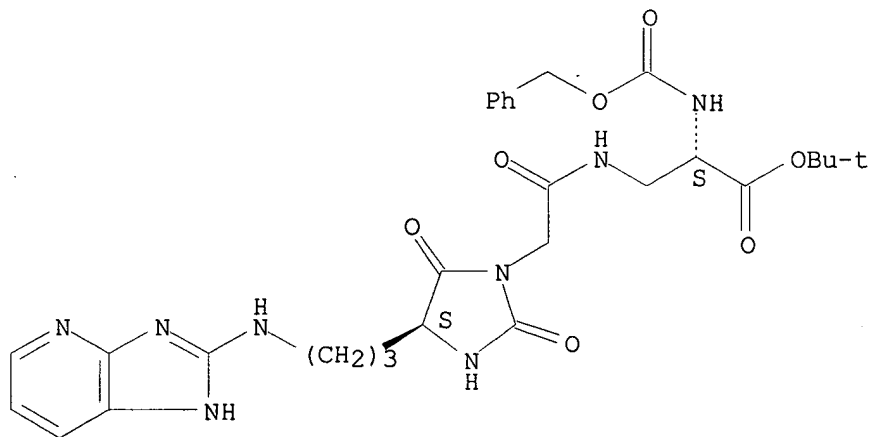


RN 197358-47-7 CAPLUS

CN L-Alanine,

3-[[[(4S)-4-[3-(1H-imidazo[4,5-b]pyridin-2-ylamino)propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

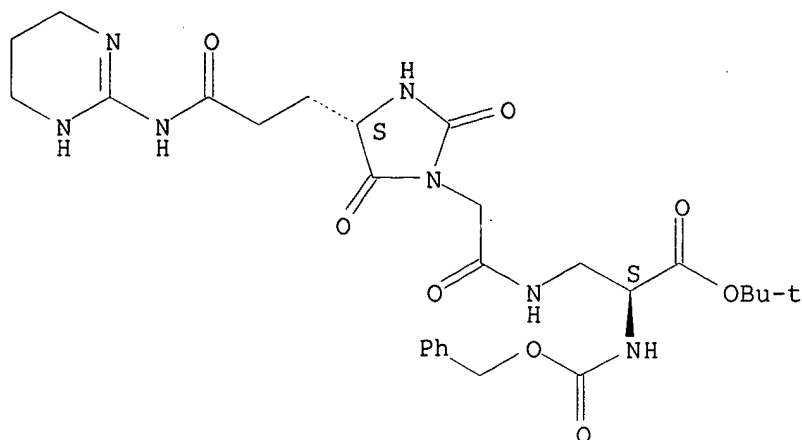
Absolute stereochemistry.



RN 197358-61-5 CAPLUS

CN L-Alanine, 3-[[[(4S)-2,5-dioxo-4-[3-oxo-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

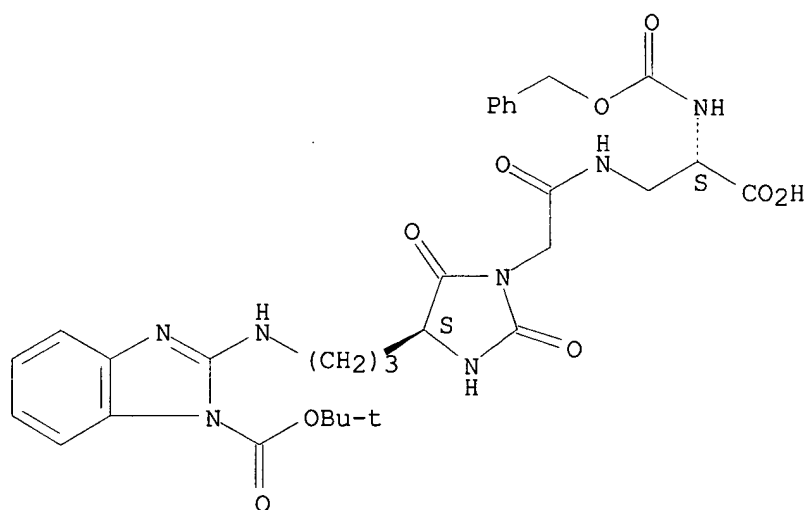
Absolute stereochemistry.



RN 197358-68-2 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[3-[1-[2-[[2-carboxy-2-[[[(phenylmethoxy) carbonyl] amino] ethyl] amino]-2-oxoethyl]-2,5-dioxo-4-imidazolidinyl]propyl]amino]-, 1-(1,1-dimethylethyl) ester, [S-(R*,R*)]]- (9CI) (CA INDEX NAME)

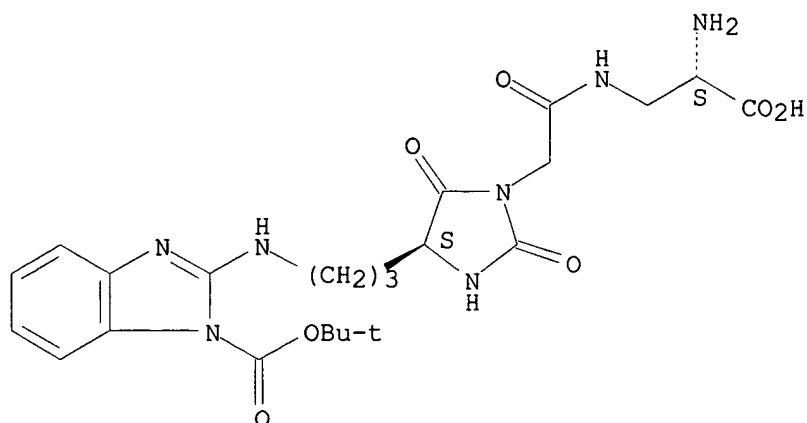
Absolute stereochemistry.



RN 197358-69-3 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[3-[1-[2-[[2-amino-2-carboxyethyl] amino]-2-oxoethyl]-2,5-dioxo-4-imidazolidinyl]propyl]amino]-, 1-(1,1-dimethylethyl) ester, [S-(R*,R*)]]- (9CI) (CA INDEX NAME)

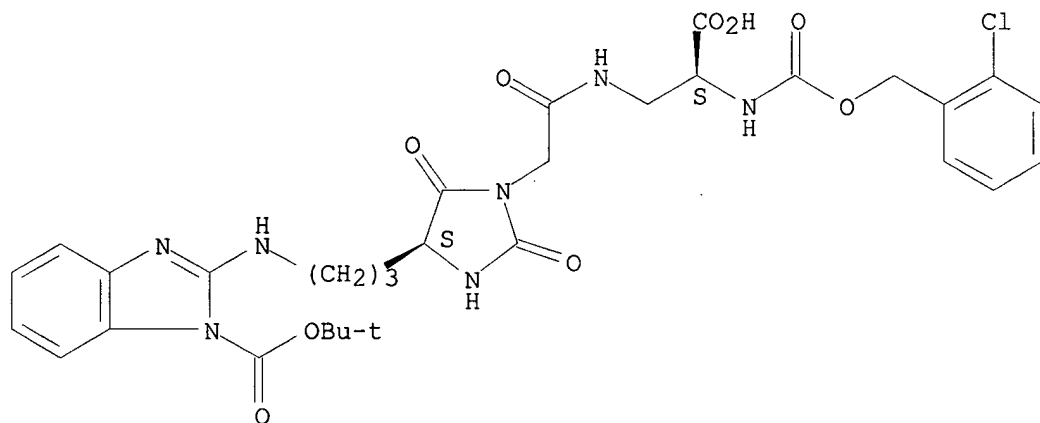
Absolute stereochemistry.



RN 197358-70-6 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[3-[1-[2-[[2-carboxy-2-[[[(2-chlorophenyl)methoxy]carbonyl]amino]ethyl]amino]-2-oxoethyl]-2,5-dioxo-4-imidazolidinyl]propyl]amino]-, 1-(1,1-dimethylethyl) ester, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 06:24:32 ON 13 MAR 2000)

FILE 'REGISTRY' ENTERED AT 06:24:55 ON 13 MAR 2000

L1 STR
L2 50 S L1
L3 2639 S L1 FUL
SAV TEMP QAZI971/A L3

FILE 'CAPLUS' ENTERED AT 06:27:13 ON 13 MAR 2000

L4 1406 S L3

FILE 'REGISTRY' ENTERED AT 06:27:25 ON 13 MAR 2000

L5 STR L1
L6 19 S L5 SSS SAM SUB=L3
L7 355 S L5 SSS FUL SUB=L3

FILE 'CAPLUS' ENTERED AT 06:33:38 ON 13 MAR 2000

L8 50 S L7

FILE 'REGISTRY' ENTERED AT 06:33:47 ON 13 MAR 2000

L9 343 S L7 NOT NC4/ESS
L10 110403 S NCNC2-C6/ES
L11 318 S L9 NOT L10
SAV TEMP L7 QAZI971B/A
L12 STR L5
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L14 317 S L12 SSS FUL SUB=L3

FILE 'CAPLUS' ENTERED AT 07:16:42 ON 13 MAR 2000

FILE 'CAPLUS' ENTERED AT 07:16:48 ON 13 MAR 2000

L15 28 S L14

FILE 'CAOLD' ENTERED AT 07:27:03 ON 13 MAR 2000

L16 0 S L14

FILE 'HCAPLUS' ENTERED AT 07:29:52 ON 13 MAR 2000

L17 33 S STILZ H?/AU
L18 32 S WEHNER V?/AU
L19 126 S KNOLLE J?/AU
L20 90 S BARTNIK E?/AU
L21 16 S HUELS C?/AU
L22 1 S L17 AND L18 AND L19 AND L20 AND L21
SELECT RN L22 1

FILE 'REGISTRY' ENTERED AT 07:30:29 ON 13 MAR 2000

L23 68 S E1-68
L24 59 S L23 AND NCNC2/ES
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L26 18 S L25 AND 4/NR

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L27 1 S L22 AND L23

QAZI

08/971960

Page 2

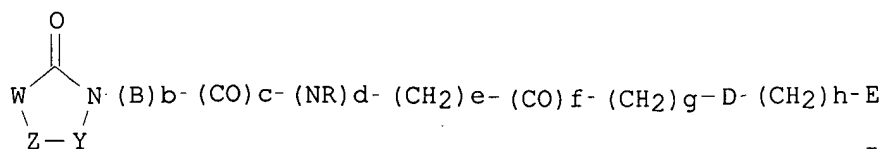
Searched by John Dantzman

308-4488

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L27 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2000 ACS
 AN 1998:335034 HCAPLUS
 DN 129:16396
 TI Preparation of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists
 IN Stilz, Hans Ulrich; Wehner, Volkmar; Knolle, Jochen; Bartnik, Eckart; Huels, Christoph
 PA Hoechst A.-G., Germany
 SO Ger. Offen., 34 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19647380	A1	19980520	DE 1996-19647380	19961115
	EP 842943	A2	19980520	EP 1997-119638	19971110
	EP 842943	A3	19990224		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	CA 2220784	AA	19980515	CA 1997-2220784	19971112
	AU 9745159	A1	19980521	AU 1997-45159	19971113
	NO 9705244	A	19980518	NO 1997-5244	19971114
	JP 10147573	A2	19980602	JP 1997-329702	19971114
	CN 1187490	A	19980715	CN 1997-122684	19971114
PRAI	DE 1996-19647380		19961115		
OS	MARPAT 129:16396				
GI					



AB The present invention relates to novel peptide derivs. [(I); W = R1AC(R13); R1ACH:C; R1 = XNHC(:NH)(CH2)p; X'NH(CH2)p; p = 0-3; R13 = H, (aryl)alkyl; Y = CO, CS, CH2; A = N(R0), O, S, CH2; R, R0 independently = (cyclo)alkyl, aryl; A = (substituted)(cyclo)alkyl; B = (substituted)alkyl, alkenyl, (substituted)Ph; D = C(R2)(R3), N(R3), CH-C(R3); R2 = H, (cyclo)alkyl, (substituted)aryl; R3 = H, alkyl, (substituted)(cyclo)aryl, alkenyl, alkynyl; E = tetrazolyl, (R8O)2P(O), HO3S, R9NHSO2, R10CO; R8 = H, alkyl, (substituted)aryl; R9 = H, (substituted)NHCO; R10 = OH, (aryl)alkoxy, (substituted)NH2; b, c, d, f = 0, 1 (but not all = 0); e, g, h = 0-6] that are useful for inhibition and prevention of leukocyte adhesion or migration, and cell adhesion-mediated pathologies. Thus, 4-(4-(amino-imino-methyl)-phenyl)-3-((biphenyl)-methyl-2,5-

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dioxoimidazolidin-1-yl)-acetyl-(L-N-methyl-aspartyl)-L-phenylglycine I, [W = (RS)-CH₄-C₆H₄-C(:NH)NH₂; Y = CO; Z = NCH₂-4-C₆H₄-Ph; B = CH₂; D = N-Me-L-Asp-(S)-NHCH(Ph)CO₂H; b, c = 1; d, e, f, g, h = 0(II)], was synthesized starting from N-PhCH₂OCO-L-Asp(OCH₂Ph)OH and H₂NCH(Ph)OC(CH₃)₃.HCl in 6 steps. In in vitro tests using U937 cells and hVCAM-1(1-3)-IgG, II had IC₅₀ 0.09.μM.

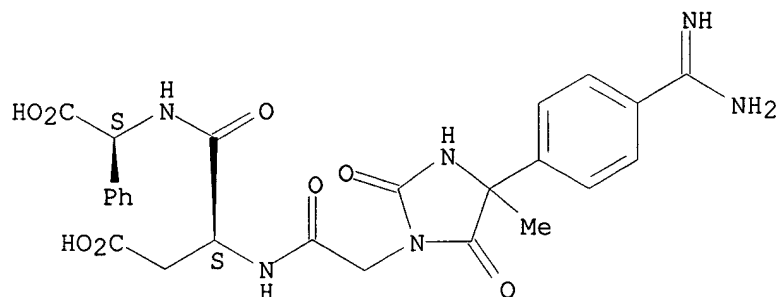
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 207731-39-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 5-membered-ring heterocycles as inhibitors of leukocyte adhesion and VLA-4 antagonists)

RN 169807-87-8 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

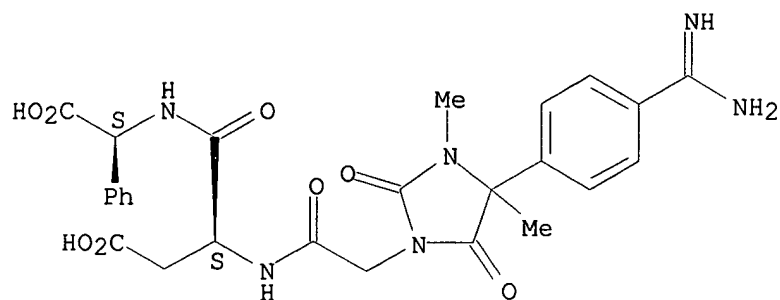
Absolute stereochemistry.



RN 169807-88-9 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

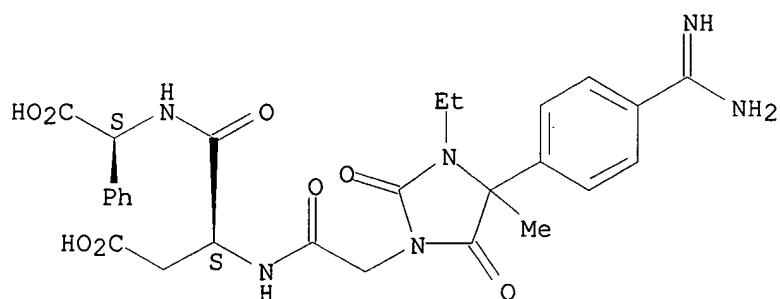
Absolute stereochemistry.



RN 170565-13-6 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3-ethyl-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

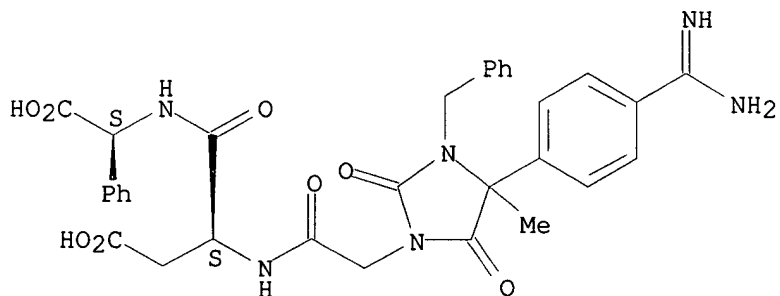
Absolute stereochemistry.



RN 170565-14-7 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

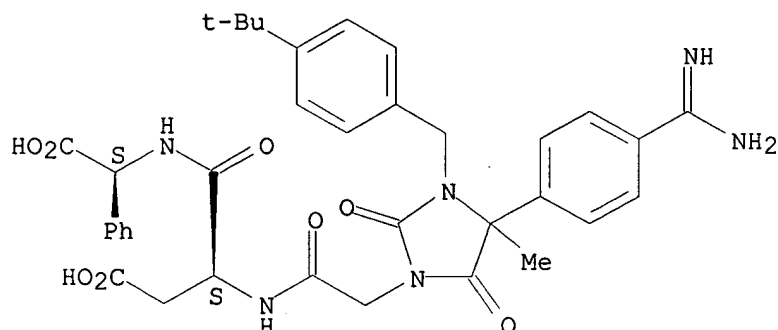


RN 207730-93-6 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3-[[4-(1,1-dimethylethyl)phenyl]-2-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-

.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

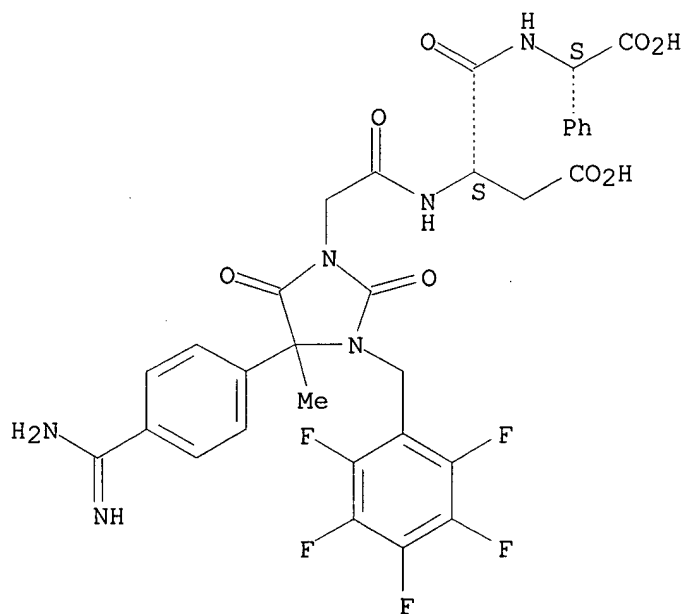


RN 207730-94-7 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-

[(pentafluorophenyl)methyl]-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207730-95-8 HCAPLUS

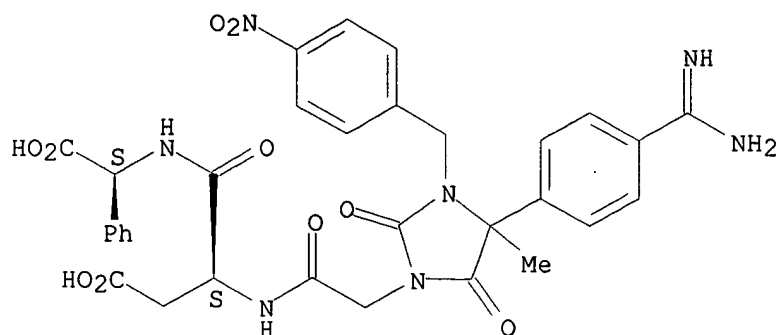
CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-3-[(4-

nitrophenyl)methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

308-4488

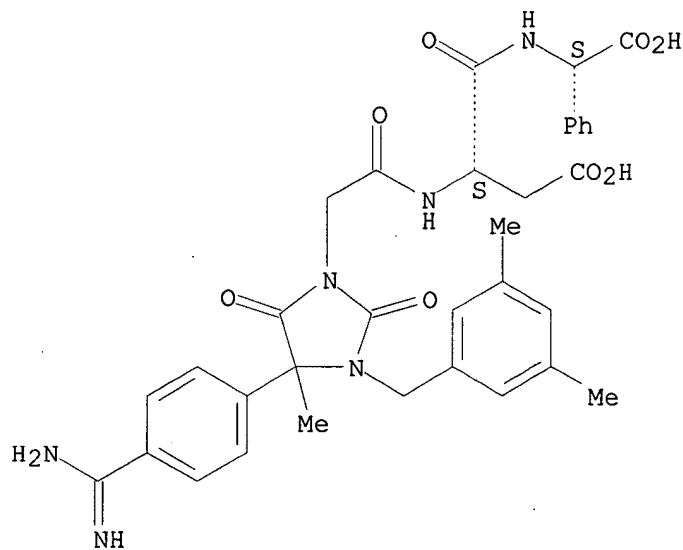


RN 207730-96-9 HCAPLUS

CN Glycine,

N-[[4-[4-(aminoiminomethyl)phenyl]-3-[(3,5-dimethylphenyl)methyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

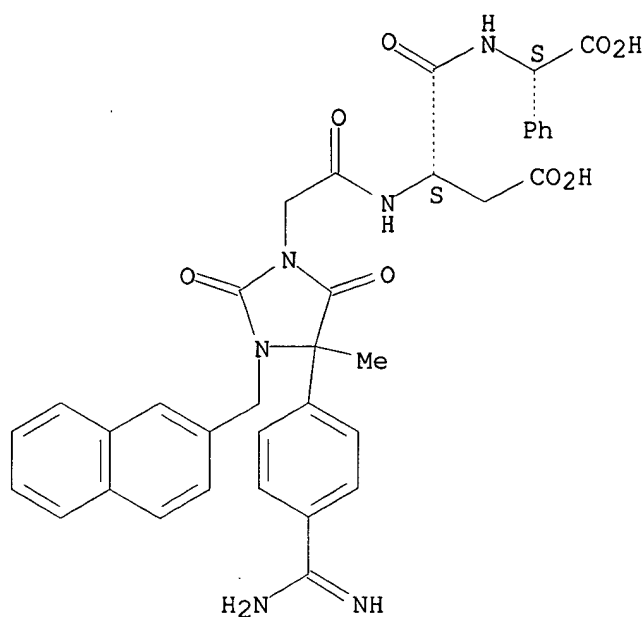


RN 207730-97-0 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-3-(2-

naphthalenylmethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

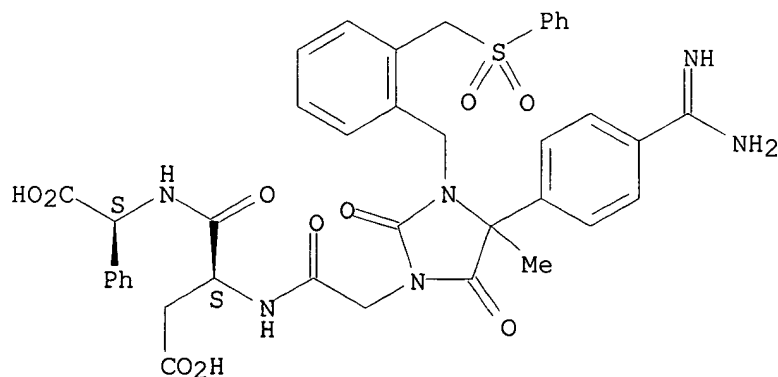
Absolute stereochemistry.



RN 207730-98-1 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-[[2-[(phenylsulfonyl)methyl]phenyl]methyl]-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)-(9CI) (CA INDEX NAME)

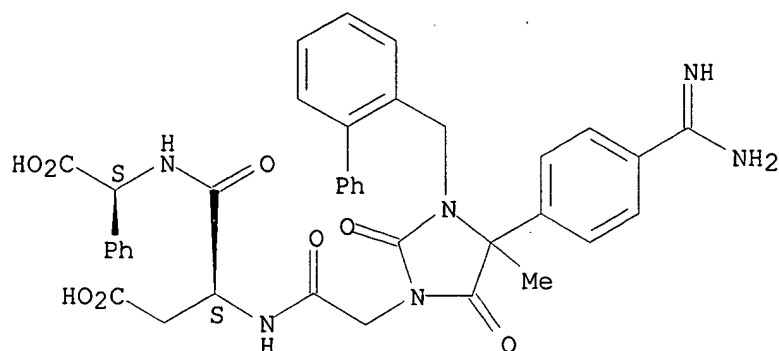
Absolute stereochemistry.



RN 207730-99-2 HCAPLUS

CN Glycine,
N-[[4-[4-(aminoiminomethyl)phenyl]-3-([1,1'-biphenyl]-2-ylmethyl)-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)-(9CI) (CA INDEX NAME)

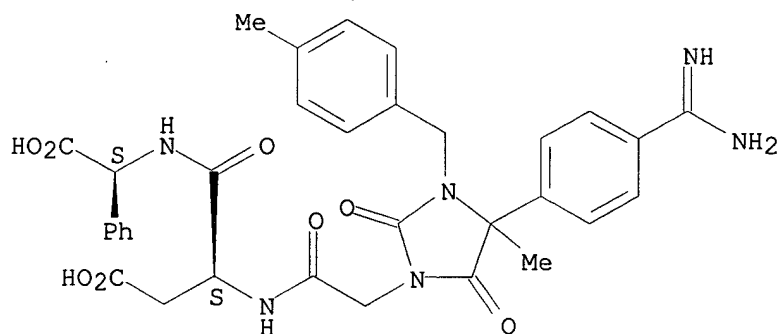
Absolute stereochemistry.



RN 207731-00-8 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-3-[(4-methylphenyl)methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

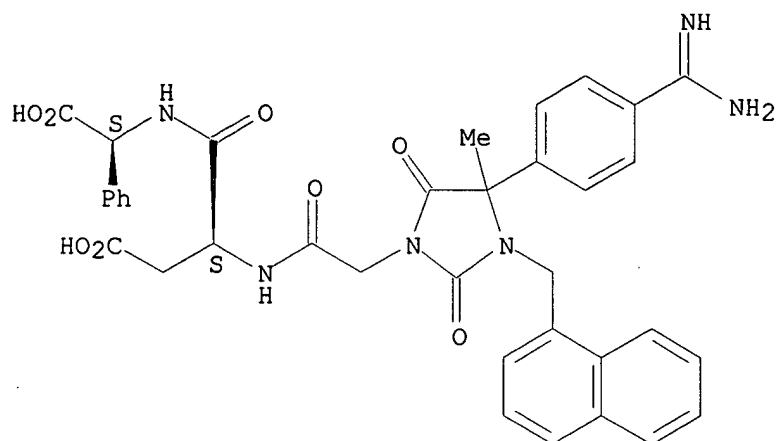
Absolute stereochemistry.



RN 207731-01-9 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-3-(1-naphthalenylmethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

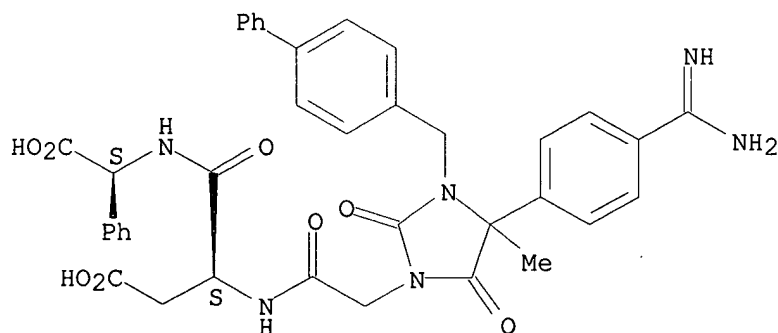


RN 207731-02-0 HCAPLUS

CN Glycine,

N-[[4-[4-(aminoiminomethyl)phenyl]-3-([1,1'-biphenyl]-4-ylmethyl)-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

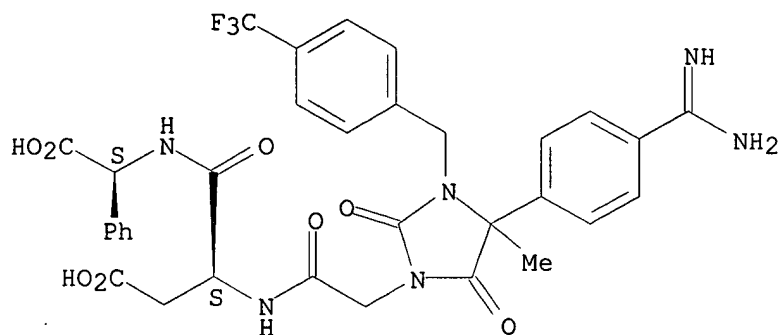
Absolute stereochemistry.



RN 207731-03-1 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-[[4-(trifluoromethyl)phenyl]methyl]-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

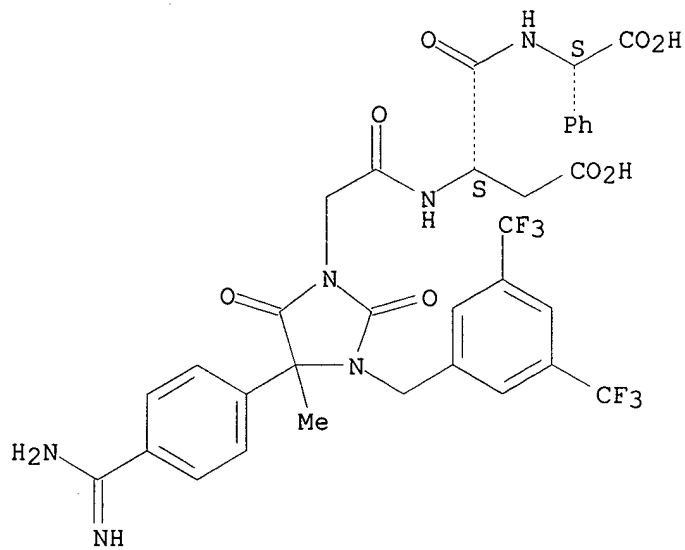
Absolute stereochemistry.



RN 207731-04-2 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

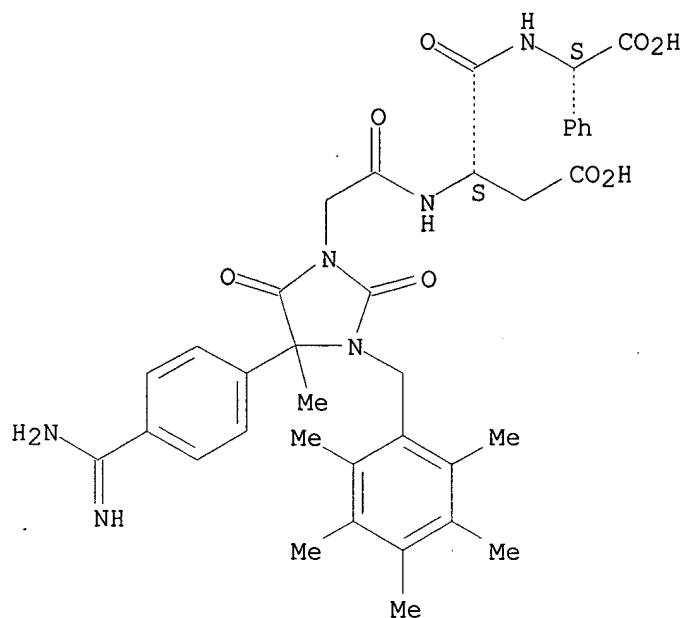
Absolute stereochemistry.



RN 207731-05-3 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-[(pentamethylphenyl)methyl]-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



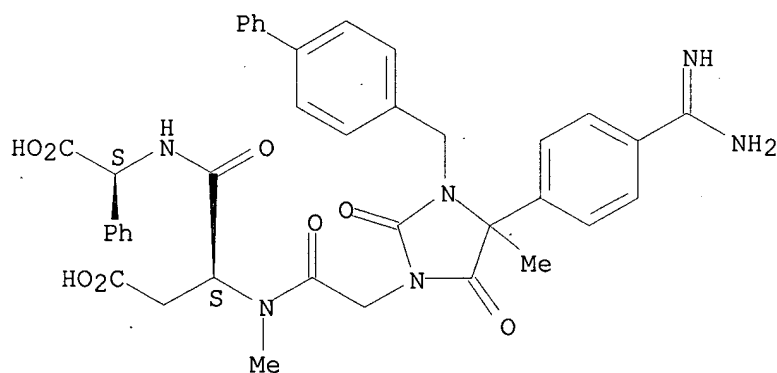
RN 207731-11-1 HCAPLUS

CN Glycine,

N-[[4-[4-(aminoiminomethyl)phenyl]-3-([1,1'-biphenyl]-4-ylmethyl)-

4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-N-methyl-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

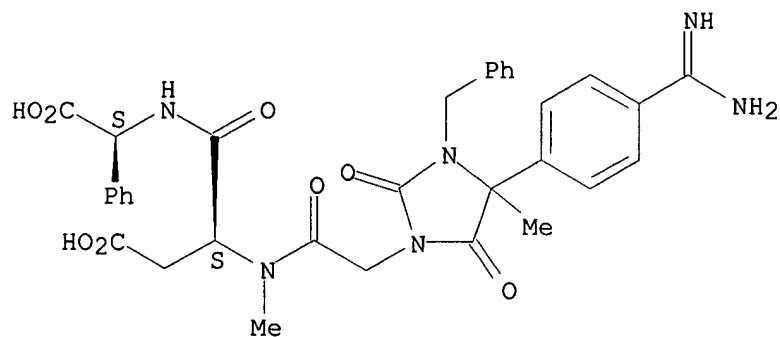
Absolute stereochemistry.



RN 207731-12-2 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-N-methyl-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

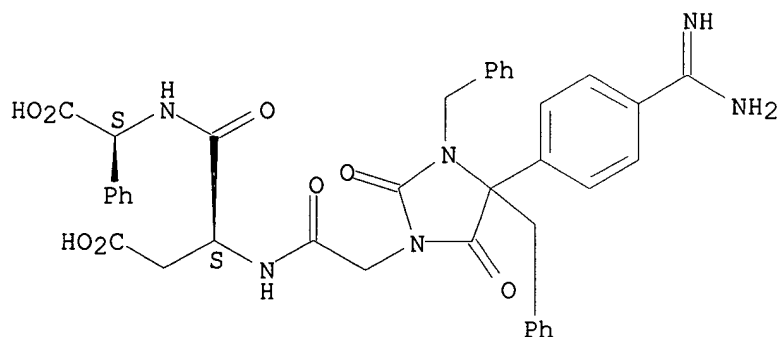
Absolute stereochemistry.



RN 207731-13-3 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-2,5-dioxo-3,4-bis(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)-(9CI) (CA INDEX NAME)

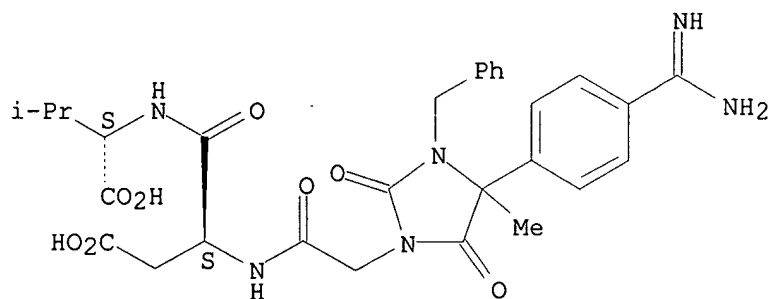
Absolute stereochemistry.



RN 207731-14-4 HCAPLUS

CN L-Valine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



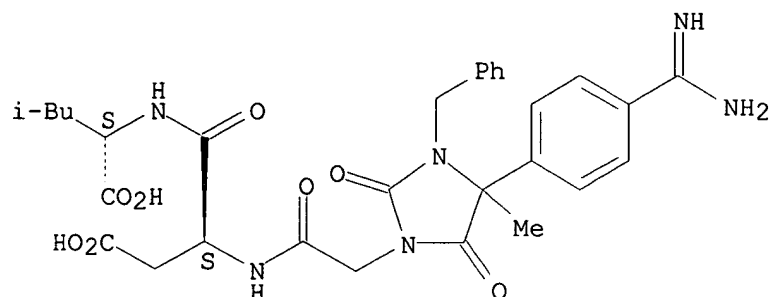
RN 207731-15-5 HCAPLUS

Searched by John Dantzman

308-4488

CN L-Leucine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

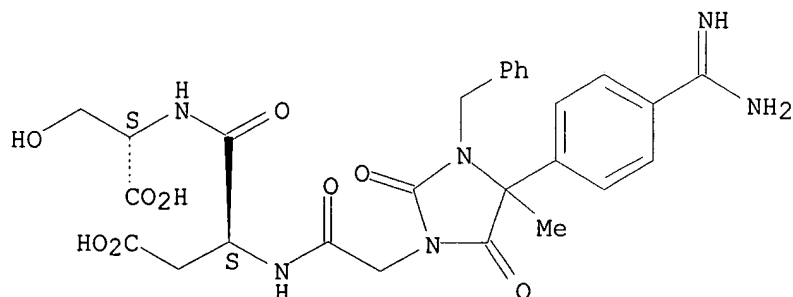
Absolute stereochemistry.



RN 207731-16-6 HCAPLUS

CN L-Serine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

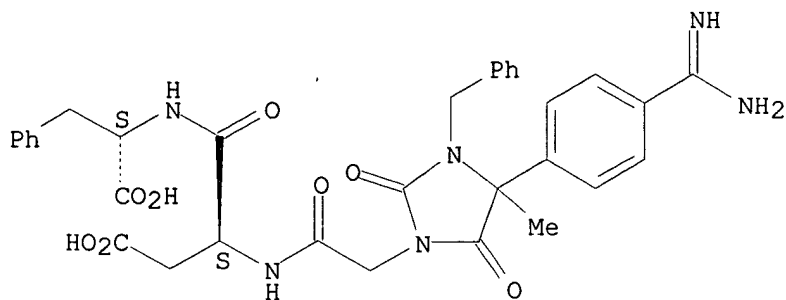
Absolute stereochemistry.



RN 207731-17-7 HCAPLUS

CN L-Phenylalanine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl- (9CI) (CA INDEX NAME)

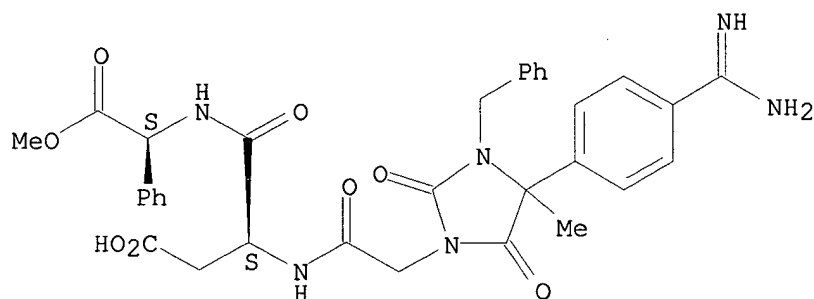
Absolute stereochemistry.



RN 207731-18-8 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, 2-methyl ester, (2S)- (9CI) (CA INDEX NAME)

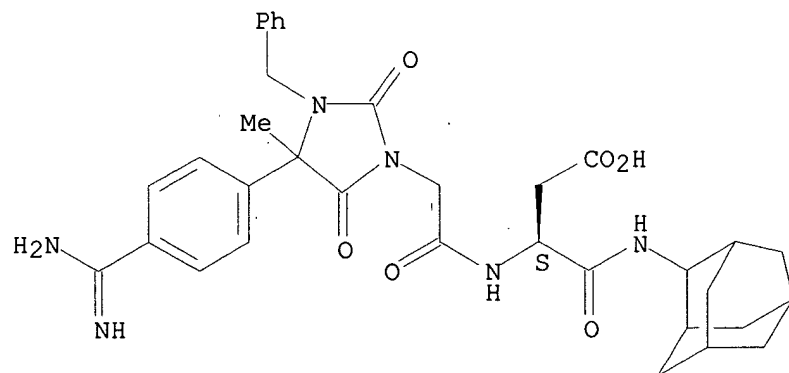
Absolute stereochemistry.



RN 207731-19-9 HCAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-4-oxo-4-(tricyclo[3.3.1.1^{3,7}]dec-2-ylamino)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



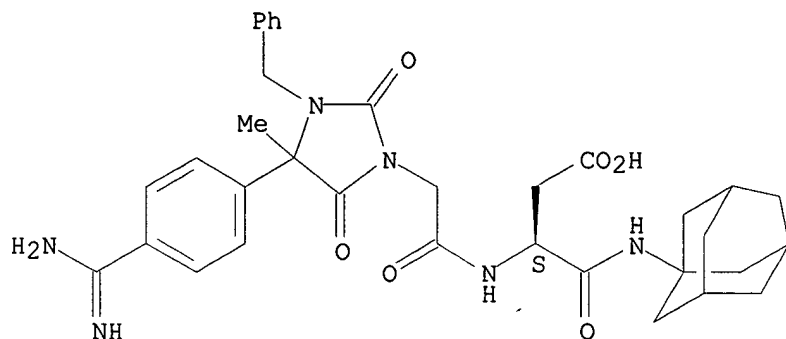
RN 207731-20-2 HCAPLUS

Searched by John Dantzman

308-4488

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-4-oxo-4-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylamino)-, (3S)- (9CI) (CA INDEX NAME)

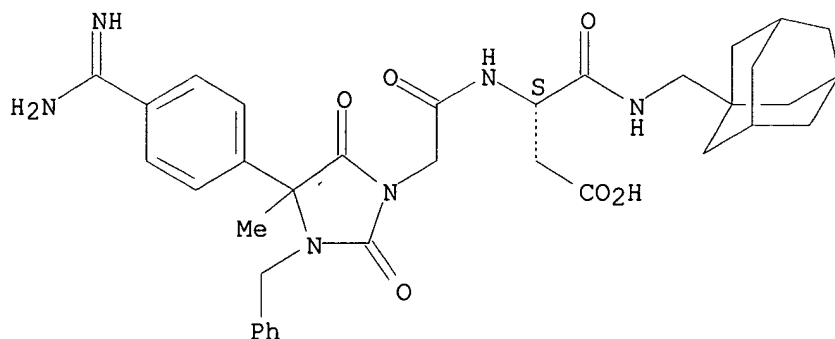
Absolute stereochemistry.



RN 207731-21-3 HCAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-4-oxo-4-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)amino]-, (3S)- (9CI) (CA INDEX NAME)

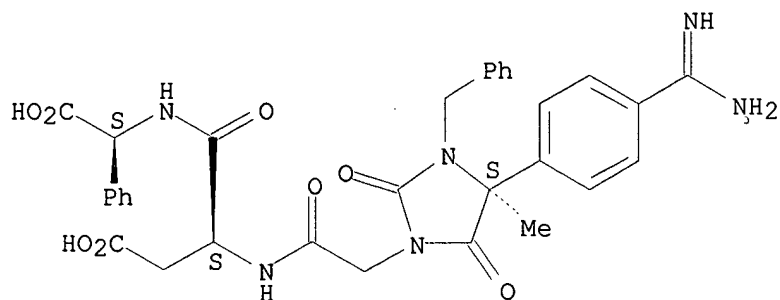
Absolute stereochemistry.



RN 207731-22-4 HCAPLUS

CN Glycine, N-[N-[[[(4S)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl- (9CI) (CA INDEX NAME)

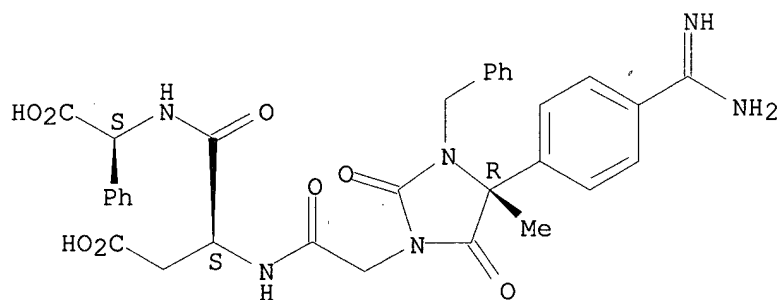
Absolute stereochemistry.



RN 207731-23-5 HCAPLUS

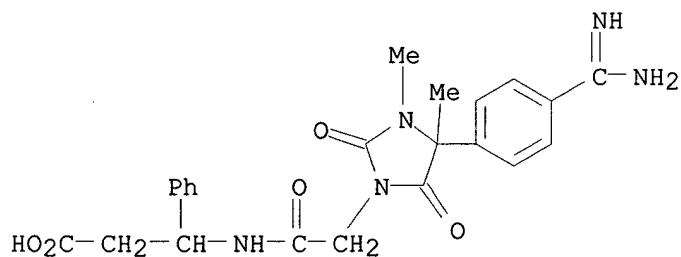
CN Glycine, N-[N-[[[4R)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207731-24-6 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

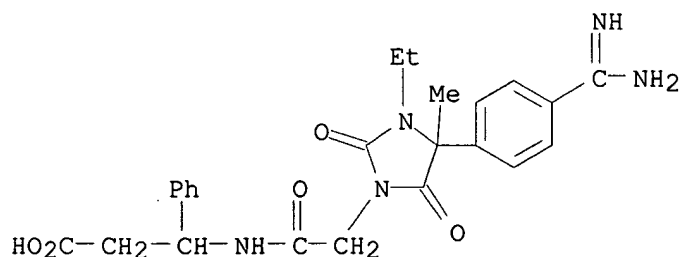


● HCl

RN 207731-25-7 HCAPLUS

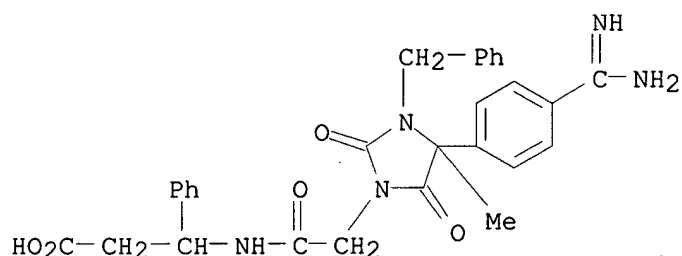
CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI)
(CA INDEX NAME)



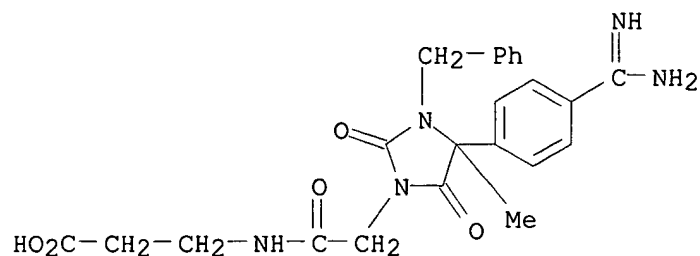
● HCl

RN 207731-26-8 HCAPLUS
CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 207731-27-9 HCAPLUS
CN .beta.-Alanine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

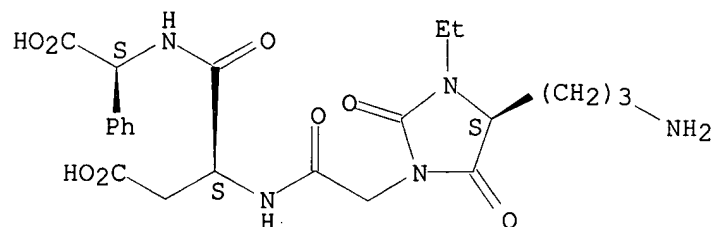


● HCl

RN 207731-28-0 HCAPLUS

CN Glycine, N-[[[(4S)-4-(3-aminopropyl)-3-ethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

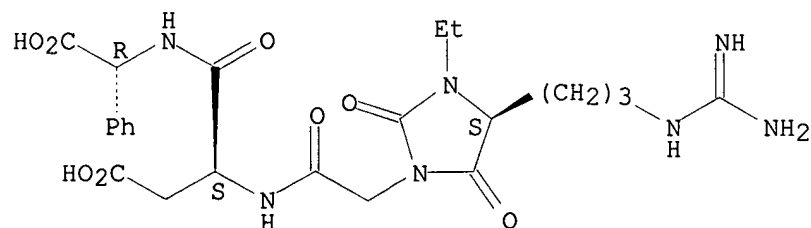
Absolute stereochemistry.



RN 207731-35-9 HCAPLUS

CN Glycine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-3-ethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



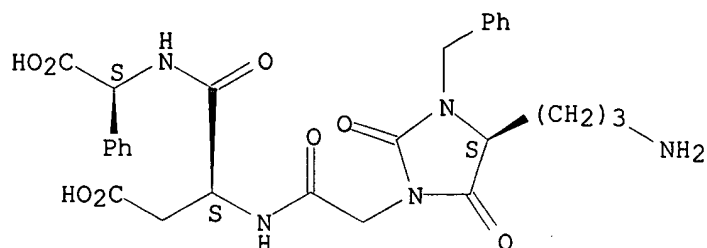
RN 207731-36-0 HCAPLUS

CN Glycine, N-[[[(4S)-4-(3-aminopropyl)-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

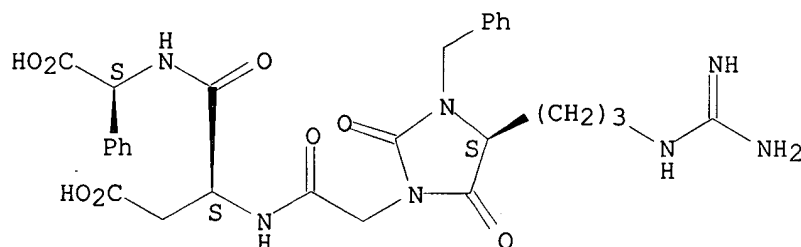
308-4488



RN 207731-37-1 HCAPLUS

CN Glycine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

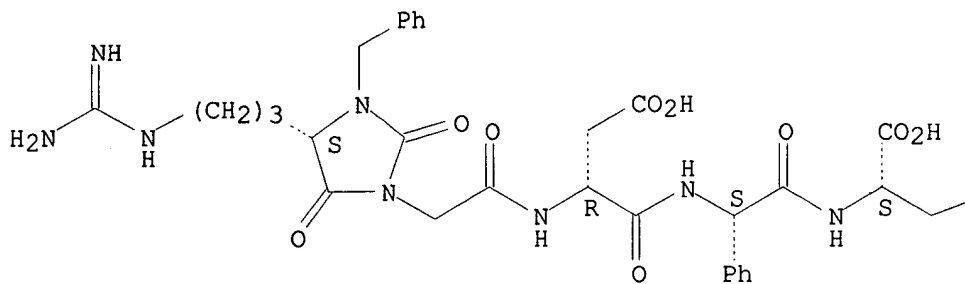


RN 207731-38-2 HCAPLUS

CN L-Tyrosine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-D-.alpha.-aspartyl-(2S)-2-phenylglycyl-, monohydrochloride (9CI) (CA INDEX NAME)

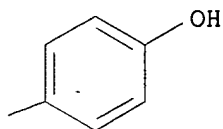
Absolute stereochemistry.

PAGE 1-A



● HCl

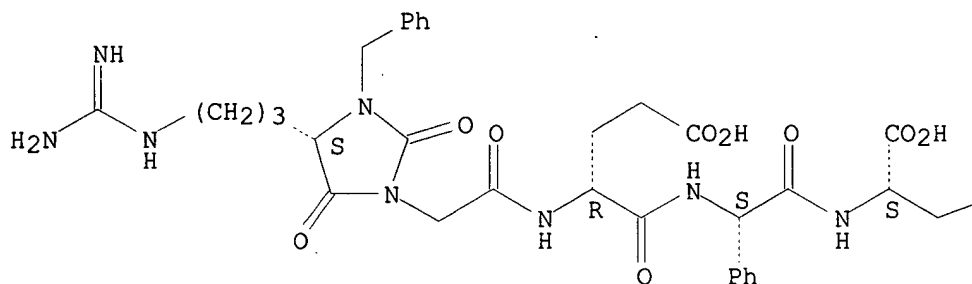
PAGE 1-B



RN 207731-39-3 HCAPLUS
CN L-Tyrosine, N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-D-.alpha.-glutamyl-(2S)-2-phenylglycyl-, monohydrochloride (9CI) (CA INDEX NAME)

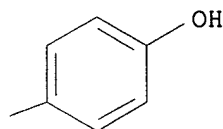
Absolute stereochemistry.

PAGE 1-A



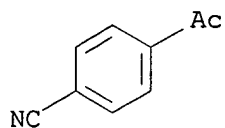
● HCl

PAGE 1-B



IT 1443-80-7 3479-47-8 62631-17-8
150376-50-4 161879-12-5 207731-09-7
RL: RCT (Reactant)
(prepn. of 5-membered-ring heterocycles as inhibitors of leukocyte
adhesion and VLA-4 antagonists)
RN 1443-80-7 HCAPLUS
CN Benzonitrile, 4-acetyl- (9CI) (CA INDEX NAME)

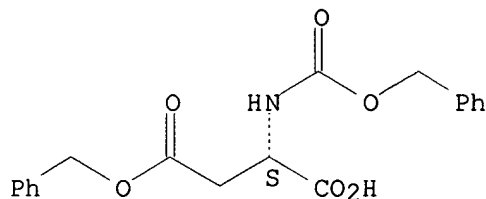
Searched by John Dantzman 308-4488



RN 3479-47-8 HCAPLUS

CN L-Aspartic acid, N-[(phenylmethoxy)carbonyl]-, 4-(phenylmethyl) ester (9CI) (CA INDEX NAME)

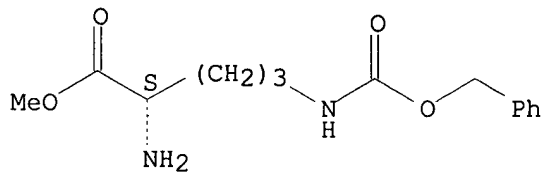
Absolute stereochemistry.



RN 62631-17-8 HCAPLUS

CN L-Ornithine, N5-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

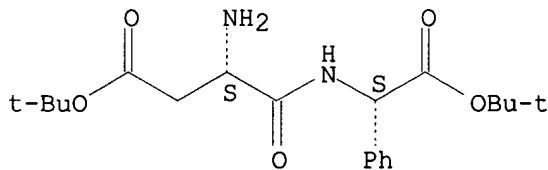
Absolute stereochemistry.



RN 150376-50-4 HCAPLUS

CN Glycine, L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



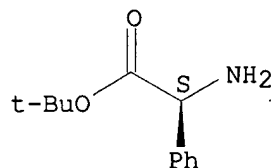
● HCl

RN 161879-12-5 HCAPLUS

CN Benzeneacetic acid, .alpha.-amino-, 1,1-dimethylethyl ester, Searched by John Dantzman 308-4488

hydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

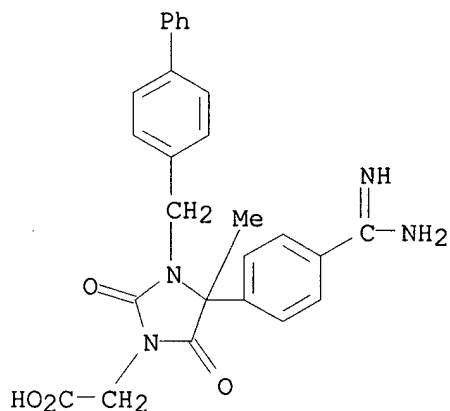
Absolute stereochemistry.



● HCl

RN 207731-09-7 HCAPLUS

CN 1-Imidazolidineacetic acid, 4-[4-(aminoiminomethyl)phenyl]-3-([1,1'-biphenyl]-4-ylmethyl)-4-methyl-2,5-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 169808-00-8P 169808-01-9P 169808-02-0P
169808-04-2P 169808-06-4P 169808-07-5P
169808-08-6P 169808-09-7P 169808-10-0P
169808-11-1P 169808-15-5P 196214-76-3P
207731-06-4P 207731-07-5P 207731-08-6P
207731-10-0P 207731-29-1P 207731-30-4P
207731-31-5P 207731-32-6P 207731-33-7P
207731-34-8P

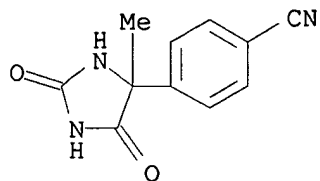
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 5-membered-ring heterocycles as inhibitors of leukocyte
adhesion and VLA-4 antagonists)

RN 169808-00-8 HCAPLUS

CN Benzonitrile, 4-(4-methyl-2,5-dioxo-4-imidazolidinyl)- (9CI) (CA INDEX NAME)

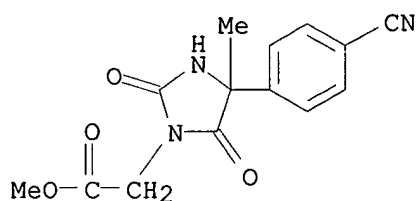
Searched by John Dantzman

308-4488



RN 169808-01-9 HCAPLUS

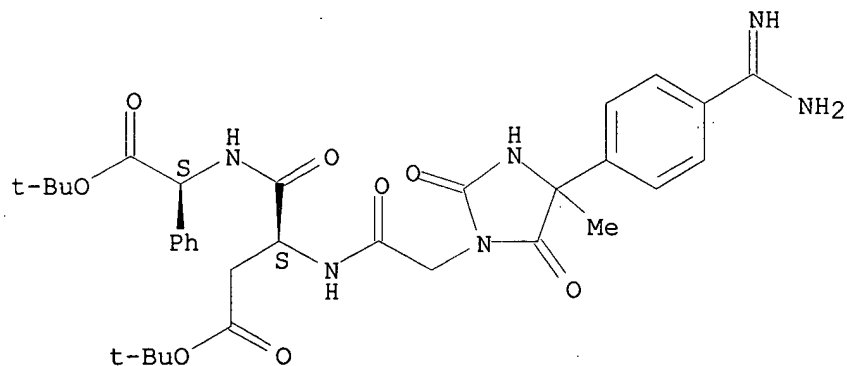
CN 1-Imidazolidineacetic acid, 4-(4-cyanophenyl)-4-methyl-2,5-dioxo-, methyl ester (9CI) (CA INDEX NAME)



RN 169808-02-0 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

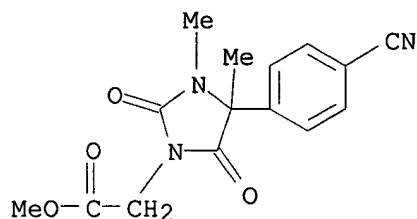
Absolute stereochemistry.



● HCl

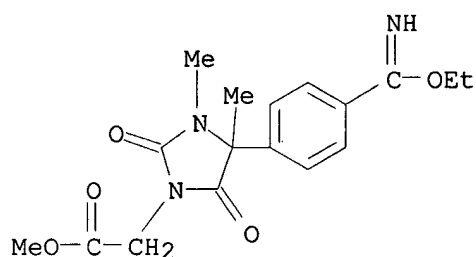
RN 169808-04-2 HCAPLUS

CN 1-Imidazolidineacetic acid, 4-(4-cyanophenyl)-3,4-dimethyl-2,5-dioxo-, methyl ester (9CI) (CA INDEX NAME)



RN 169808-06-4 HCAPLUS

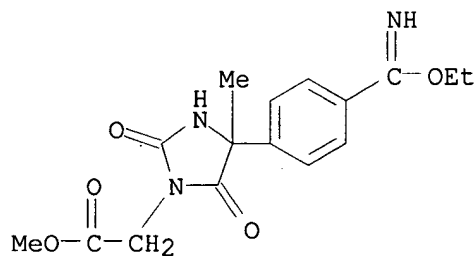
CN 1-Imidazolidineacetic acid, 4-[4-(ethoxyiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 169808-07-5 HCAPLUS

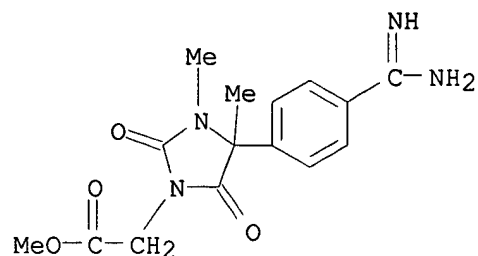
CN 1-Imidazolidineacetic acid, 4-[4-(ethoxyiminomethyl)phenyl]-4-methyl-2,5-dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 169808-08-6 HCAPLUS

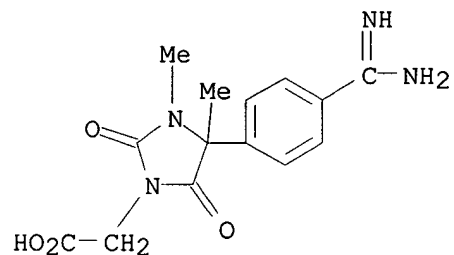
CN 1-Imidazolidineacetic acid, 4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 169808-09-7 HCAPLUS

CN 1-Imidazolidineacetic acid, 4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

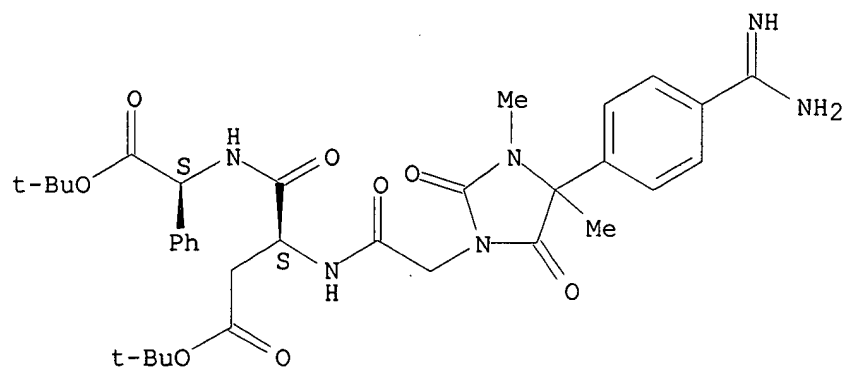


● HCl

RN 169808-10-0 HCAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

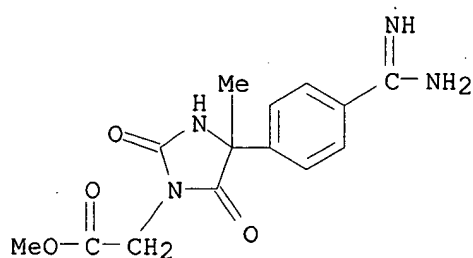
Absolute stereochemistry.



● HCl

RN 169808-11-1 HCAPLUS

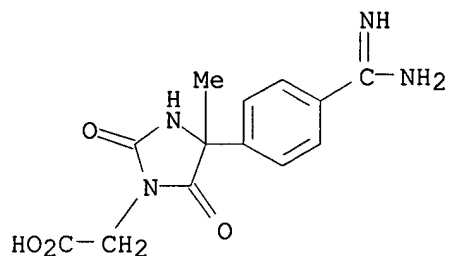
CN 1-Imidazolidineacetic acid, 4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 169808-15-5 HCAPLUS

CN 1-Imidazolidineacetic acid, 4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

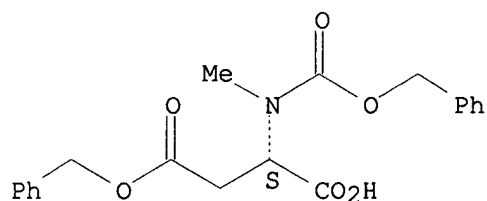


● HCl

RN 196214-76-3 HCAPLUS

CN L-Aspartic acid, N-methyl-N-[(phenylmethoxy)carbonyl]-, 4-(phenylmethyl) ester (9CI) (CA INDEX NAME)

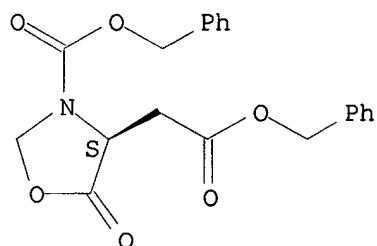
Absolute stereochemistry.



RN 207731-06-4 HCAPLUS

CN 4-Oxazolidineacetic acid, 5-oxo-3-[(phenylmethoxy)carbonyl]-, phenylmethyl ester, (4S)- (9CI) (CA INDEX NAME)

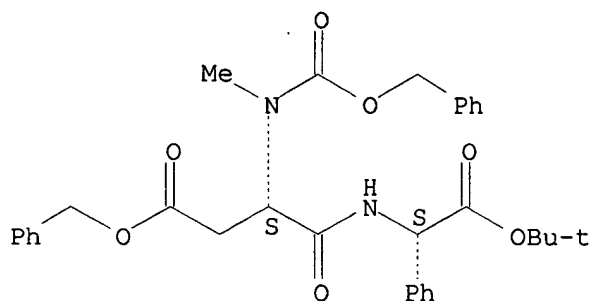
Absolute stereochemistry.



RN 207731-07-5 HCAPLUS

CN Glycine, N-methyl-N-[(phenylmethoxy)carbonyl]-L-.alpha.-aspartyl-2-phenyl-, 2-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

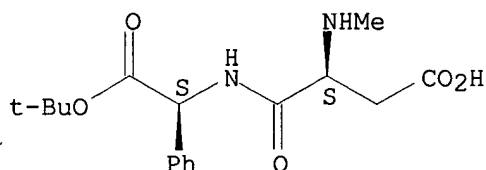
Absolute stereochemistry.



RN 207731-08-6 HCAPLUS

CN Glycine, N-methyl-L-.alpha.-aspartyl-2-phenyl-, 2-(1,1-dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

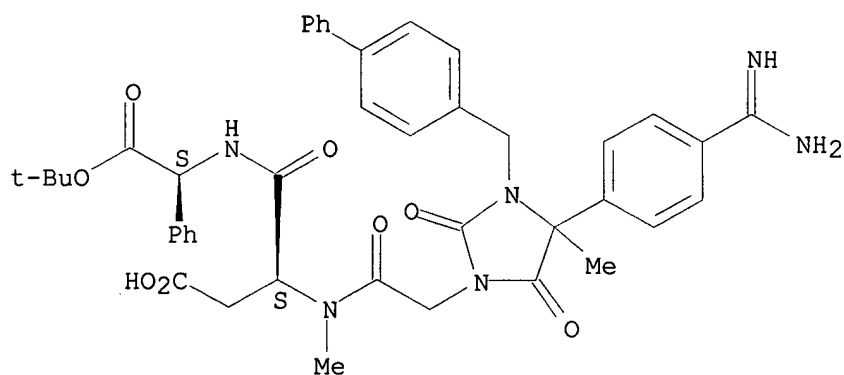
RN 207731-10-0 HCAPLUS

CN Glycine,

N-[[4-[4-(aminoiminomethyl)phenyl]-3-([1,1'-biphenyl]-4-ylmethyl)-

4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-N-methyl-L-.alpha.-aspartyl-2-phenyl-, 2-(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207731-29-1 HCAPLUS

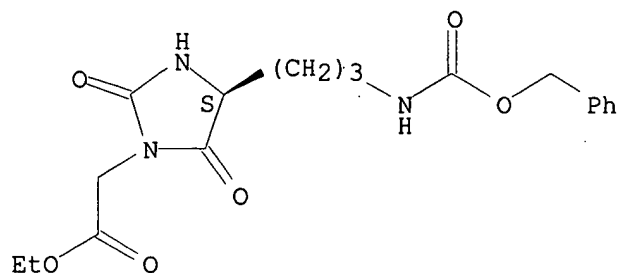
CN 1-Imidazolidineacetic acid,

2,5-dioxo-4-[3-[[(phenylmethyl)amino]acetyl]-

308-4488

]propyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

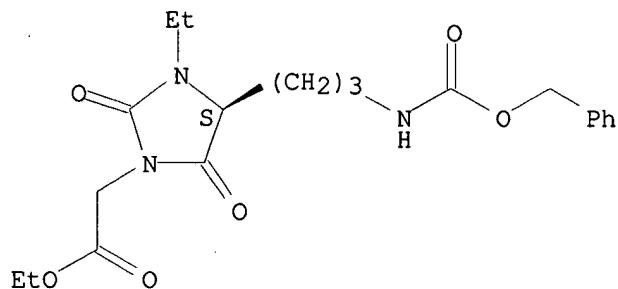
Absolute stereochemistry.



RN 207731-30-4 HCAPLUS

CN 1-Imidazolidineacetic acid, 3-ethyl-2,5-dioxo-4-[3-
[[(phenylmethoxy)carbonyl]amino]propyl]-, ethyl ester, (4S)- (9CI) (CA
INDEX NAME)

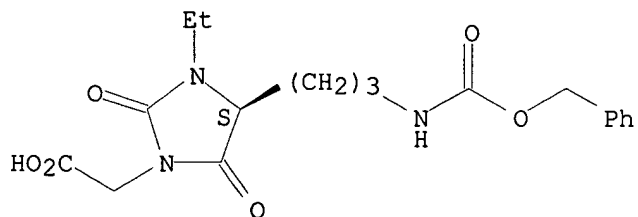
Absolute stereochemistry.



RN 207731-31-5 HCAPLUS

CN 1-Imidazolidineacetic acid, 3-ethyl-2,5-dioxo-4-[3-
[[(phenylmethoxy)carbonyl]amino]propyl]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

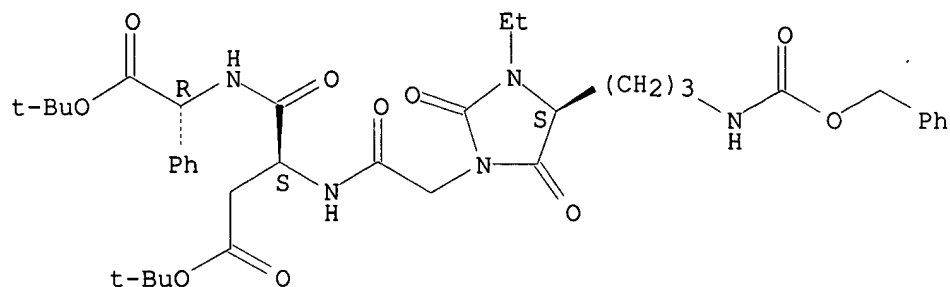


RN 207731-32-6 HCAPLUS

CN Glycine,

N-[[(4S)-3-ethyl-2,5-dioxo-4-[3-[[(phenylmethoxy)carbonyl]amino]p
ropyl]-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-,
bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

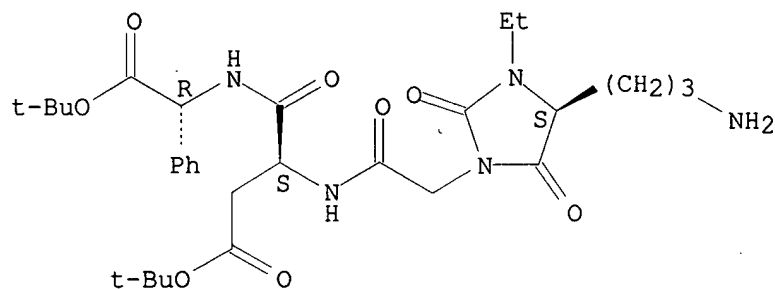
Absolute stereochemistry.



RN 207731-33-7 HCAPLUS

CN Glycine, N-[[[(4S)-4-(3-aminopropyl)-3-ethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207731-34-8 HCAPLUS

CN Glycine,
N-[[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-3-ethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

